Point of Contact:
Jan Delaval
Librarian-Physical Sciences
CM1 1E01 Tel: 308-4498

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=> fil reg
FILE 'REGISTRY' ENTERED AT 12:07:04 ON 10 DEC 2001
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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STRUCTURE FILE UPDATES:    9 DEC 2001   HIGHEST RN 374591-02-3
DICTIONARY FILE UPDATES:   9 DEC 2001   HIGHEST RN 374591-02-3
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TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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=> d l13 ide can tot

L13  ANSWER 1 OF 4  REGISTRY  COPYRIGHT 2001 ACS
RN   300542-25-0  REGISTRY
CN   Gon-4-en-3-one, 7-ethenyl-13-ethyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI)
     (CA INDEX NAME)
FS   STEREOSEARCH
MF   C21 H30 O2
SR   CA
LC   STN Files:   CA, CAPLUS, TOXCENTER, USPATFULL
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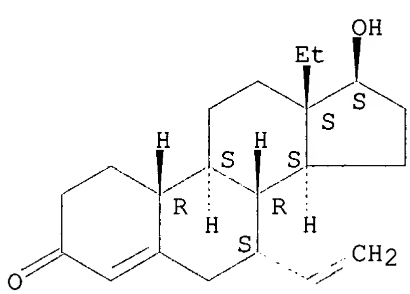
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:101064

REFERENCE 2: 133:281951

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L13  ANSWER 2 OF 4  REGISTRY  COPYRIGHT 2001 ACS
RN   300542-24-9  REGISTRY
CN   Gon-4-en-3-one, 7,13-diethyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI)  (CA
     INDEX NAME)
FS   STEREOSEARCH
MF   C21 H32 O2
SR   CA
LC   STN Files:   CA, CAPLUS, TOXCENTER, USPATFULL
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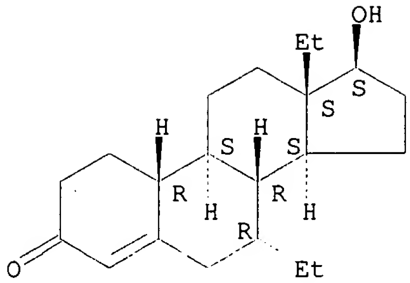
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

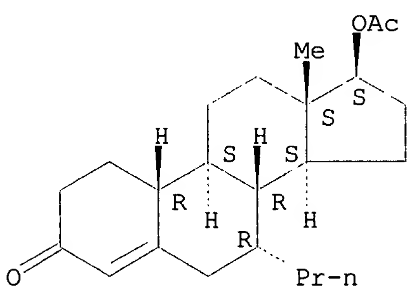
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:101064

REFERENCE 2: 133:281951

L13 ANSWER 3 OF 4 REGISTRY COPYRIGHT 2001 ACS
RN **213889-77-1** REGISTRY
CN Estr-4-en-3-one, 17-(acetyloxy)-7-propyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H34 O3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 133:281951

REFERENCE 2: 129:316429

REFERENCE 3: 129:276095

L13 ANSWER 4 OF 4 REGISTRY COPYRIGHT 2001 ACS
RN **32297-29-3** REGISTRY
CN Estr-4-en-3-one, 7-ethyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Estr-4-en-3-one, 7.alpha.-ethyl-17.beta.-hydroxy- (8CI)
FS STEREOSEARCH
MF C20 H30 O2

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LC   STN Files:   BEILSTEIN*, CA, CAPLUS, TOXCENTER
          (*File contains numerically searchable property data)
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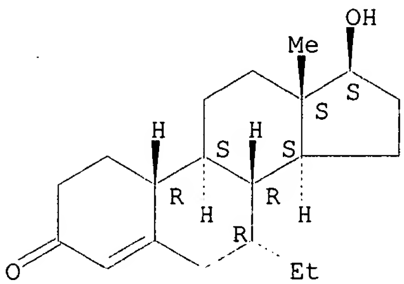
Absolute stereochemistry.



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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

               2 REFERENCES IN FILE CA (1967 TO DATE)
               2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE   1:  133:281951

REFERENCE   2:  75:20798


=> d his

     (FILE 'HCAPLUS' ENTERED AT 11:45:38 ON 10 DEC 2001)
                DEL HIS
                E WO2000-EP2851/AP,PRN
L1            1 S E3
L2         1483 S (AKZO(L)NOBEL)/PA,CS
                E VAN DER LOUW J/AU
L3           29 S E3,E4
                E VAN DERLOUW J/AU
                E VANDER LOUW J/AU
L4            1 S E4
                E VANDERLOUW J/AU
                E DERLOUW J/AU
                E DER LOUW J/AU
                E LOUW J/AU
                E LEYSEN D/AU
L5           44 S E3-E9
                E BUMA BURSI R/AU
L6            2 S E4
                E BUMABURSI R/AU
                E BURSI /AU
L7           13 S E7,E8
                E BUMA /AU
L8         1543 S L2-L7
                SEL RN L1

     FILE 'REGISTRY' ENTERED AT 11:49:10 ON 10 DEC 2001
L9           88 S E1-E88
L10          42 S L9 AND C5-C6-C6-C6/ES AND 4/NR NOT SI/ELS
L11          26 S L10 AND 3 ONE
L12          11 S L11 AND (C20H30O2 OR C23H34O3 OR C21H32O2 OR C21H30O2)
L13           4 S 32297-29-3 OR 213889-77-1 OR 300542-24-9 OR 300542-25-0
                SEL RN
L14           0 S E89-E92/CRN

     FILE 'HCAOLD' ENTERED AT 12:05:40 ON 10 DEC 2001
L15           0 S L13
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     FILE 'HCAPLUS' ENTERED AT 12:05:52 ON 10 DEC 2001
L16           5 S L13
L17           4 S L16 AND L1-L8
L18           5 S L16-L17

     FILE 'USPATFULL' ENTERED AT 12:06:37 ON 10 DEC 2001
L19           3 S L13

     FILE 'HCAPLUS' ENTERED AT 12:06:51 ON 10 DEC 2001

     FILE 'REGISTRY' ENTERED AT 12:07:04 ON 10 DEC 2001

=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 12:07:15 ON 10 DEC 2001
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FILE COVERS 1947 - 10 Dec 2001 VOL 135 ISS 25
FILE LAST UPDATED: 9 Dec 2001 (20011209/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

HCAplus now provides online access to patents and literature covered in CA from 1947 to the present. On April 22, 2001, bibliographic information and abstracts were added for over 2.2 million references published in CA from 1947 to 1966.

```
=> d l18 all hitstr tot

L18  ANSWER 1 OF 5  HCAPLUS  COPYRIGHT 2001 ACS
AN   2001:64010  HCAPLUS
DN   134:101064
TI   Preparation of orally active androgens
IN   Loozen, Hubert Jan Jozef; Leysen, Dirk; Van der Louw,
     Jaap
PA   Akzo Nobel N.V., Neth.
SO   PCT Int. Appl., 31 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
IC   ICM  C07J001-00
     ICS  A61K031-565; A61P005-26
CC   32-3 (Steroids)
     Section cross-reference(s): 1
FAN.CNT 1
     PATENT NO.       KIND  DATE          APPLICATION NO.  DATE
     ---------------  ----  --------      ---------------  --------
PI   WO 2001005806     A1   20010125      WO 2000-EP6544   20000710
         W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL,
            IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ,
            PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, ZA, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM
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all rep for these compounds

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      RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
          DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
          CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 6313108       B1   20011106      US 2000-613350   20000711
PRAI EP 1999-202348   A    19990716
OS   MARPAT 134:101064
GI
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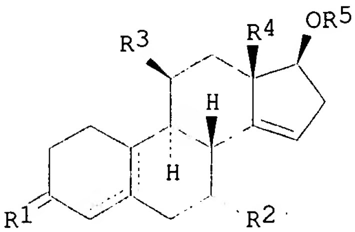
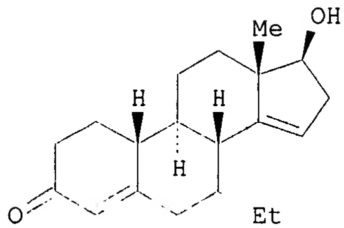


I



II

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AB   Novel 7.alpha.-substituted .DELTA.14 orally active androgens of formula I
     [R1 = O, H2, (substituted) OH, N-alkoxy; R2 = alkyl, alkenyl, cyclopropyl,
     etc.; R3 = H, alkyl, ethenyl; R4 = alkyl; R5 = H, acyl] are prepd.  Thus,
     II was prepd. from 17.alpha.-hydroxy-19-norpregna-4,6-dien-20-yn-3-one in
     several steps.  Compd. II was shown to be orally active in the LH
     suppression assay, and has metabolic stability.
ST   androgen prepn orally active; male oral contraceptive androgen prepn
IT   Contraceptives
        (oral, male; prepn. of orally active androgens)
IT   Androgens
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (prepn. of orally active androgens)
IT   Androgens
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (replacement therapy; prepn. of orally active androgens)
IT   319003-75-3P
     RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);
     SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (prepn. of orally active androgens)
IT   319003-76-4P   319003-77-5P   319003-78-6P   319003-79-7P   319003-80-0P
     319003-81-1P   319003-82-2P   319003-83-3P   319003-84-4P   319003-85-5P
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (prepn. of orally active androgens)
IT   2590-41-2   21800-83-9   31528-46-8   89031-84-5
     RL: RCT (Reactant)
        (prepn. of orally active androgens)
IT   18112-13-5P   24875-81-8P   229634-72-4P   229634-73-5P   293303-46-5P
     293303-47-6P   293303-48-7P   293303-49-8P   293303-50-1P   293303-51-2P
     293303-52-3P   293303-53-4P   293303-54-5P   293303-56-7P
     300542-24-9P 300542-25-0P   300542-58-9P   300542-76-1P
     300542-77-2P   319003-86-6P   319003-87-7P   319003-88-8P   319003-89-9P
     319003-90-2P   319003-92-4P   319003-93-5P   319003-94-6P   319003-95-7P
     319003-96-8P   319003-97-9P   319003-98-0P   319003-99-1P   319004-00-7P
     319004-01-8P   319004-02-9P   319004-03-0P   319004-04-1P   319004-05-2P
     319004-06-3P   319004-07-4P   319004-08-5P   319004-09-6P   319004-10-9P
     319004-11-0P   319004-12-1P   319004-13-2P   319004-14-3P   319004-15-4P
     319004-16-5P   319004-17-6P   319004-18-7P   319004-19-8P   319004-20-1P
     319004-21-2P   319004-22-3P   319004-23-4P   319004-25-6P   319004-27-8P
     319004-28-9P   319004-31-4P   319004-33-6P   319004-35-8P   319004-37-0P
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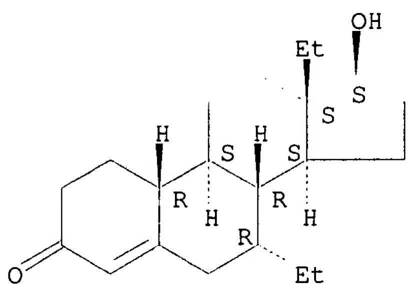
319004-39-2P 319004-41-6P 319004-43-8P 319004-45-0P 319004-47-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of orally active androgens)
IT 293303-55-6P 319003-91-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of orally active androgens)
RE.CNT 3
RE

(1) Avery, M; STEROIDS: STRUCTURE, FUNCTION, AND REGULATION 1990, V55(2), P59 HCAPLUS
(2) Cochsner Med Found Alton; GB 1341601 A 1973 HCAPLUS
(3) Solo; STEROIDS 1982, V40(6), P603

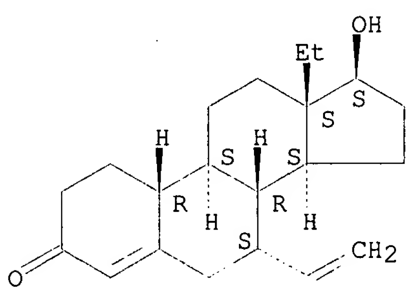
IT **300542-24-9P 300542-25-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of orally active androgens)
RN 300542-24-9 HCAPLUS
CN Gon-4-en-3-one, 7,13-diethyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 300542-25-0 HCAPLUS
CN Gon-4-en-3-one, 7-ethenyl-13-ethyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2001 ACS
AN 2000:733601 HCAPLUS
DN 133:281951
TI synthesis and activity of orally active androgens
IN **Van der Louw, Jaap; Leysen, Dirk; Buma Bursi, Roberta**
PA **Akzo Nobel** N. V., Neth.
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07J001-00
CC 32-3 (Steroids)
Section cross-reference(s): 2

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FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
PI   WO 2000059920      A2   20001012      WO 2000-EP2851   20000331 <--
     WO 2000059920      A3   20010215
         W:  AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL,
             IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ,
             PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     EP 1043330         A1   20001011      EP 1999-201070   19990406
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
PRAI EP 1999-201070     A    19990406
OS   MARPAT 133:281951
GI
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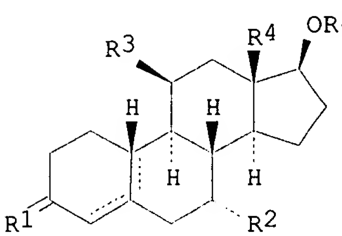


I

AB Novel, orally active androgens (I) [R1 = O, (H, H), (H, OR), NOR, with R = H, alkyl, or acyl; R2 = alkyl, CHMe2, alkenyl, isopropenyl, propadienyl, or alkynyl, each optionally substituted by halogen; or R2 = cyclopropyl, or cyclopropenyl, each optionally substituted by alkyl, or halogen; R3 = H, alkyl, or ethenyl; R4 = alkyl; R5 = H, or acyl; and the dotted lines indicate optional bonds] are derivs. of 7.alpha.-methyl-19-nortestosterone. Thus, I (R1 = O, R2 = Et, R3 = H, R4 = Me, R5 = H, bond 4 5 double, bond 5 10 single) (II) is prepd. by copper catalyzed alkylation of (17.beta.)-17-[[(1,1-dimethylethyl)dimethylsilyl]oxy]estra-4,6-dien-3-one followed by trimethylsilylation of keto and desilylation with hydrochloric acid. II shows an ED50 of 2.5 mg/kg in assay to suppress serum LH.

ST nortestosterone methyl analog prepn; orally active androgen insufficiency treatment; male contraceptive kit progestogen oral

IT Progestogens
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(for male contraceptive kit; synthesis and activity of orally active androgens)

IT Androgens
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(insufficiency treatment; synthesis and activity of orally active androgens)

IT Contraceptives
(male, kit of progestagen; synthesis and activity of orally active androgens)

IT **32297-29-3P** 293303-47-6P 300542-15-8P 300542-16-9P
300542-17-0P 300542-18-1P 300542-19-2P 300542-20-5P 300542-21-6P
300542-22-7P 300542-23-8P **300542-24-9P** **300542-25-0P**
300542-26-1P 300542-27-2P 300542-28-3P 300542-29-4P 300542-30-7P
300542-31-8P 300542-32-9P 300542-33-0P 300542-34-1P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or

effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of orally active androgens)

IT 300542-83-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of orally active androgens)

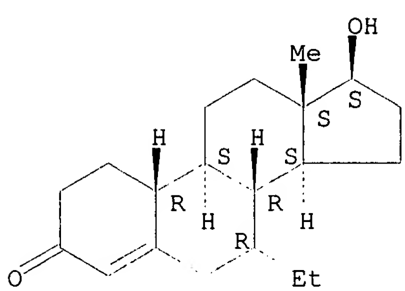
IT 62-23-7, 4-Nitrobenzoic acid 74-96-4, Bromoethane 105-53-3, Diethyl malonate 540-63-6, 1,2-Ethanedithiol 1530-32-1, Ethyltriphenylphosphonium bromide 2590-41-2 3536-96-7, Vinylmagnesium chloride 5293-84-5, (Chloromethyl)triphenylphosphonium chloride 13154-15-9 21800-83-9 56896-41-4 116506-60-6 133152-37-1 213890-36-9
RL: RCT (Reactant)
(synthesis and activity of orally active androgens)

IT 153004-23-0P **213889-77-1P** 293303-46-5P 300542-35-2P
300542-36-3P 300542-37-4P 300542-38-5P 300542-39-6P 300542-40-9P
300542-41-0P 300542-42-1P 300542-43-2P 300542-44-3P 300542-45-4P
300542-46-5P 300542-47-6P 300542-48-7P 300542-49-8P 300542-50-1P
300542-51-2P 300542-52-3P 300542-53-4P 300542-54-5P 300542-55-6P
300542-56-7P 300542-57-8P 300542-58-9P 300542-59-0P 300542-60-3P
300542-61-4P 300542-62-5P 300542-63-6P 300542-64-7P 300542-65-8P
300542-66-9P 300542-67-0P 300542-68-1P 300542-69-2P 300542-70-5P
300542-71-6P 300542-72-7P 300542-73-8P 300542-74-9P 300542-75-0P
300542-76-1P 300542-77-2P 300542-78-3P 300542-79-4P 300542-80-7P
300542-81-8P 300542-82-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and activity of orally active androgens)

IT **32297-29-3P 300542-24-9P 300542-25-0P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of orally active androgens)

RN 32297-29-3 HCAPLUS
CN Estr-4-en-3-one, 7-ethyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 300542-24-9 HCAPLUS
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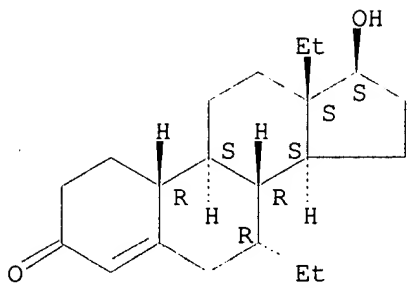
Absolute stereochemistry.



RN 300542-25-0 HCAPLUS
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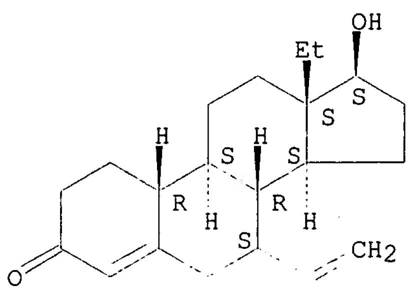
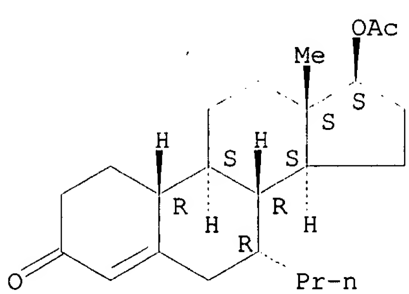
Absolute stereochemistry.



IT **213889-77-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and activity of orally active androgens)
RN 213889-77-1 HCAPLUS
CN Estr-4-en-3-one, 17-(acetyloxy)-7-propyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2001 ACS
AN 1998:689228 HCAPLUS
DN 129:276095
TI Preparation of steroid compounds having contraceptive and anti-osteoporosis activity
IN Loozen, H. J. J.
PA **Akzo Nobel** N.V., Neth.
SO Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DT Patent
LA English
IC ICM C07J053-00
ICS A61K031-56

CC 32-3 (Steroids)
Section cross-reference(s): 1

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 869132	A1	19981007	EP 1998-200518	19980218
	EP 869132	B1	20010905		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TW 403736	B	20000901	TW 1998-87101457	19980205
	ZA 9801344	A	19980827	ZA 1998-1344	19980218
	AT 205217	E	20010915	AT 1998-200518	19980218
	US 6077873	A	20000620	US 1998-26348	19980219
	CA 2229960	AA	19980821	CA 1998-2229960	19980220
	NO 9800737	A	19980824	NO 1998-737	19980220
	AU 9855412	A1	19980827	AU 1998-55412	19980220
	AU 723713	B2	20000907		
	CN 1197076	A	19981028	CN 1998-108595	19980220
	BR 9800718	A	19990629	BR 1998-718	19980220
	US 6313180	B1	20011106	US 2000-538783	20000330
PRAI	EP 1997-102884	A	19970221		
	US 1998-26348	A1	19980219		

OS MARPAT 129:276095

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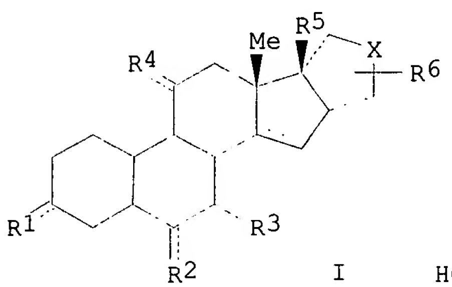

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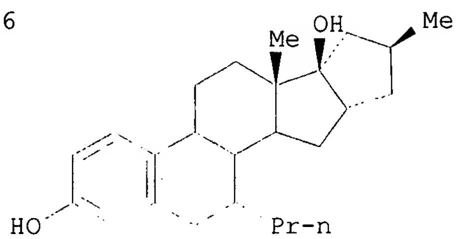


II

AB Steroids of formula I [X = (CH2)n; n = 0-3; R1 = oxo, OH, NOH, etc.; R2 = H, CH2, alkyl; R3 = H, alkyl, alkenyl, alkynyl; R4 = H, alkyl, alkylidene, etc.; R5 = OH, OCH2OH, acyloxy; R6 = H, alkyl, etc.] are prepd. The steroid compds. of the present invention are very suitable for use in the prevention or treatment of peri-menopausal or menopausal complaints, more preferably the prevention or treatment of osteoporosis. Furthermore, the steroid compds. of the present invention can be used for contraceptive purposes. Thus, II was prepd. from 17.beta.-acetyloxyestra-4,6-dien-3-one and Pr bromide in 12 steps. II showed 64 .mu.g/kg in the Allen Doisy test for in vivo estrogenic activity.

ST steroid compd prepn contraceptive anti osteoporosis

IT Antiosteoporotic agents
Contraceptives
Postmenopausal osteoporosis
(prepn. of steroid compds. having contraceptive and antiosteoporosis activity)

IT Steroids, preparation
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of steroid compds. having contraceptive and antiosteoporosis activity)

IT Menopause
(symptoms; prepn. of steroid compds. having contraceptive and antiosteoporosis activity)

IT 213889-92-0P 213890-02-9P 213890-03-0P 213890-12-1P 213890-15-4P
213890-22-3P 213890-27-8P 213890-31-4P 213890-41-6P 213890-43-8P
213890-45-0P 213890-48-3P 213890-50-7P 213890-52-9P 213890-55-2P
213890-57-4P 213890-59-6P 213890-62-1P 213890-64-3P 213890-66-5P
213890-69-8P 213890-71-2P 213890-73-4P 213890-75-6P 213890-78-9P
213890-80-3P 213890-82-5P 213890-85-8P 213890-87-0P 213890-89-2P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of steroid compds. having contraceptive and antiosteoporosis activity)

IT 106-94-5, Propyl bromide 106-95-6, Allyl bromide, reactions 927-77-5, Propylmagnesium bromide 38771-21-0, 4-Bromo-1-butyne 80121-73-9 88247-84-1 92511-12-1 100001-40-9 105859-46-9 177901-03-0 213890-36-9
RL: RCT (Reactant)
(prepn. of steroid compds. having contraceptive and antiosteoporosis activity)

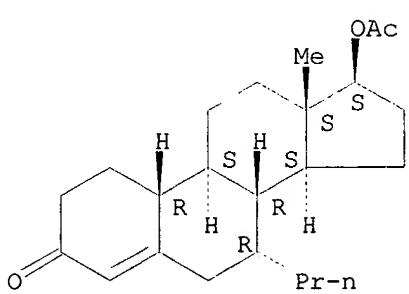
IT 2590-41-2P 13209-45-5P, Estra-4,6-diene-3,17-dione **213889-77-1P**
213889-78-2P 213889-80-6P 213889-81-7P 213889-83-9P 213889-85-1P
213889-86-2P 213889-88-4P 213889-89-5P 213889-90-8P 213889-91-9P
213889-93-1P 213889-95-3P 213889-96-4P 213889-97-5P 213889-98-6P
213889-99-7P 213890-04-1P 213890-05-2P 213890-06-3P 213890-08-5P
213890-09-6P 213890-10-9P 213890-11-0P 213890-13-2P 213890-14-3P
213890-17-6P 213890-19-8P 213890-20-1P 213890-21-2P 213890-24-5P
213890-25-6P 213890-26-7P 213890-28-9P 213890-30-3P 213890-32-5P
213890-33-6P 213890-35-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of steroid compds. having contraceptive and antiosteoporosis activity)

IT **213889-77-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of steroid compds. having contraceptive and antiosteoporosis activity)

RN 213889-77-1 HCAPLUS

CN Estr-4-en-3-one, 17-(acetyloxy)-7-propyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2001 ACS

AN 1998:666022 HCAPLUS

DN 129:316429

TI Preparation of contraceptive and antiosteoporotic steroids and their uses

IN Loozen, Hubert Jan Jozef

PA **Akzo Nobel** N.V., Neth.

SO Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

IC ICM C07J053-00
ICS A61K031-56

CC 32-3 (Steroids)

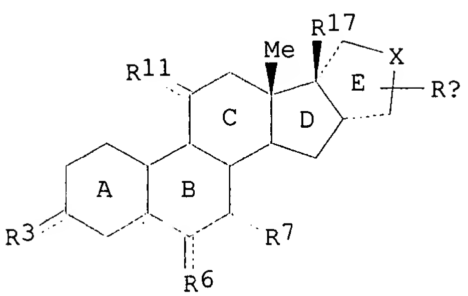
Section cross-reference(s): 1

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 10273499	A2	19981013	JP 1998-39455	19980220
	TW 403736	B	20000901	TW 1998-87101457	19980205
	ZA 9801344	A	19980827	ZA 1998-1344	19980218
	AT 205217	E	20010915	AT 1998-200518	19980218
	US 6077873	A	20000620	US 1998-26348	19980219
	CA 2229960	AA	19980821	CA 1998-2229960	19980220
	NO 9800737	A	19980824	NO 1998-737	19980220
	AU 9855412	A1	19980827	AU 1998-55412	19980220
	AU 723713	B2	20000907		
	CN 1197076	A	19981028	CN 1998-108595	19980220
	BR 9800718	A	19990629	BR 1998-718	19980220
	US 6313180	B1	20011106	US 2000-538783	20000330
PRAI	EP 1997-102884	A	19970221		
	US 1998-26348	A1	19980219		

OS MARPAT 129:316429

GI



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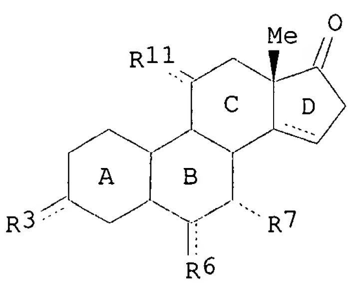


II

AB The steroids I [R3 = O, OH, :NOR, OR, O2CR; R = C1-6 alkyl; R6 = CH2, (CH2)mH; m = 1,2; R7 = H, C1-4 alkyl, C2-5 alkenyl, C2-5 alkynyl, which may be substituted with 1-3 F or Cl; R11 = C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, C1-4 alkylidene, which may be substituted with 1-3 F or Cl; E ring is a 4-7-membered condensed ring (.alpha.-configuration) which may be substituted by RE and which may contain 1-2 double bond; X = part of ring E; RE = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkylidene, cycloalkyl having C2-6 spiro ring, OR, SR, O2CR, NHR, NR2, NHCOR, NCO, (CH2)nN3, (CH2)nCN, among which aliph. groups may be substituted with 1-3 OR, SR, O2CR, NHR, NR2, NHCOR, Cl, F; n = 0-5; R17 = OH, OCH2OR, OR, O2CR; D9(10), D5(10), D4(5), D11(12), and/or .DELTA.14(15) may be double bond; either of rings A or B is arom. ring] are prepd. A method for the prepn. of I involves (a) introduction of (un)substituted .omega.-iodoalkyl group into C in position 16 of 17-ketosteroids II and cyclization of the group upon treatment with organometallic reagents or (a') introduction of (un)substituted alkenyl group into C in positions 16 and 17 and cyclization via transition metal-catalyzed olefin metathesis. A THF soln.

of (7.alpha.)-3-methoxy-7-propylestra-1,3,5(10)-triene-17-one dimethylhydrazone (prepn. given) was treated with BuLi at -40.degree. for 0.5 h and then further treated with (2R)-2-methyl-3-iodopropanol O-tert-butyldimethylsilyl ether at -20.degree. for 1 h to give [7.alpha.,16.alpha.(S)]-16-[3[[dimethyl(1,1-dimethylethyl)silyl]oxy]-2-methylpropyl]-3-methoxy-7-propylestra-1,3,5(10)-triene-17-one dimethylhydrazone. This was submitted to desilylation, deprotection of hydrazono group to recover the keto group, O-tosylation, iodination, cyclization, and 3-demethylation to give (4'S,7.alpha.,16.alpha.,17.alpha.)-3',4',5',16-tetrahydro-4'-methyl-7-propyl-17H-cyclopenta[16,17]estra-1,3,5(10)-triene-3,17-diol. Some of I were tested for their preventive effect against decrease in the bone mineral d. of ovariectomized rats.

ST steroid ring condensed prepn contraceptive antiosteoporotic; cyclopentaestratriene prepn contraceptive antiosteoporotic; alkenylation ketosteroid olefin metathesis cyclization; alkenylated ketosteroid olefin metathesis cyclization

IT Antiosteoporotic agents
Contraceptives
(prepn. of contraceptive and antiosteoporotic steroids by forming condensed ring at the positions 16 and 17)

IT 213889-90-8P 213890-02-9P 213890-15-4P 213890-22-3P 213890-27-8P 213890-31-4P 214981-03-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of contraceptive and antiosteoporotic steroids by forming condensed ring at the positions 16 and 17)

IT 213889-91-9P 213889-92-0P 213889-93-1P 213889-95-3P 213889-96-4P 213889-97-5P 213889-98-6P 213889-99-7P 213890-03-0P 213890-04-1P 213890-05-2P 213890-06-3P 213890-08-5P 213890-09-6P 213890-10-9P 213890-11-0P 213890-13-2P 213890-14-3P 213890-17-6P 213890-19-8P 213890-20-1P 213890-21-2P 213890-24-5P 213890-25-6P 213890-26-7P 213890-28-9P 213890-30-3P
RL: PNU (Preparation, unclassified); RCT (Reactant); PREP (Preparation)
(prepn. of contraceptive and antiosteoporotic steroids by forming condensed ring at the positions 16 and 17)

IT 2417-93-8, Propyllithium 7486-35-3, Vinyltributyltin 38771-21-0, 4-Bromo-1-butyne 80121-73-9 88247-84-1 92511-12-1 100001-40-9 105859-46-9 177901-03-0 **213889-77-1**
RL: RCT (Reactant)
(prepn. of contraceptive and antiosteoporotic steroids by forming condensed ring at the positions 16 and 17)

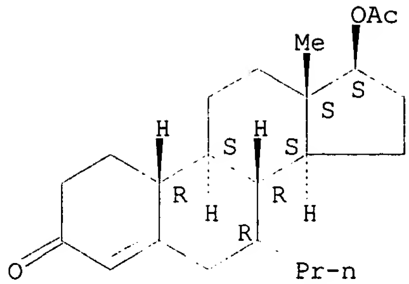
IT 2590-41-2P 213889-78-2P 213889-80-6P 213889-81-7P 213889-83-9P 213889-85-1P 213889-86-2P 213889-88-4P 213889-89-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of contraceptive and antiosteoporotic steroids by forming condensed ring at the positions 16 and 17)

IT **213889-77-1**
RL: RCT (Reactant)
(prepn. of contraceptive and antiosteoporotic steroids by forming condensed ring at the positions 16 and 17)

RN 213889-77-1 HCAPLUS

CN Estr-4-en-3-one, 17-(acetyloxy)-7-propyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2001 ACS
AN 1971:420798 HCAPLUS
DN 75:20798
TI Antihormonal 7.beta.-alkyl steroids
IN Babcock, John C.; Campbell, J. Allan
PA Upjohn Co.
SO Ger. Offen., 58 pp.
CODEN: GWXXBX
DT Patent
LA German
IC C07C
CC 32 (Steroids)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2043404	A	19710311	DE 1970-2043404	19700902
	ZA 7005567	A	19710428	ZA 1970-5567	19700812
	GB 1298974	A	19721206	GB 1970-1298974	19700813
	NL 7012967	A	19710305	NL 1970-12967	19700902
	FR 2070665	A5	19710917	FR 1970-31924	19700902
	FR 2070665	B1	19740614		
PRAI	US 1969-855035		19690903		

AB The title compds. are prepd. by several methods. Thus, 6-dehydro-19-nortestosterone in THF was treated with CuCl in THF and 3M MeMgBr in ether to yield 7.alpha.-methyl-19-nortestosterone and m.beta.-methyl-19-nortestosterone (I). In a second process, 7.beta.-methylestrone in MeOH was treated with H2O and NaBH4 to yield 7.beta.-methylestradiol (II). A mixt. of the 3-methyl ether of II in THF, tert-BuOH, and Li wire was reacted in liq. NH3 to yield 7.beta.-methyl-3-methoxyestra-2,5(10)-dien-17.beta.-ol, which was reacted with MeOH, H2O, and oxalic acid to yield 17.beta.-hydroxy-7.beta.-methylestr-5(10)-en-3-one. This was hydrolyzed in a mixt. of MeOH, H2O, and 2.5N HCl to yield I. A third process using 19-hydroxyandrosta-4,6-diene-3,17-dione was described. Many other derivs. of the title compds. were also prepd., including 7.alpha.-ethyl-19-nortestosterone, m. 138.5-41.5.degree., [.alpha.]D 16.degree. (CHCl3), and 7.beta.-ethyl-19-nortestosterone, m. 146-8.degree..
ST antihormonal nortestosterones
IT Steroids, preparation
RL: PREP (Preparation)
(7.beta.-alkyl)
IT 31022-20-5P 32224-02-5P 32224-03-6P 32224-04-7P 32224-05-8P
32224-06-9P 32224-07-0P 32224-08-1P **32297-29-3P**
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32297-45-3P 32297-46-4P 32297-47-5P 32297-48-6P 32344-13-1P
32344-14-2P 32344-15-3P 32344-16-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
IT **32297-29-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)

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     (prepn. of)
RN   32297-29-3  HCAPLUS
CN   Estr-4-en-3-one, 7-ethyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI)  (CA
     INDEX NAME)
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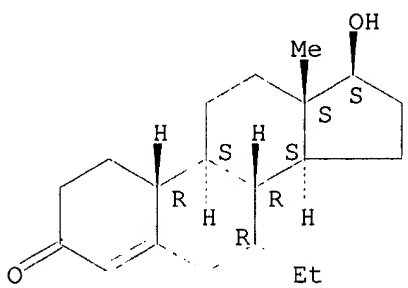
Absolute stereochemistry.

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FILE LAST UPDATED: 6 Dec 2001 (20011206/ED)
HIGHEST GRANTED PATENT NUMBER: US6249914
HIGHEST APPLICATION PUBLICATION NUMBER: US2001049836
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ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 6 Dec 2001 (20011206/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2001
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2001

>>> Page images are available for patents from 1/1/1998.  Patents   <<<
>>> and applications are typically loaded on the day of publication.<<<
>>> Page images are available for display by the following day.     <<<
>>> Image data for the /FA field are available the following update.<<<

>>> Complete CA file indexing for chemical patents (or equivalents) <<<
>>> is included in file records.  A thesaurus is available for the  <<<
>>> USPTO Manual of Classifications in the /NCL, /INCL, and /RPCL    <<<
>>> fields.  This thesaurus includes catchword terms from the        <<<
>>> USPTO/MOC subject headings and subheadings.  Thesauri are also   <<<
>>> available for the WIPO International Patent Classification      <<<
>>> (IPC) Manuals, editions 1-6, in the /IC1, /IC2, /IC3, /IC4,      <<<
>>> /IC5, and /IC (/IC6) fields, respectively.  The thesauri in      <<<
>>> the /IC5 and /IC fields include the corresponding catchword      <<<
>>> terms from the IPC subject headings and subheadings.             <<<

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d bib abs hitstr tot l19

L19  ANSWER 1 OF 3  USPATFULL
AN      2001:197077  USPATFULL
TI      Steroid compounds having contraceptive and anti-osteoporosis activity
IN      Loozen, Hubert Jan Jozef, Uden, Netherlands
PA      Akzo Nobel N.V., Arnhem, Netherlands (non-U.S. corporation)
PI      US 6313180          B1    20011106
AI      US 2000-538783            20000330 (9)
RLI     Continuation of Ser. No. US 1998-26348, filed on 19 Feb 1998, now
        patented, Pat. No. US 6077873
PRAI    EP 1997-102884       19970221
DT      Utility
```
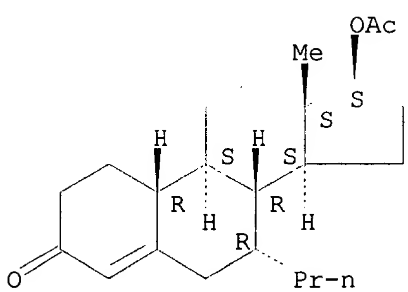

FS GRANTED
EXNAM Primary Examiner: Badio, Barbara P.
LREP Sullivan, Michael G.
CLMN Number of Claims: 14
ECL Exemplary Claim: 1
DRWN 6 Drawing Figure(s); 6 Drawing Page(s)
LN.CNT 1197
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to a steroid compound having the formula (I)
##STR1##

comprising a ring E, said ring sharing carbon atoms at position 16 and 17 with the five-membered ring D and being .alpha. with respect to said D-ring. In addition, the carbon atom at position 17 is substituted with an oxygen atom-comprising group through a CO bond. The invention also relates to a pharmaceutical composition comprising said steroid compound. The steroid compounds of the present invention are very suitable for use in the prevention or treatment of peri-menopausal or menopausal complaints, more preferably the prevention or treatment of osteoporosis. Furthermore, the steroid compounds of the present invention can be used for contraceptive purposes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **213889-77-1P**
(prepn. of steroid compds. having contraceptive and antiosteoporosis activity)
RN 213889-77-1 USPATFULL
CN Estr-4-en-3-one, 17-(acetyloxy)-7-propyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 2 OF 3 USPATFULL
AN 2001:197006 USPATFULL
TI Orally active androgens
IN Loozen, Hubert Jan Jozef, Uden, Netherlands
Leysen, Dirk, Lommel, Belgium
van der Louw, Jaap, Oss, Netherlands
PA Akzo Nobel N.V., Arnhem, Netherlands (non-U.S. corporation)
PI US 6313108 B1 20011106
AI US 2000-613350 20000711 (9)
PRAI EP 1999-202348 19990716
DT Utility
FS GRANTED
EXNAM Primary Examiner: Qazi, Sabiha
LREP Blackstone, William M.
CLMN Number of Claims: 11
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1084
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel, orally active androgens are 7.alpha.-substituted .DELTA..sup.14 -nandrolone derivatives. The compounds satisfy the general formula:

##STR1##

wherein

R.sub.1 is O, (H,H), (H,OR), NOR, with R being hydrogen, (C.sub.1-6) alkyl, or (C.sub.1-6) acyl;

R.sub.2 is selected from the group consisting of (C.sub.2-4) alkyl, (C.sub.2-4) alkenyl, or (C.sub.2-4) alkynyl, each optionally substituted by halogen; or

R.sub.2 is cyclopropyl, or cyclopropenyl, each optionally substituted by (C.sub.1-2) alkyl, or halogen;

R.sub.3 is hydrogen, (C.sub.1-2) alkyl, or ethenyl;

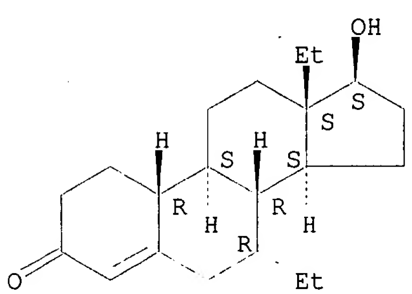
R.sub.4 is (C.sub.1-2) alkyl;

R.sub.5 is hydrogen, or (C.sub.1-15) acyl;

and the dotted lines indicate optional bonds.

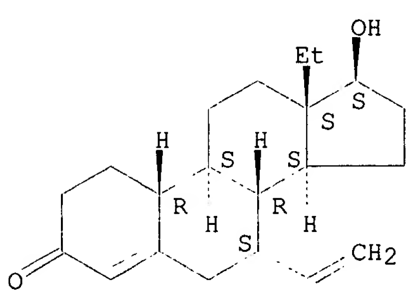
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **300542-24-9P 300542-25-0P**
(prepn. of orally active androgens)
RN 300542-24-9 USPATFULL
CN Gon-4-en-3-one, 7,13-diethyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 300542-25-0 USPATFULL
CN Gon-4-en-3-one, 7-ethenyl-13-ethyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

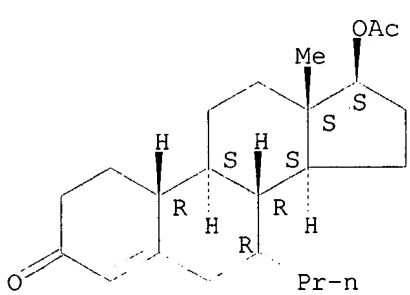


L19 ANSWER 3 OF 3 USPATFULL
AN 2000:77388 USPATFULL
TI Steroid compounds having contraceptive and anti-osteoporosis activity
IN Loozen, Hubert Jan Jozef, Uden, Netherlands

PA Akzo Nobel N.V., Arnhem, Netherlands (non-U.S. corporation)
PI US 6077873 20000620
AI US 1998-26348 19980219 (9)
PRAI EP 1997-102884 19970221
DT Utility
FS Granted
EXNAM Primary Examiner: Badio, Barbara
LREP Sullivan, Michael G.
CLMN Number of Claims: 7
ECL Exemplary Claim: 1
DRWN 6 Drawing Figure(s); 6 Drawing Page(s)
LN.CNT 929
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to a steroid compound having the formula (I) ##STR1## comprising a ring E, said ring sharing carbon atoms at position 16 and 17 with the five-membered ring D and being .alpha. with respect to said D-ring. In addition, the carbon atom at position 17 is substituted with an oxygen atom-comprising group through a CO bond. The invention also relates to a pharmaceutical composition comprising said steroid compound. The steroid compounds of the present invention are very suitable for use in the prevention or treatment of peri-menopausal or menopausal complaints, more preferably the prevention or treatment of osteoporosis. Furthermore, the steroid compounds of the present invention can be used for contraceptive purposes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **213889-77-1P**
(prepn. of steroid compds. having contraceptive and antiosteoporosis activity)
RN 213889-77-1 USPATFULL
CN Estr-4-en-3-one, 17-(acetyloxy)-7-propyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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FILE 'REGISTRY' ENTERED AT 12:37:00 ON 10 DEC 2001

STRUCTURE FILE UPDATES: 9 DEC 2001 HIGHEST RN 374591-02-3
DICTIONARY FILE UPDATES: 9 DEC 2001 HIGHEST RN 374591-02-3

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES

for more information. See STNote 27, Searching Properties in the CAS
Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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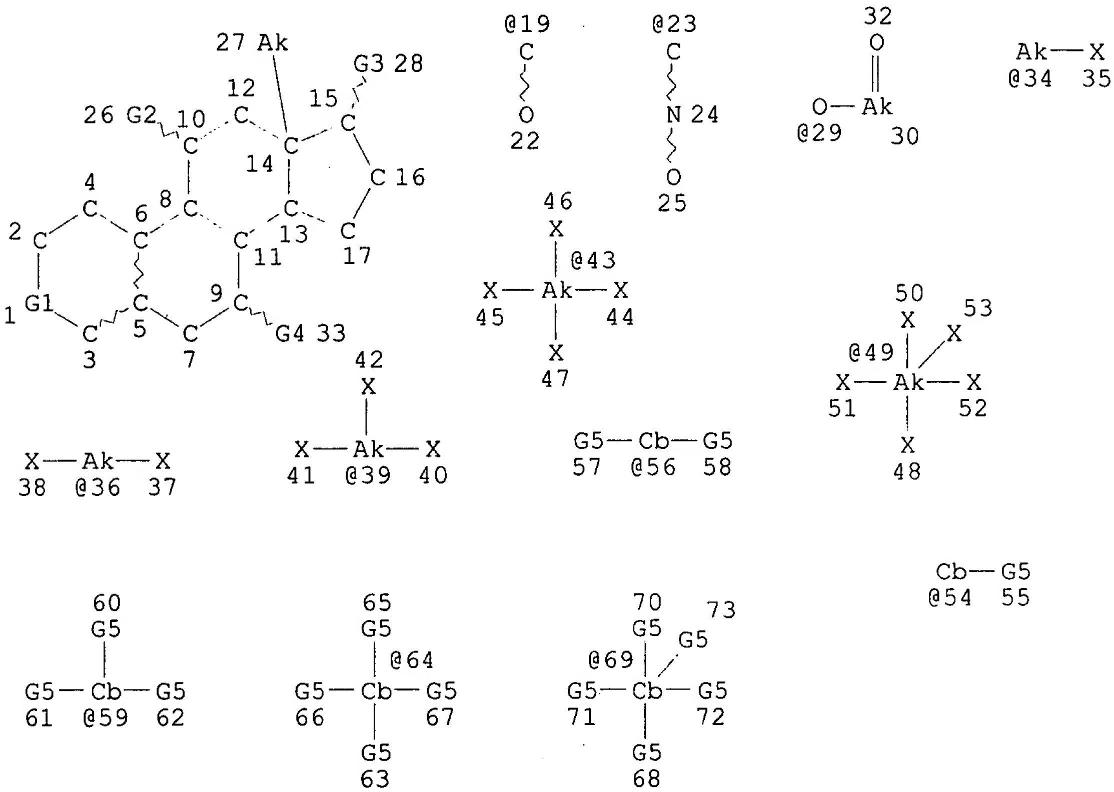
L21 STR



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VAR G3=O/29
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VAR G5=AK/X
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CONNECT IS M1 RC AT 49
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 69

STEREO ATTRIBUTES: NONE
L23 96 SEA FILE=REGISTRY CSS FUL L21

100.0% PROCESSED 198475 ITERATIONS 96 ANSWERS
SEARCH TIME: 00.00.29

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SAV L13 QAZI937/A
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L24 92 S L23 NOT L13
L25 1 S L24 AND C3/ES

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L26           90 S L24 AND 4/NR
L27            1 S L24 NOT L25,L26
L28           91 S L25,L26 NOT L27

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                 SEL AN
                 EDIT /AN /OREF

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L30           26 S E93-E107
                 SEL DN 2 4 8 10 12 14 16 18 20 21 24
L31           15 S L30 NOT E108-E118
L32          134 S L28
L33            8 S L32 AND L1-L8
L34          132 S L32 AND (PD<=20000321 OR PRD<=20000321 OR AD<=20000321)
L35            2 S L32 NOT L34
L36           16 S L28 (L) THU/RL
L37           30 S L28 (L) BAC/RL
L38           33 S L34 AND L36,L37
L39           31 S L38 NOT L18,L33
L40           29 S L34 AND US/PC
L41           55 S L39,L40

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=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 12:37:21 ON 10 DEC 2001
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FILE COVERS 1947 - 10 Dec 2001 VOL 135 ISS 25
FILE LAST UPDATED: 9 Dec 2001 (20011209/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

HCAplus now provides online access to patents and literature covered in CA from 1947 to the present. On April 22, 2001, bibliographic information and abstracts were added for over 2.2 million references published in CA from 1947 to 1966.

=> d l33 all hitstr tot

L33 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2001 ACS
AN 2001:416964 HCAPLUS
DN 135:33598
TI Preparation of androgenic 14,15-methyleneestr-4-en-3-one derivatives.
IN **Leysen, Dirk; Van Der Louw, Jaap; Buma Bursi, Roberta**; De Gooyer, Marcel Evert
PA **Akzo Nobel** N.V., Neth.
SO PCT Int. Appl., 38 pp.

CODEN: PIXXD2
DT Patent
LA English
IC ICM C07J053-00
CC 32-4 (Steroids)
Section cross-reference(s): 1, 2, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001040255	A2	20010607	WO 2000-EP12009	20001129

W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI EP 1999-204080 A 19991202
OS MARPAT 135:33598
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The androgenic title compds. I (R1 = O,(H,H), (H,OR), NOR, with R = H, (C1-6) alkyl, (C1-6) acyl; R2 = H or (C1-6) alkyl; R3 = H, (C2-6) alkenyl, or (C2-6) alkynyl, each optionally substituted by halogen; R4 = H, (C1-6) alkyl, or (C2-6) alkenyl; R5 = (C1-6) alkyl; R6 = H, halogen, or (C1-4) alkyl; R7 = H or (C1-6) alkyl; R7 = H or (C1-6) alkyl; R8 = H, OH, (C1-6) alkoxy, halogen, or (C1-6) alkyl; R9 and R10 = independently H; or R9 and R10 = independently (C1-6) alkyl, (C2-6) alkenyl, (C3-6) cycloalkyl, (C5-6) cycloalkenyl, or (C2-6) alkynyl, each optionally substituted by (C1-4) alkoxy, or halogen; R11 = H, SO3H, (C1-15) acyl; and the dotted lines indicate optional bonds, selected from a .DELTA.4, .DELTA.5(10), or .DELTA.11 double bond, or a .DELTA.4,9 or .DELTA.4,11 diene system) were prepd. These derivs. can be used for the prepn. of an agent for male contraception, as well as for the prepn. of a medicament for the treatment of androgen insufficiency. Thus, (7.alpha.,17.beta.)-3-methoxy-7-methylestra-1,3,5(10),14-tetraen-17-ol II was converted in 7 steps into (7.alpha.,14.beta.,15.beta.,17.alpha.)-17-(hydroxymethyl)-7-methyl-14,15-methyleneestr-4-en-3-one III. III had excellent androgenic activity as detd. by a no. of procedures detailed within.
ST androgen synthesis hormone replacement therapy male contraceptive; methyleneestrenone prepn androgenic
IT Contraceptives
(male; novel androgens for use as male contraceptives or for male or female androgen replacement therapy)
IT Androgens
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(novel androgens for use as male contraceptives or for male or female androgen replacement therapy)
IT Androgens
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(replacement therapy, male or female; novel androgens for use as male contraceptives or for male or female androgen replacement therapy)
IT 343626-62-0P 343626-63-1P 343627-00-9P 343627-01-0P
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of androgenic 14,15-methyleneestr-4-en-3-one derivs.)

IT 343627-02-1P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of androgenic 14,15-methyleneestr-4-en-3-one derivs.)

IT 343626-65-3P 343626-72-2P 343626-87-9P 343626-94-8P 343626-97-1P
343627-03-2P 343627-08-7P 343627-09-8P 343627-13-4P 343627-14-5P
343627-15-6P 343627-16-7P 343627-17-8P 343627-18-9P 343627-19-0P
343627-20-3P 343627-23-6P 343627-29-2P 343627-30-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of androgenic 14,15-methyleneestr-4-en-3-one derivs.)

IT 108-98-5, Thiophenol, reactions 31528-46-8 35644-59-8 343626-73-3
RL: RCT (Reactant)
(prepn. of androgenic 14,15-methyleneestr-4-en-3-one derivs.)

IT **229634-72-4P** 229634-73-5P 300542-58-9P 319003-86-6P
319003-87-7P 319003-88-8P 319003-89-9P 319003-90-2P 319003-92-4P
343626-56-2P 343626-57-3P 343626-58-4P 343626-59-5P 343626-60-8P
343626-61-9P 343626-64-2P 343626-66-4P 343626-67-5P 343626-68-6P
343626-69-7P 343626-70-0P 343626-71-1P 343626-74-4P 343626-75-5P
343626-76-6P 343626-77-7P 343626-78-8P 343626-79-9P 343626-80-2P
343626-81-3P 343626-82-4P 343626-83-5P 343626-84-6P 343626-85-7P
343626-86-8P 343626-88-0P 343626-89-1P 343626-90-4P 343626-91-5P
343626-92-6P 343626-93-7P 343626-95-9P 343626-96-0P 343626-98-2P
343626-99-3P 343627-04-3P 343627-05-4P 343627-06-5P 343627-07-6P
343627-10-1P 343627-11-2P 343627-12-3P 343627-21-4P 343627-22-5P
343627-24-7P 343627-25-8P 343627-26-9P 343627-27-0P 343627-28-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of androgenic 14,15-methyleneestr-4-en-3-one derivs.)

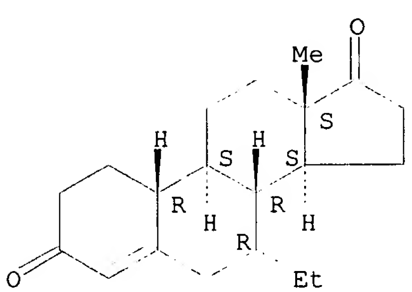
IT 343627-31-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of androgenic 14,15-methyleneestr-4-en-3-one derivs.)

IT **229634-72-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of androgenic 14,15-methyleneestr-4-en-3-one derivs.)

RN 229634-72-4 HCAPLUS

CN Estr-4-ene-3,17-dione, 7-ethyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L33 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2001 ACS

AN 2001:416962 HCAPLUS

DN 135:19816

TI Synthesis of 3-methylene steroid derivatives for the treatment of arthritic diseases and/or autoimmune diseases

IN Plate, Ralf; Bagchus, Wilhelmina Maria

PA **Akzo Nobel** N.V., Neth.

SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2

DT Patent

LA English

IC ICM C07J001-00

CC 32-5 (Steroids)

```
     Section cross-reference(s): 2
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.   DATE
     ---------------   ----  --------      ---------------   --------
PI   WO 2001040253      A2   20010607      WO 2000-EP11787   20001123
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            CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
            HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
            SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
            YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
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PRAI EP 1999-204000      A    19991129
OS   MARPAT 135:19816
GI
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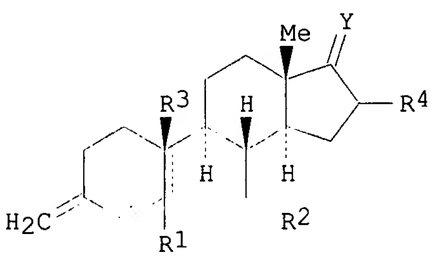


I

AB Synthesis of 3-methylene steroid deriv. (I) [R1 = H or together with R3 forms a .beta.-epoxide or R1 is absent if there is a 5-10 or 4-5 double bond; R2 = alkyl, CF3; R3 = .beta.H, .beta.CH3 or together with R1 forms a .beta.-epoxide or R3 is absent if there is a 5-10 double bond; R4 = H, lower alkyl; Y = 2H, (OH, H), =O, (OH, (un)substituted alkyl), (OH, (un)substituted alkenyl), (OH, (un)substituted alkynyl); (un)substituted alkylidene; dotted lines represent an optional double bond] or prodrugs thereof for the treatment of arthritic diseases and/or autoimmune diseases is presented. Thus, I (R1 = .beta.H; R2 = .alpha.Me; R3 = .beta.Me; R4 = H; Y = .beta.OH, .alpha.Et) (II) is prepd. in 81% yield by treatment of (5.beta.,7.alpha.,17.alpha.)-7-methyl-3-keto-19-norpregnan-17-ol with methyltriphenylphosphonium bromide. II shows a 50% redn. of delayed type hypersensitivity with s.c. injection of 6 mg/kg.

ST steroid methylene prepn antiarthritic; norpregnane estrane methylene prepn autoimmune disease treatment

IT Hormones, animal, preparation
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(steroid; synthesis of 3-methylene steroid derivs. for the treatment of arthritic diseases and/or autoimmune diseases)

IT Antiarthritics
Autoimmune disease
(synthesis of 3-methylene steroid derivs. for the treatment of arthritic diseases and/or autoimmune diseases)

IT 343248-74-8P 343248-75-9P 343248-76-0P 343248-77-1P 343248-78-2P 343248-79-3P 343248-80-6P 343248-81-7P 343248-82-8P 343248-83-9P 343248-84-0P 343248-85-1P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of 3-methylene steroid derivs. for the treatment of arthritic diseases and/or autoimmune diseases)

IT 74-99-7, Propyne 75-03-6, Ethyl iodide 1779-49-3, Methyltriphenylphosphonium bromide **5210-24-2** 5630-53-5,

Tibolone 13886-42-5 88247-84-1 317845-98-0 343248-92-0
343248-93-1
RL: RCT (Reactant)
(synthesis of 3-methylene steroid derivs. for the treatment of arthritic diseases and/or autoimmune diseases)

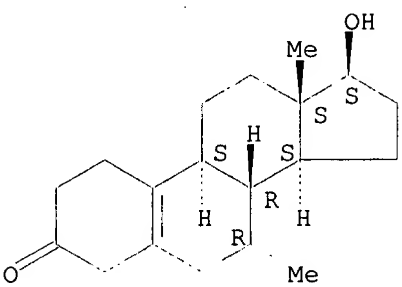
IT 343248-86-2P 343248-87-3P 343248-88-4P 343248-89-5P 343248-90-8P
343248-91-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 3-methylene steroid derivs. for the treatment of arthritic diseases and/or autoimmune diseases)

IT **5210-24-2**
RL: RCT (Reactant)
(synthesis of 3-methylene steroid derivs. for the treatment of arthritic diseases and/or autoimmune diseases)

RN 5210-24-2 HCAPLUS

CN Estr-5(10)-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L33 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2001 ACS

AN 2001:185776 HCAPLUS

DN 134:208008

TI Preparation of non-aromatic estrogenic steroids with a hydrocarbon substituent in position 11

IN Loozen, Hubert Jan Jozef; Veeneman, Gerrit Herman; Schoonen, Wilhelmus Gerardus Eduardus Joseph

PA **Akzo Nobel** N.V., Neth.

SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2

DT Patent

LA English

IC ICM C07J001-00
ICS A61K031-565; C07J071-00; C07J051-00

CC 32-3 (Steroids)
Section cross-reference(s): 2

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001018027	A1	20010315	WO 2000-EP8406	20000828

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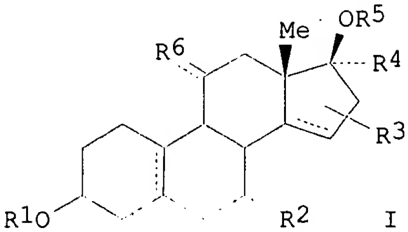
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

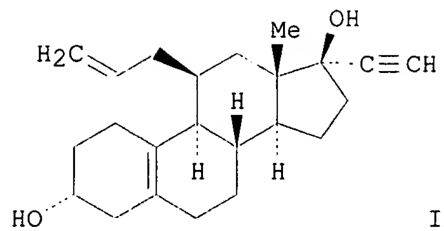
PRAI EP 1999-202900 A 19990906

OS MARPAT 134:208008

GI



I



II

AB Disclosed are novel, selective estrogens of formula I [R1, R5 = H, alkyl, acyl; R2, R3 = H, alkyl, alkenyl, alkynyl; R4 = H, alkyl, alkenyl, ethynyl, alkynyl; R6 = alkyl, alkenyl, alkynyl, alkylidene] having a steroid skeleton with a non-arom. A-ring and a free or capped hydroxyl group at carbon atom No. 3. Thus, II is prepd. and tested for estrogen receptor activity and antiestrogenic activity.
ST estrogenic steroid nonarom prepn estrogen deficiency dependent disorder; menopause estrogenic steroid nonarom prepn; osteoporosis estrogenic steroid nonarom prepn
IT Estrogens
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiestrogens; prepn. of 11-substituted non-arom. estrogenic steroids for the treatment of estrogen-deficiency dependent disorders)
IT Menopause
(disorder; prepn. of 11-substituted non-arom. estrogenic steroids for the treatment of estrogen-deficiency dependent disorders)
IT Contraceptives
Hormone replacement therapy
Osteoporosis
(prepn. of 11-substituted non-arom. estrogenic steroids for the treatment of estrogen-deficiency dependent disorders)
IT Estrogens
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 11-substituted non-arom. estrogenic steroids for the treatment of estrogen-deficiency dependent disorders)
IT Estrogen receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(prepn. of 11-substituted non-arom. estrogenic steroids for the treatment of estrogen-deficiency dependent disorders)
IT 329026-71-3P 329026-72-4P 329026-76-8P 329026-77-9P 329026-79-1P 329026-89-3P 329026-90-6P 329026-98-4P 329026-99-5P 329027-10-3P 329027-11-4P 329027-18-1P 329027-19-2P 329027-29-4P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 11-substituted non-arom. estrogenic steroids for the treatment of estrogen-deficiency dependent disorders)
IT 540-49-8, 1,2-Dibromoethene 1730-25-2, Allylmagnesium bromide 7103-09-5, 3-Butenylmagnesium bromide 24432-08-4 39931-87-8 100092-93-1 329026-80-4 **329027-00-1 329027-12-5**
RL: RCT (Reactant)
(prepn. of 11-substituted non-arom. estrogenic steroids for the treatment of estrogen-deficiency dependent disorders)
IT 39931-89-0P 55592-28-4P 100071-15-6P 100071-19-0P 100071-37-2P 100071-38-3P 160242-63-7P 160242-68-2P 160242-69-3P 191486-95-0P **226066-52-0P 226066-53-1P** 329026-62-2P 329026-64-4P 329026-66-6P 329026-67-7P 329026-68-8P 329026-69-9P 329026-70-2P 329026-73-5P 329026-74-6P 329026-75-7P 329026-78-0P 329026-81-5P 329026-82-6P 329026-83-7P 329026-84-8P 329026-85-9P 329026-86-0P

329026-87-1P 329026-88-2P 329026-91-7P 329026-92-8P 329026-93-9P
329026-94-0P 329026-95-1P 329026-96-2P 329026-97-3P 329027-01-2P
329027-02-3P 329027-03-4P 329027-04-5P 329027-05-6P 329027-06-7P
329027-07-8P 329027-08-9P 329027-09-0P 329027-13-6P 329027-14-7P
329027-15-8P 329027-16-9P 329027-17-0P 329027-20-5P 329027-21-6P
329027-22-7P 329027-23-8P 329027-24-9P 329027-25-0P 329027-26-1P
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329027-33-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 11-substituted non-arom. estrogenic steroids for the treatment of estrogen-deficiency dependent disorders)

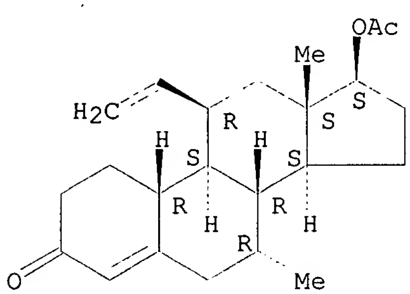
RE.CNT 9

RE
(1) Akzo Nobel NV; EP 0613687 A 1994 HCAPLUS
(2) Baran, J; US 3377366 A 1968 HCAPLUS
(3) Baran, J; US 3465010 A 1969
(4) Baran, J; US 3652606 A 1972 HCAPLUS
(5) Barton, D; US 3464979 A 1969
(6) Kloosterboer, H; WO 9945886 A 1999 HCAPLUS
(7) Loozen, H; WO 9418224 A 1994 HCAPLUS
(8) Nicholson, R; US 3092645 A 1963 HCAPLUS
(9) UpJohn Co; EP 0145493 A 1985 HCAPLUS

IT **329027-00-1 329027-12-5**
RL: RCT (Reactant)
(prepn. of 11-substituted non-arom. estrogenic steroids for the treatment of estrogen-deficiency dependent disorders)

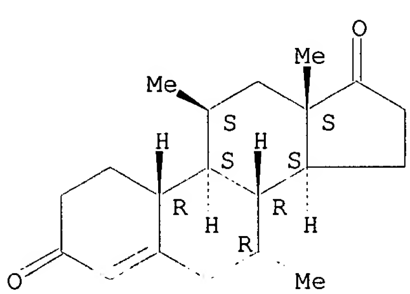
RN 329027-00-1 HCAPLUS
CN Estr-4-en-3-one, 17-(acetyloxy)-11-ethenyl-7-methyl-, (7.alpha.,11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 329027-12-5 HCAPLUS
CN Estr-4-ene-3,17-dione, 7,11-dimethyl-, (7.alpha.,11.beta.)- (9CI) (CA INDEX NAME)

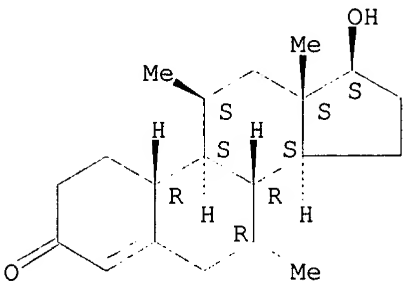
Absolute stereochemistry.



IT **226066-52-0P 226066-53-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 11-substituted non-arom. estrogenic steroids for the treatment of estrogen-deficiency dependent disorders)

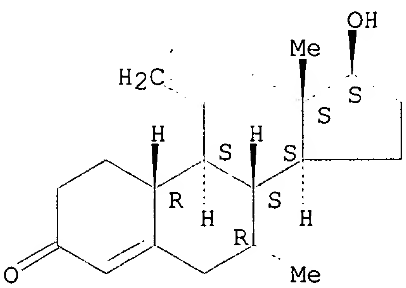
RN 226066-52-0 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7,11-dimethyl-, (7.alpha.,11.beta.,17.beta.)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 226066-53-1 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-11-methylene-, (7.alpha.,17.beta.)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L33 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2001 ACS
AN 2001:64010 HCAPLUS
DN 134:101064
TI Preparation of orally active androgens
IN Loozen, Hubert Jan Jozef; **Leysen, Dirk**; **Van der Louw, Jaap**
PA **Akzo Nobel** N.V., Neth.
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07J001-00
ICS A61K031-565; A61P005-26
CC 32-3 (Steroids)
Section cross-reference(s): 1
FAN.CNT 1

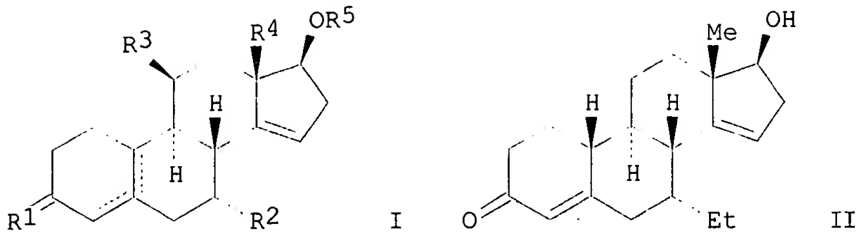
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001005806	A1	20010125	WO 2000-EP6544	20000710

W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL,
IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ,
PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, ZA, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 6313108	B1	20011106	US 2000-613350	20000711
PRAI	EP 1999-202348	A	19990716		

OS MARPAT 134:101064

GI



AB Novel 7.alpha.-substituted .DELTA.14 orally active androgens of formula I [R1 = O, H2, (substituted) OH, N-alkoxy; R2 = alkyl, alkenyl, cyclopropyl, etc.; R3 = H, alkyl, ethenyl; R4 = alkyl; R5 = H, acyl] are prepd. Thus, II was prepd. from 17.alpha.-hydroxy-19-norpregna-4,6-dien-20-yn-3-one in several steps. Compd. II was shown to be orally active in the LH suppression assay, and has metabolic stability.

ST androgen prepn orally active; male oral contraceptive androgen prepn

IT Contraceptives
(oral, male; prepn. of orally active androgens)

IT Androgens
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of orally active androgens)

IT Androgens
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(replacement therapy; prepn. of orally active androgens)

IT 319003-75-3P
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of orally active androgens)

IT 319003-76-4P 319003-77-5P 319003-78-6P 319003-79-7P 319003-80-0P 319003-81-1P 319003-82-2P 319003-83-3P 319003-84-4P 319003-85-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of orally active androgens)

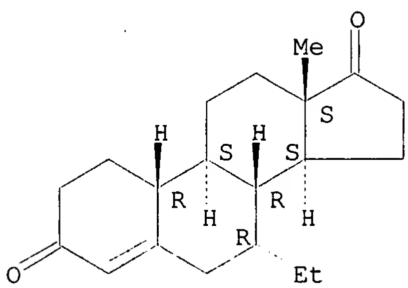
IT 2590-41-2 21800-83-9 31528-46-8 89031-84-5
RL: RCT (Reactant)
(prepn. of orally active androgens)

IT 18112-13-5P 24875-81-8P **229634-72-4P** 229634-73-5P **293303-46-5P** **293303-47-6P** 293303-48-7P 293303-49-8P 293303-50-1P 293303-51-2P 293303-52-3P 293303-53-4P 293303-54-5P 293303-56-7P 300542-24-9P 300542-25-0P 300542-58-9P 300542-76-1P 300542-77-2P 319003-86-6P 319003-87-7P 319003-88-8P 319003-89-9P 319003-90-2P 319003-92-4P 319003-93-5P 319003-94-6P 319003-95-7P 319003-96-8P 319003-97-9P 319003-98-0P 319003-99-1P 319004-00-7P 319004-01-8P 319004-02-9P 319004-03-0P 319004-04-1P 319004-05-2P 319004-06-3P 319004-07-4P 319004-08-5P 319004-09-6P 319004-10-9P 319004-11-0P 319004-12-1P 319004-13-2P 319004-14-3P 319004-15-4P 319004-16-5P 319004-17-6P 319004-18-7P 319004-19-8P 319004-20-1P 319004-21-2P 319004-22-3P 319004-23-4P 319004-25-6P 319004-27-8P 319004-28-9P 319004-31-4P 319004-33-6P 319004-35-8P 319004-37-0P 319004-39-2P 319004-41-6P 319004-43-8P 319004-45-0P 319004-47-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of orally active androgens)

IT 293303-55-6P 319003-91-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of orally active androgens)

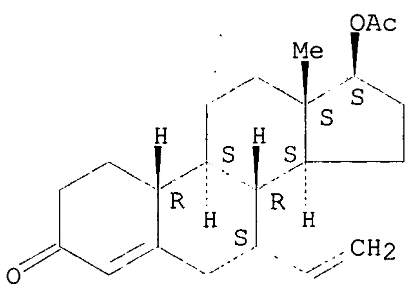
RE.CNT 3
RE
(1) Avery, M; STEROIDS: STRUCTURE, FUNCTION, AND REGULATION 1990, V55(2), P59 HCAPLUS
(2) Cochsner Med Found Alton; GB 1341601 A 1973 HCAPLUS
(3) Solo; STEROIDS 1982, V40(6), P603
IT **229634-72-4P 293303-46-5P 293303-47-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of orally active androgens)
RN 229634-72-4 HCAPLUS
CN Estr-4-ene-3,17-dione, 7-ethyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



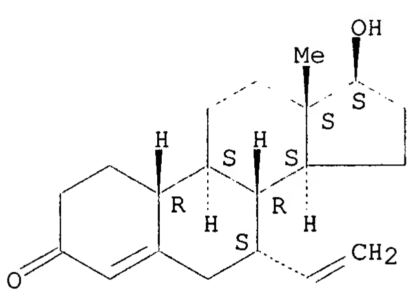
RN 293303-46-5 HCAPLUS
CN Estr-4-en-3-one, 17-(acetyloxy)-7-ethenyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 293303-47-6 HCAPLUS
CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L33 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2001 ACS
AN 2000:733601 HCAPLUS
DN 133:281951

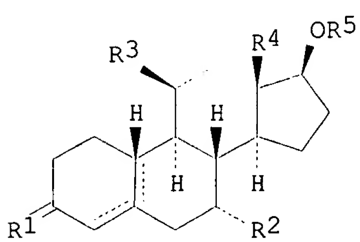
TI synthesis and activity of orally active androgens
IN **Van der Louw, Jaap; Leysen, Dirk; Buma Bursi, Roberta**
PA **Akzo Nobel** N. V., Neth.
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07J001-00
CC 32-3 (Steroids)
Section cross-reference(s): 2
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
	---------------	----	--------	---------------	--------	
PI	WO 2000059920	A2	20001012	WO 2000-EP2851	20000331	<--
	WO 2000059920	A3	20010215			

W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	EP 1043330	A1	20001011	EP 1999-201070	19990406

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
PRAI EP 1999-201070 A 19990406
OS MARPAT 133:281951
GI



I

AB Novel, orally active androgens (I) [R1 = O, (H, H), (H, OR), NOR, with R = H, alkyl, or acyl; R2 = alkyl, CHMe2, alkenyl, isopropenyl, propadienyl, or alkynyl, each optionally substituted by halogen; or R2 = cyclopropyl, or cyclopropenyl, each optionally substituted by alkyl, or halogen; R3 = H, alkyl, or ethenyl; R4 = alkyl; R5 = H, or acyl; and the dotted lines indicate optional bonds] are derivs. of 7.alpha.-methyl-19-nortestosterone. Thus, I (R1 = O, R2 = Et, R3 = H, R4 = Me, R5 = H, bond 4 5 double, bond 5 10 single) (II) is prepd. by copper catalyzed alkylation of (17.beta.)-17-[[(1,1-dimethylethyl)dimethylsilyl]oxy]estra-4,6-dien-3-one followed by trimethylsilylation of keto and desilylation with hydrochloric acid. II shows an ED50 of 2.5 mg/kg in assay to suppress serum LH.
ST nortestosterone methyl analog prepn; orally active androgen insufficiency treatment; male contraceptive kit progestogen oral
IT Progestogens
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(for male contraceptive kit; synthesis and activity of orally active androgens)
IT Androgens
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(insufficiency treatment; synthesis and activity of orally active androgens)

IT Contraceptives
(male, kit of progestagen; synthesis and activity of orally active androgens)

IT 32297-29-3P **293303-47-6P 300542-15-8P**
300542-16-9P 300542-17-0P 300542-18-1P
300542-19-2P 300542-20-5P 300542-21-6P
300542-22-7P 300542-23-8P 300542-24-9P 300542-25-0P
300542-26-1P 300542-27-2P 300542-28-3P
300542-29-4P 300542-30-7P 300542-31-8P
300542-32-9P 300542-33-0P 300542-34-1P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of orally active androgens)

IT **300542-83-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of orally active androgens)

IT 62-23-7, 4-Nitrobenzoic acid 74-96-4, Bromoethane 105-53-3, Diethyl malonate 540-63-6, 1,2-Ethanedithiol 1530-32-1, Ethyltriphenylphosphonium bromide 2590-41-2 3536-96-7, Vinylmagnesium chloride 5293-84-5, (Chloromethyl)triphenylphosphonium chloride 13154-15-9 21800-83-9 56896-41-4 116506-60-6 133152-37-1 213890-36-9
RL: RCT (Reactant)
(synthesis and activity of orally active androgens)

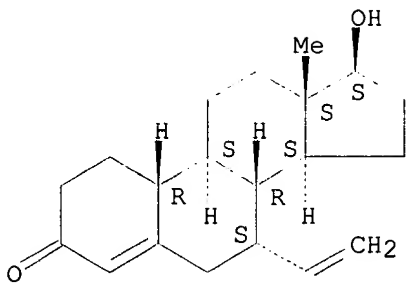
IT 153004-23-0P 213889-77-1P **293303-46-5P** 300542-35-2P
300542-36-3P 300542-37-4P 300542-38-5P 300542-39-6P
300542-40-9P 300542-41-0P 300542-42-1P 300542-43-2P 300542-44-3P
300542-45-4P 300542-46-5P **300542-47-6P** 300542-48-7P
300542-49-8P **300542-50-1P** 300542-51-2P 300542-52-3P
300542-53-4P 300542-54-5P 300542-55-6P 300542-56-7P 300542-57-8P
300542-58-9P 300542-59-0P 300542-60-3P 300542-61-4P 300542-62-5P
300542-63-6P 300542-64-7P 300542-65-8P 300542-66-9P 300542-67-0P
300542-68-1P 300542-69-2P 300542-70-5P 300542-71-6P 300542-72-7P
300542-73-8P 300542-74-9P 300542-75-0P 300542-76-1P 300542-77-2P
300542-78-3P 300542-79-4P 300542-80-7P 300542-81-8P 300542-82-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and activity of orally active androgens)

IT **293303-47-6P 300542-15-8P 300542-16-9P**
300542-17-0P 300542-18-1P 300542-19-2P
300542-20-5P 300542-21-6P 300542-22-7P
300542-23-8P 300542-26-1P 300542-27-2P
300542-28-3P 300542-29-4P 300542-30-7P
300542-31-8P 300542-32-9P 300542-33-0P
300542-34-1P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of orally active androgens)

RN 293303-47-6 HCAPLUS

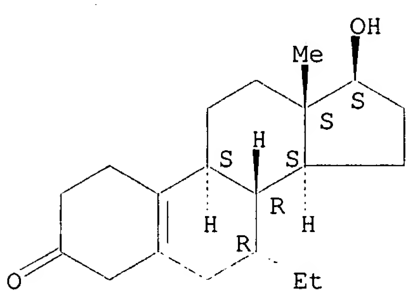
CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



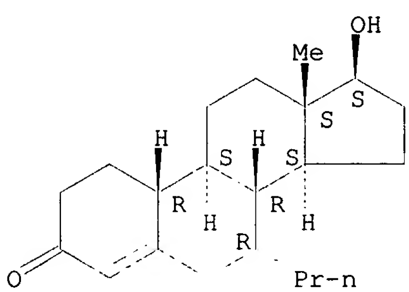
RN 300542-15-8 HCAPLUS
CN Estr-5(10)-en-3-one, 7-ethyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



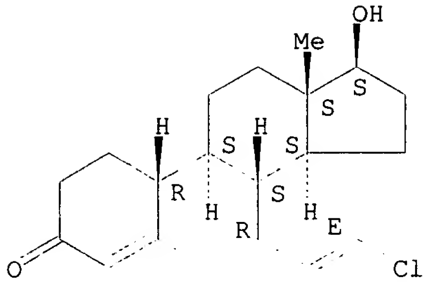
RN 300542-16-9 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-propyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



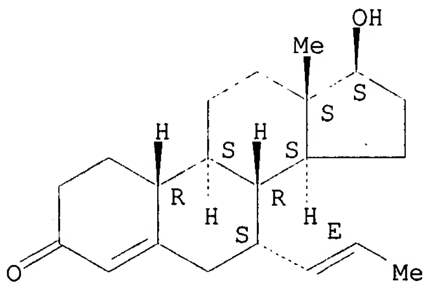
RN 300542-17-0 HCAPLUS
CN Estr-4-en-3-one, 7-[(1E)-2-chloroethenyl]-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



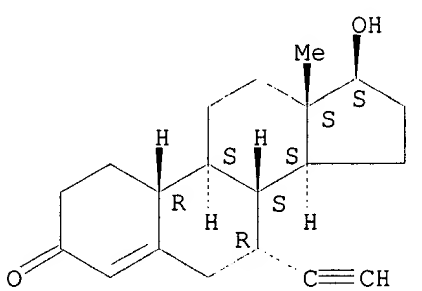
RN 300542-18-1 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-(1E)-1-propenyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



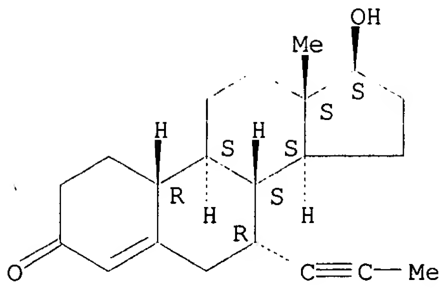
RN 300542-19-2 HCAPLUS
CN Estr-4-en-3-one, 7-ethynyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



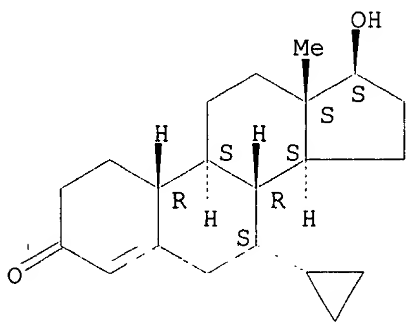
RN 300542-20-5 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-(1-propynyl)-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



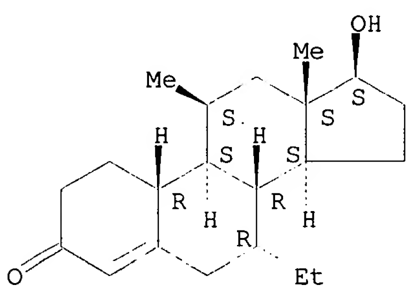
RN 300542-21-6 HCAPLUS
CN Estr-4-en-3-one, 7-cyclopropyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



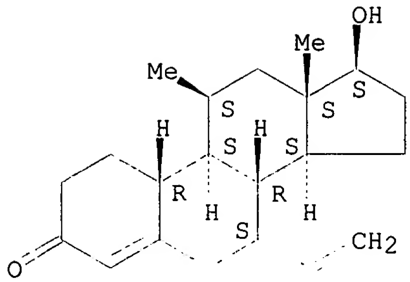
RN 300542-22-7 HCAPLUS
CN Estr-4-en-3-one, 7-ethyl-17-hydroxy-11-methyl-,
(7.alpha.,11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



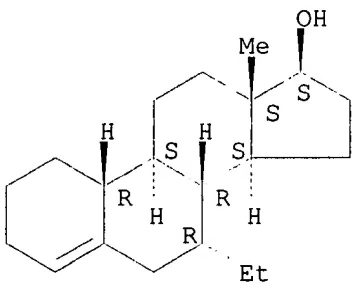
RN 300542-23-8 HCAPLUS
CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-11-methyl-,
(7.alpha.,11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



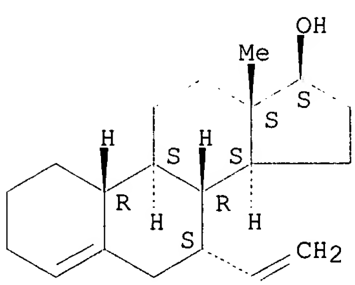
RN 300542-26-1 HCAPLUS
CN Estr-4-en-17-ol, 7-ethyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



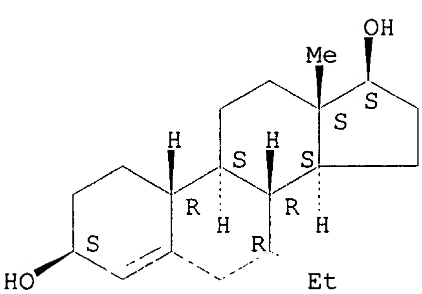
RN 300542-27-2 HCAPLUS
CN Estr-4-en-17-ol, 7-ethenyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 300542-28-3 HCAPLUS
CN Estr-4-ene-3,17-diol, 7-ethyl-, (3.beta.,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

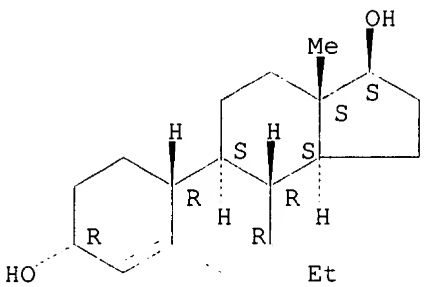
Absolute stereochemistry.



RN 300542-29-4 HCAPLUS

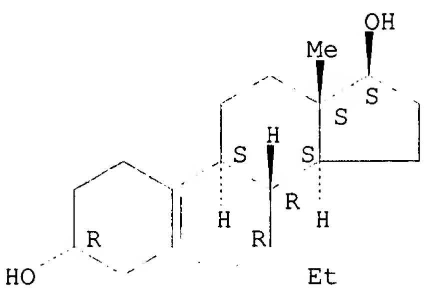
CN Estr-4-ene-3,17-diol, 7-ethyl-, (3.alpha.,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



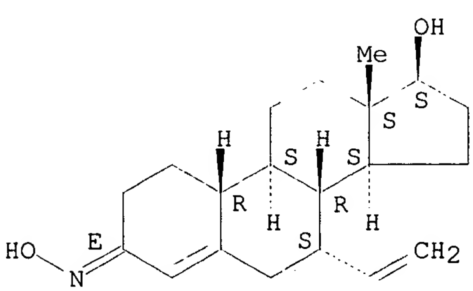
RN 300542-30-7 HCAPLUS
CN Estr-5(10)-ene-3,17-diol, 7-ethyl-, (3.alpha.,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



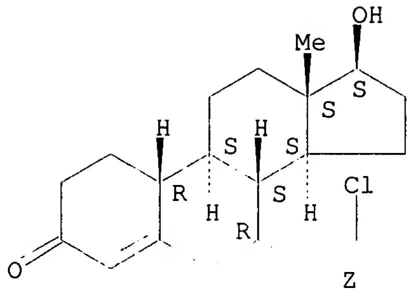
RN 300542-31-8 HCAPLUS
CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-, oxime, (3E,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



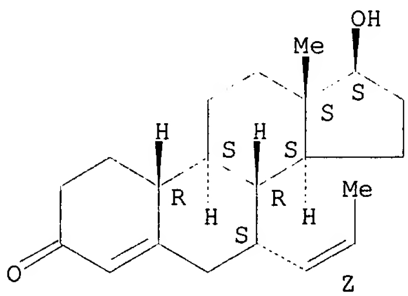
RN 300542-32-9 HCAPLUS
CN Estr-4-en-3-one, 7-[(1Z)-2-chloroethenyl]-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



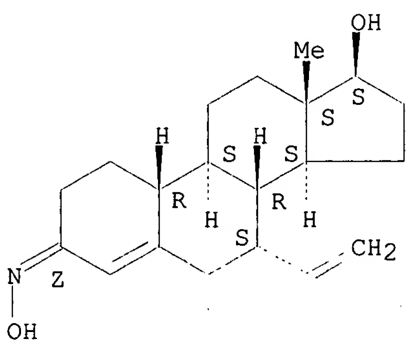
RN 300542-33-0 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-(1Z)-1-propenyl-, (7.alpha.,17.beta.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



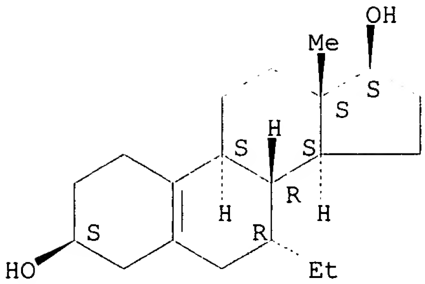
RN 300542-34-1 HCAPLUS
CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-, oxime, (3Z,7.alpha.,17.beta.)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



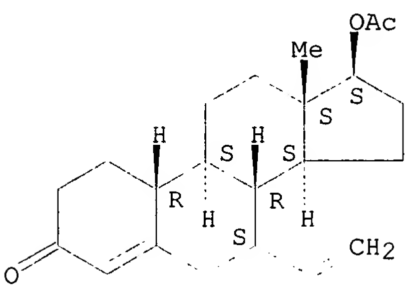
IT **300542-83-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of orally active androgens)
RN 300542-83-0 HCAPLUS
CN Estr-5(10)-ene-3,17-diol, 7-ethyl-, (3.beta.,7.alpha.,17.beta.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



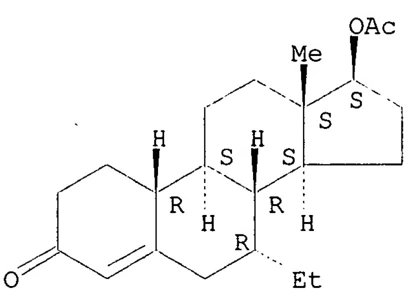
IT 293303-46-5P 300542-36-3P 300542-47-6P
300542-50-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and activity of orally active androgens)
RN 293303-46-5 HCAPLUS
CN Estr-4-en-3-one, 17-(acetyloxy)-7-ethenyl-, (7.alpha.,17.beta.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



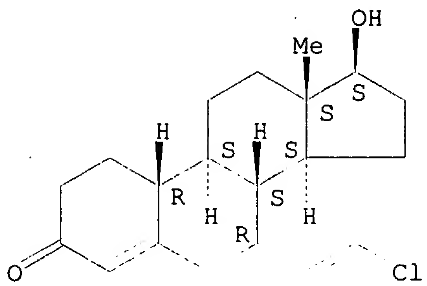
RN 300542-36-3 HCAPLUS
CN Estr-4-en-3-one, 17-(acetyloxy)-7-ethyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



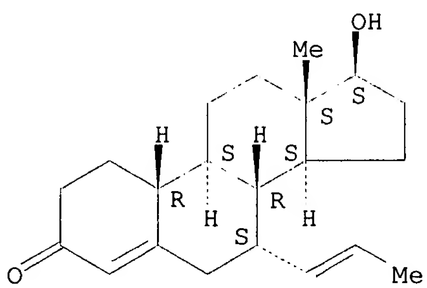
RN 300542-47-6 HCAPLUS
CN Estr-4-en-3-one, 7-(2-chloroethenyl)-17-hydroxy-, (7.alpha.,17.beta.)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 300542-50-1 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-(1-propenyl)-, (7.alpha.,17.beta.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L33 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2001 ACS
AN 2000:646025 HCAPLUS
DN 133:238171
TI preparation of 14.beta.,17.alpha.-hydroxymethylandrostane derivatives as androgens
IN Loozen, Hubert Jan Jozef; **Leysen, Dirk; Van der Louw, Jaap**
PA **Akzo Nobel** N.V., Neth.
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07J015-00
ICS A61K031-565; C07J053-00
CC 32-4 (Steroids)
Section cross-reference(s): 1, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000053619	A1	20000914	WO 2000-EP1755	20000302

W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI EP 1999-200665 A 19990308
OS MARPAT 133:238171
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I wherein R1 = O, (H,H), (H, OR), NOR, R = H, (C1-6) alkyl, (C1-6) acyl; R2 = H, (C1-6) alkyl, or halo; R3 = H, (C1-6) alkyl, (C2-6) alkenyl, (C2-6) alkynyl; R4 = H, halo, or cyano; or R4 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl, (C2-6) alkynyl; R5 = H, or (C1-6) alkyl; R6 = H, (C1-6) alkoxy, or halo; or R6 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl, (C2-6) alkynyl, a (C1-6) alkylidene group, or a (C2-6) alkylidene group; R7 = H, or (C1-6) alkyl; R8 = (C1-6) alkyl; R9 = H, halo cyano; or R9 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl, or (C2-6) alkynyl; R10 = H, (C1-6) alkoxy, halo, or cyano; or R10 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl or (C2-6) alkynyl; R10 R11 may form a cyclopropane ring; R11 = H, (C1-6) alkoxy, halo, cyano; or R11 = (un)substituted (C2-6) alkenyl or (C2-6) alkynyl, R11 R10 may form a cyclopropane ring; R12 = H, OH, halo, or cyano; or R12 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl or (C2-6) alkynyl; R13, R14 = H, cyano, (un)substituted Ph; or R13, R14 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl, (C3-6) cycloalkyl, (C5-6)cycloalkenyl, (C2-6) alkynyl; R13 R14 may form a (C3-6) cycloalkane ring or a (C5-6) cycloalkene ring; R15 = H, SO3H, (C1-6) alkyl, (C1-15) acyl; and the dotted lines indicate optional bonds were prepd. I is not 20-hydroxy-14.beta.,17.alpha.-19-norpregn-4-en-3-one, (3.beta.,5.alpha.,14.beta.,17.alpha.)-pregna-3,20-diol, (3.beta.,14.beta.,17.alpha.)-pregna-5,9(11)-dien-3,20-diol, and (14.beta.,17.alpha.)-20-hydroxy-19-norpregn-4-en-3-one. Thus, a soln. of (14.beta.,17.alpha.)-3-methoxyestra-2,5(10)-diene-17-methanol (II) in a mixt. of methanol and THF was treated with a soln. of oxalic acid in water, after 1.5 h stirring at room temp., the reaction mixt. was poured into water and the product was extd. with Et acetate, the combined org. phase were washed with satd. aq. soln. of sodium bicarbonate and brine, dried over sodium sulfate and concd. under reduced pressure, column chromatog. afforded (14.beta.,17.alpha.)-17-(hydroxymethyl)estr-5(10)-en-3-one (III). I were screened for androgenic activity. They can be used for the prepn. of an agent for male contraception, as well as for the prepn. of a medicament for the treatment of androgen insufficiency.

ST hydroxymethylandrostane deriv prepn androgen

IT Contraceptives
(prepn. of 14.beta., 17.alpha.-hydroxymethylandrostane derivs. as androgens)

IT Androgens
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 14.beta., 17.alpha.-hydroxymethylandrostane derivs. as androgens)

IT 293302-69-9P 293304-07-1P
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 14.beta., 17.alpha.-hydroxymethylandrostane derivs. as androgens)

IT 17554-46-0P 293302-67-7P 293302-71-3P 293302-77-9P 293302-86-0P
293303-04-5P 293303-13-6P 293303-20-5P 293303-25-0P 293303-31-8P
293303-38-5P 293303-42-1P 293303-45-4P 293303-62-5P 293303-69-2P
293303-76-1P 293303-82-9P 293303-83-0P 293303-84-1P 293303-85-2P
293303-86-3P 293303-89-6P 293303-90-9P 293303-98-7P 293303-99-8P
293304-00-4P 293304-01-5P 293304-08-2P 293304-09-3P 293304-14-0P
293304-15-1P 293304-16-2P 293304-17-3P 293304-26-4P 293304-27-5P
293304-43-5P 293304-45-7P 293304-46-8P 293304-50-4P 293304-51-5P
293304-54-8P 293304-59-3P 293304-61-7P 293304-62-8P 293304-64-0P
293304-66-2P 293304-67-3P 293304-68-4P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 14.beta., 17.alpha.-hydroxymethylandrostane derivs. as androgens)

IT 107-21-1, 1,2-Ethanediol, reactions 109-92-2 540-63-6, 1,2-Ethanedithiol 1239-33-4 2590-41-2 3536-96-7, Vinylmagnesium

chloride 17253-50-8 17550-10-6 17748-69-5 35644-59-8 293304-52-6
RL: RCT (Reactant)
(prepn. of 14.beta., 17.alpha.-hydroxymethylandrostane derivs. as androgens)

IT 24357-33-3P 33203-18-8P 61252-30-0P 116948-86-8P 116948-87-9P
116948-89-1P 116948-90-4P 133522-46-0P 293302-65-5P 293302-72-4P
293302-73-5P 293302-74-6P 293302-75-7P 293302-76-8P 293302-78-0P
293302-79-1P 293302-80-4P 293302-81-5P 293302-82-6P 293302-83-7P
293302-84-8P 293302-85-9P 293302-87-1P 293302-88-2P 293302-89-3P
293302-90-6P 293302-91-7P 293302-92-8P 293302-93-9P 293302-94-0P
293302-95-1P 293302-96-2P 293302-97-3P 293302-98-4P 293302-99-5P
293303-00-1P 293303-01-2P 293303-02-3P 293303-03-4P 293303-05-6P
293303-06-7P 293303-07-8P 293303-08-9P 293303-09-0P 293303-10-3P
293303-11-4P 293303-12-5P 293303-14-7P 293303-15-8P 293303-16-9P
293303-17-0P 293303-18-1P 293303-19-2P 293303-21-6P 293303-22-7P
293303-23-8P 293303-24-9P 293303-26-1P 293303-27-2P 293303-28-3P
293303-29-4P 293303-30-7P 293303-33-0P 293303-34-1P 293303-35-2P
293303-36-3P 293303-37-4P 293303-39-6P 293303-40-9P 293303-41-0P
293303-43-2P 293303-44-3P **293303-46-5P 293303-47-6P**
293303-48-7P 293303-49-8P 293303-50-1P 293303-51-2P 293303-52-3P
293303-53-4P 293303-54-5P 293303-56-7P 293303-57-8P 293303-58-9P
293303-59-0P 293303-60-3P 293303-61-4P 293303-63-6P 293303-64-7P
293303-65-8P 293303-66-9P 293303-67-0P 293303-68-1P 293303-70-5P
293303-71-6P 293303-72-7P 293303-73-8P 293303-74-9P 293303-75-0P
293303-77-2P 293303-78-3P 293303-79-4P 293303-80-7P 293303-95-4P
293303-96-5P 293303-97-6P 293304-02-6P 293304-03-7P 293304-04-8P
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293304-25-3P 293304-28-6P 293304-29-7P 293304-30-0P 293304-31-1P
293304-32-2P 293304-33-3P 293304-34-4P 293304-35-5P 293304-36-6P
293304-37-7P 293304-38-8P 293304-39-9P 293304-40-2P 293304-41-3P
293304-42-4P 293304-47-9P 293304-48-0P 293304-49-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 14.beta., 17.alpha.-hydroxymethylandrostane derivs. as androgens)

IT 293304-53-7P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 14.beta., 17.alpha.-hydroxymethylandrostane derivs. as androgens)

IT 293303-55-6P 293303-81-8P 293303-87-4P 293303-88-5P 293303-91-0P
293303-92-1P 293303-93-2P 293303-94-3P 293304-18-4P 293304-19-5P
293304-20-8P 293304-21-9P 293304-22-0P 293304-23-1P 293304-24-2P
293304-44-6P 293304-55-9P 293304-56-0P 293304-57-1P 293304-58-2P
293304-60-6P 293304-63-9P 293304-65-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 14.beta., 17.alpha.-hydroxymethylandrostane derivs. as androgens)

RE.CNT 7

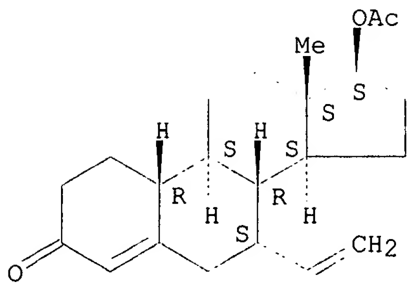
RE
(1) Akzo Nv; EP 0277676 A 1988 HCAPLUS
(2) Barton, D; Journal of the Chemical Society 1957, V6, P2698
(3) Da Silva Campos Neves, A; Bol Escola Farm Univ Coimbra 1957, V17, P1
(4) Okada, M; Chemical and Pharmaceutical Bulletin 1968, V16(11), P2223 HCAPLUS
(5) Perelman, M; US 3086027 A 1963 HCAPLUS
(6) Res Corp Technologies Inc; WO 9315104 A 1993 HCAPLUS
(7) Shoppee, C; Helvetica Chimica Acta 1944, V27, P246

IT **293303-46-5P 293303-47-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 14.beta., 17.alpha.-hydroxymethylandrostane derivs. as androgens)

RN 293303-46-5 HCAPLUS

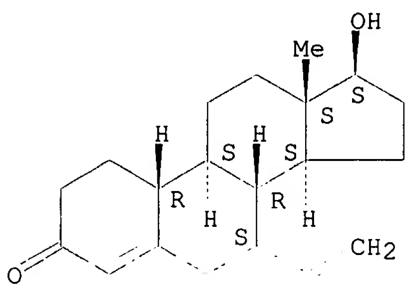
CN Estr-4-en-3-one, 17-(acetyloxy)-7-ethenyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 293303-47-6 HCAPLUS
CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L33 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2001 ACS
AN 1999:819397 HCAPLUS
DN 132:50158
TI Preparation of (7.alpha.,17.beta.)-7-methyl-17-[(1-oxoundecyl)oxy]estr-4-en-3-one
IN **Leysen, Dirk**; Van der Voort, Hendrikus Adrianus Antonius
PA **Akzo Nobel** N.V., Neth.
SO PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07J001-00
ICS A61K031-565
CC 32-3 (Steroids)
Section cross-reference(s): 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9967271	A1	19991229	WO 1999-EP4102	19990614
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9946101	A1	20000110	AU 1999-46101	19990614
	BR 9911344	A	20010313	BR 1999-11344	19990614
	EP 1087986	A1	20010404	EP 1999-929208	19990614
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	NO 2000006455	A	20001218	NO 2000-6455	20001218
PRAI	EP 1998-202052	A	19980619		
	WO 1999-EP4102	W	19990614		

AB The invention is the novel androgen (7.alpha.,17.beta.)-7-methyl-17-[(1-

oxoundecyl)oxy]estr-4-en-3-one (MENT undecanoate). This compd. distinguishes favorably from other testosterone derivs. in that it has a good soly. in oily media. It particularly exhibits a good dissolved potency relative to testosterone. The compd. is particularly suitable for administration by means of injection. Thus, MENT undecanoate was prepd. from 17.beta.-hydroxy-7.alpha.-methylestr-4-en-3-one and undecanoyl chloride. The relative dissolved potency (RDP) of MENT undecanoate was > 200 compared to testosterone.

ST estrenone methyl undecanoate prepn soluble androgen; contraceptive male estrenone methyl undecanoate prepn

IT Contraceptives
(male; prepn. of (7.alpha.,17.beta.)-7-methyl-17-(undecanoyloxy)estr-4-en-3-one as a sol. androgen)

IT Solubility
(prepn. of (7.alpha.,17.beta.)-7-methyl-17-(undecanoyloxy)estr-4-en-3-one as a sol. androgen)

IT Androgens
RL: BAC (Biological activity or effector, except adverse); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (7.alpha.,17.beta.)-7-methyl-17-(undecanoyloxy)estr-4-en-3-one as a sol. androgen)

IT **252847-27-1P**, MENT undecanoate
RL: BAC (Biological activity or effector, except adverse); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (7.alpha.,17.beta.)-7-methyl-17-(undecanoyloxy)estr-4-en-3-one as a sol. androgen)

IT **3764-87-2**, 17.beta.-Hydroxy-7.alpha.-methylestr-4-en-3-one
17746-05-3, Undecanoyl chloride
RL: RCT (Reactant)
(prepn. of (7.alpha.,17.beta.)-7-methyl-17-(undecanoyloxy)estr-4-en-3-one as a sol. androgen)

RE.CNT 5

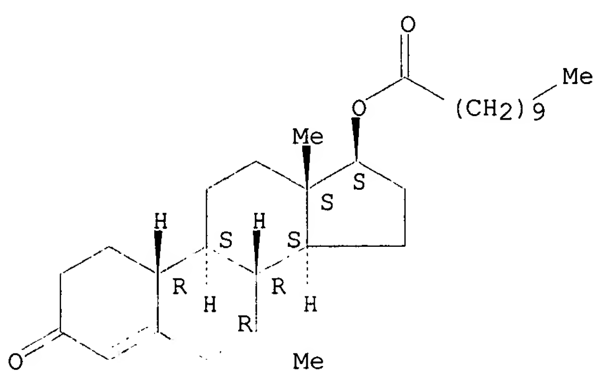
RE
(1) Chaudry, M; Journal of Medicinal Chemistry 1974, V17(2), P157 HCAPLUS
(2) Davidson, D; J Steroid Biochem 1987, V26(6), P713 HCAPLUS
(3) Kumar, N; J Androl 1997, V18(4), P352 HCAPLUS
(4) Wayne, B; US 5342834 A 1994
(5) Zhang, Y; Zhejiang Yike Daxue Xuebao 1985, V14(3), P101

IT **252847-27-1P**, MENT undecanoate
RL: BAC (Biological activity or effector, except adverse); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (7.alpha.,17.beta.)-7-methyl-17-(undecanoyloxy)estr-4-en-3-one as a sol. androgen)

RN 252847-27-1 HCAPLUS

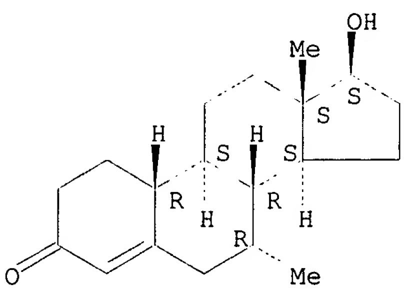
CN Estr-4-en-3-one, 7-methyl-17-[(1-oxoundecyl)oxy]-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT **3764-87-2**, 17.beta.-Hydroxy-7.alpha.-methylestr-4-en-3-one
RL: RCT (Reactant)
(prepn. of (7.alpha.,17.beta.)-7-methyl-17-(undecanoyloxy)estr-4-en-3-one as a sol. androgen)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



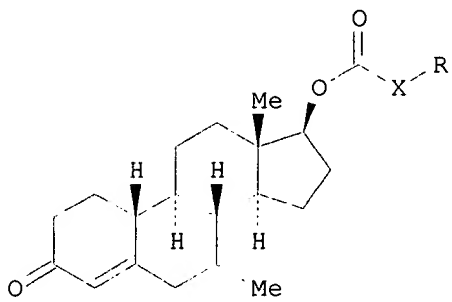
L33 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2001 ACS
AN 1999:819396 HCAPLUS
DN 132:50157
TI Preparation of cycloalkyl-carboxylic acid esters of 7.alpha.-methylestr-4-en-3-one 17.beta.-ol (19-nor-7.alpha.-methyltestosterone)
IN **Leysen, Dirk**; Van Der Voort, Hendrikus Adrianus Antonius; **Van Der Louw, Jaap**
PA **Akzo Nobel** N. V., Neth.
SO PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07J001-00
ICS A61K031-565
CC 32-3 (Steroids)
Section cross-reference(s): 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9967270	A1	19991229	WO 1999-EP4101	19990614

W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	AU 9945130	A1	20000110	AU 1999-45130	19990614
PRAI	EP 1998-202051		19980619		
	WO 1999-EP4101		19990614		

OS MARPAT 132:50157
GI



I

AB The novel androgen (7.alpha.,17.beta.)-17-[[(trans-4-butylcyclohexyl)carbonyl]oxy]-7-methylestr-4-en-3-one (MENT bucyclate) and related cycloalkyl esters of formula I [X = cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl; R = H, alkyl] are prepd. This compd. distinguishes favorably from other testosterone derivs. in that it has a good soly. in oily media. It particularly exhibits a good dissolved potency relative to testosterone. The compd. is particularly suitable for administration by means of injection. Thus, MENT bucyclate is prepd. from trans-4-butylcyclohexanecarboxylic acid and 17.beta.-hydroxy-7.alpha.-methylestr-4-en-3-one. The relative dissolved potency (RDP) of MENT bucyclate was 1000 compared to testosterone in arachis oil.

ST testosterone cycloalkyl carboxylic acid ester prepn soluble androgen; estrenone methylhydroxy cycloalkylcarboxylic acid ester prepn soluble androgen; contraceptive male estrenone methylhydroxy cycloalkylcarboxylic acid ester prepn

IT Contraceptives
(male; prepn. of cycloalkyl-carboxylic acid esters of 17.beta.-hydroxy-7.alpha.-methylestr-4-en-3-one as sol. androgens)

IT Hormone replacement therapy
Solubility
(prepn. of cycloalkyl-carboxylic acid esters of 17.beta.-hydroxy-7.alpha.-methylestr-4-en-3-one as sol. androgens)

IT Androgens
RL: BAC (Biological activity or effector, except adverse); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cycloalkyl-carboxylic acid esters of 17.beta.-hydroxy-7.alpha.-methylestr-4-en-3-one as sol. androgens)

IT 105165-22-8P 252747-82-3P 252747-84-5P 252747-85-6P 252747-86-7P 252747-87-8P 252747-89-0P 252747-90-3P 252747-91-4P 252747-92-5P 252747-93-6P 252747-94-7P 252747-95-8P 252747-96-9P 252747-97-0P 252747-99-2P 252763-10-3P 252766-07-7P, MENT bucyclate
RL: BAC (Biological activity or effector, except adverse); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cycloalkyl-carboxylic acid esters of 17.beta.-hydroxy-7.alpha.-methylestr-4-en-3-one as sol. androgens)

IT 58-22-0, Testosterone **3764-87-2** 38289-28-0, trans-4-Butylcyclohexanecarboxylic acid
RL: RCT (Reactant)
(prepn. of cycloalkyl-carboxylic acid esters of 17.beta.-hydroxy-7.alpha.-methylestr-4-en-3-one as sol. androgens)

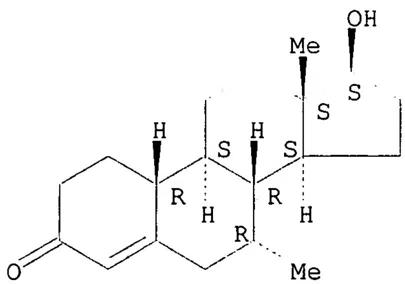
IT 67589-89-3P, trans-4-Butylcyclohexanecarbonyl chloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of cycloalkyl-carboxylic acid esters of 17.beta.-hydroxy-7.alpha.-methylestr-4-en-3-one as sol. androgens)

RE.CNT 5

RE
(1) Hunt, W; Physiology and Behavior 1973, V11(6), P893 HCAPLUS
(2) Matlin, S; Journal of High Resolution Chromatography and Chromatography Communications 1987, V10(4), P186 HCAPLUS

(3) Rajalakshmi, M; Contraception 1990, V42(2), P235 HCAPLUS
(4) Sydney, A; US 4948790 A 1990 HCAPLUS
(5) Wayne, B; US 5342834 A 1994
IT **3764-87-2**
RL: RCT (Reactant)
(prepn. of cycloalkyl-carboxylic acid esters of 17.beta.-hydroxy-7.alpha.-methylestr-4-en-3-one as sol. androgens)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> s l41 not l33
L42 54 L41 NOT L33

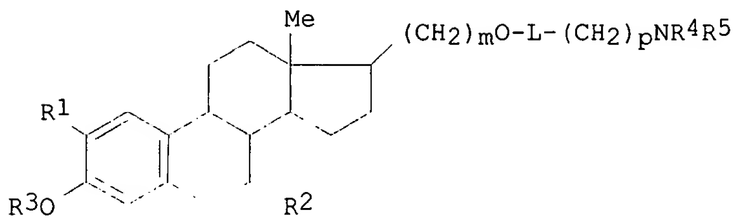
=> d bib abs fhitstr hitrn tot l42

L42 ANSWER 1 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 2001:627225 HCAPLUS
DN 135:195698
TI Preparation of anti-estrogenic steroids, and associated pharmaceutical compositions and methods of use
IN Tanabe, Masato; Peters, Richard H.; Chao, Wan-ru; Jonc
PA Sri International, USA
SO U.S., 50 pp., Cont.-in-part of U.S. 6,054,446.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

additional ref, compounds of claim 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6281205	B1	20010828	US 1998-220408	
	US 6054446	A	20000425	US 1997-998877	19971224 <--
PRAI	US 1997-998877	A2	19971224 <--		

OS MARPAT 135:195698
GI



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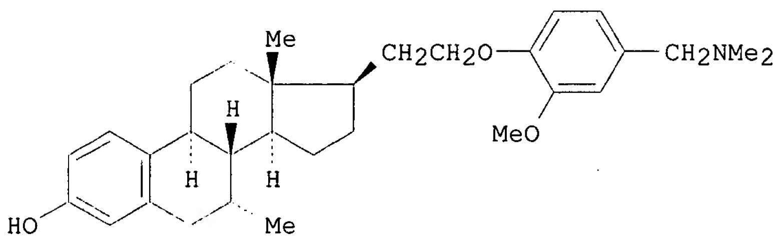


II

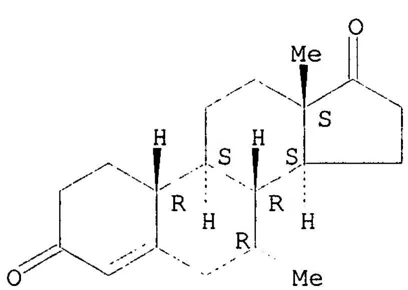
AB Novel antiestrogenic compds. are prepd. which are useful to treat a variety of disorders, particularly estrogen-dependent disorders. Preferred compds. have a 1,3,5(10)-estratriene nucleus, and are substituted at the C-17 or C-11 position with a mol. moiety which renders the compds. effective to competitively block the binding of estrogen to its receptor. Particularly preferred compds. are 17-desoxy-1,3,5(10)-estratrienes, e.g. of formula I [R1 = H, alkoxy, halo, CN, etc.; R2 = H, OH, alkyl, etc.; R3 = H, alkyl, acyl, SO2NH2, etc.; R4, R5 = H, alkyl, heterocyclyl; etc.; L = (substituted) five- or six-membered cyclic moiety; m = 1-6; p = 0-6]. Thus, II citrate salt was prepd. and showed strong growth inhibitory activity against MCF-7 human mammary tumor at 10 mg/kg/day. Therapeutic methods and pharmaceutical compns. are provided as well.

IT **17000-78-1**
RL: RCT (Reactant)
(prepn. of antiestrogenic steroids)

RN 17000-78-1 HCAPLUS

CN Estr-4-ene-3,17-dione, 7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **17000-78-1**
RL: RCT (Reactant)
(prepn. of antiestrogenic steroids)

IT **229634-72-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of antiestrogenic steroids)

RE.CNT 38

RE

(1) Anner; US 3318925 1967 HCAPLUS
(4) Anon; WO 8700175 1987 HCAPLUS
(5) Anon; WO 9807740 1998 HCAPLUS
(6) Benn; US 3318917 1967 HCAPLUS

(7) Benn; US 3448126 1969 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 2 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 2001:598005 HCAPLUS
DN 135:180905
TI Preparation of antiestrogenic steroids
IN Peters, Richard H.; Liu, Jyanwei; Johansson, John G.; Ryan, Kenneth J.; Chao, Wan-ru; Tanabe, Masato
PA Sri International, USA
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2001058919	A2	20010816	WO 2001-US4266	20010209	<--
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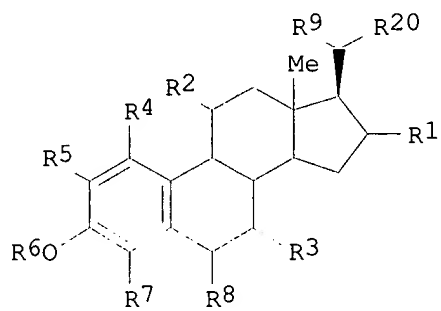
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR					
	US 2001039269	A1	20011108	US 2001-780990	20010209	<--
PRAI	US 2000-181738	P	20000211	<--		

OS MARPAT 135:180905
GI



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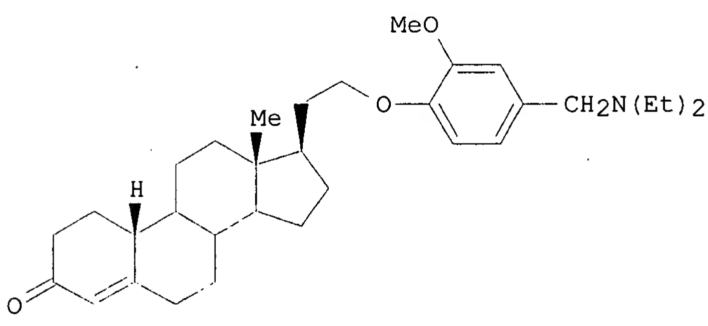


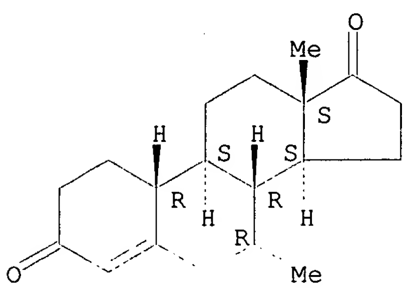
II

AB Steroid derivs., such as, [I; R1 = H, alkyl; R2 = H, OH, alkyl, alkoxyl, thioalkyl; R3-R5, R7, R9 = H, alkyl; R6 = H, alkyl, acyl, etc.; R8 = H, OH, oxo, alkoxyl, acyloxy; R10 = Me, Et; R20 = OH, CH2OH, -(CH2)m-O-p-(subs)C6H4-(CH2)p-1(CO)tNR21R22; m = 0-1; p = 1-7; t = 0-1; R21, R22 = alkyl; R21R22 = cycloalkyl, heterocycloalkyl], or a pharmaceutically acceptable salt thereof, were prepd to treat a variety of disorders, particularly estrogen-dependent disorders including prostatic cancer. Thus, 3-hydroxy-7.alpha.-methyl-21-[2'-methoxy-4'-(diethylaminomethyl)phenoxy]-19-norpregna-1,3,5(10)triene citrate ("SR

16234") was prepd. via a multistep synthetic sequence starting from estrone-3-Me ether. SR 16312 (II) exhibited 100% inhibition on androgen-independent human prostate cancer cells, DU145 cells and androgen-dependent PC-3 cells.

IT **17000-78-1**, SR 16278
RL: RCT (Reactant)
(inhibitory effect on androgen independent human prostate cancer cells)
RN 17000-78-1 HCAPLUS
CN Estr-4-ene-3,17-dione, 7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

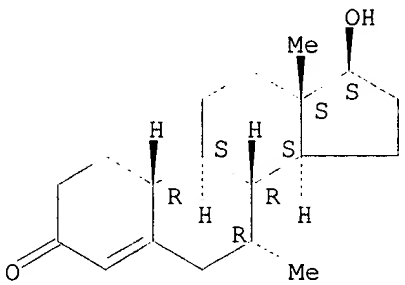


IT **17000-78-1**, SR 16278
RL: RCT (Reactant)
(inhibitory effect on androgen independent human prostate cancer cells)

L42 ANSWER 3 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 2000:584365 HCAPLUS
DN 133:264140
TI Androgen binding profiles of two distinct nuclear androgen receptors in Atlantic croaker (Micropogonias undulatus)
AU Sperry, Todd S.; Thomas, Peter
CS Department of Marine Science, The University of Texas Marine Science Institute, The University of Texas at Austin, Port Aransas, TX, 78373, USA
SO J. Steroid Biochem. Mol. Biol. (**2000**), 73(3-4), 93-103
CODEN: JSBBEZ; ISSN: 0960-0760
PB Elsevier Science Ltd.
DT Journal
LA English
AB In the present study, the binding affinities of 28 androgens for two nuclear androgen receptors (AR), termed AR1 and AR2, in Atlantic croaker (Micropogonias undulatus) brain and ovarian tissues, resp., were detd. using competitive binding assays. The 5.alpha.-redn. of steroids, in general, increased the metabolite's binding affinity for AR2 while decreasing it for AR1. In addn., few androgens bound to AR1 with high affinity and modifications to the basic 3-ketone,4-ene,17.beta.-hydroxy structure of testosterone usually reduced its binding affinity for AR1. However, androgens with ketone groups at the 3- and 17-position bound with high affinity to AR1 provided that the androgen had either a 5.alpha.-reduced A-ring or a third ketone group at the 11-position. This suggests that there may be several high affinity conformations that AR1 can occupy depending upon whether an androgen possesses a ketone or a hydroxyl group at the 17-position. The binding of androgens to AR2 showed a more predictable pattern, 5.alpha.-reduced steroids bound better than 4-ene steroids and any changes to the basic 3-keto, 17-hydroxy motif of 5.alpha.-dihydrotestosterone lowered the binding affinity of a steroid. However, these structural changes often caused only minor decreases in binding affinity, such that AR2 has a broader affinity for androgens and a greater affinity than AR1 for structurally diverse androgens. Widely different androgen binding affinities of AR1 and AR2 suggest that these two nuclear androgen receptors may mediate the physiol. actions of different androgens in teleosts.
IT **3764-87-2**, 17.beta.-Hydroxy-7.alpha.-methyl-4-estren-3-one
RL: **BAC (Biological activity or effector, except adverse)**; BIOL

(Biological study)
(androgen binding profiles of distinct nuclear androgen receptors in Atlantic croaker Micropogonias undulatus)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **3764-87-2**, 17.beta.-Hydroxy-7.alpha.-methyl-4-estren-3-one
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(androgen binding profiles of distinct nuclear androgen receptors in Atlantic croaker Micropogonias undulatus)
RE.CNT 44
RE

(1) Asahina, K; Gen Comp Endocrinol 1985, V57, P281 HCAPLUS
(2) Bergmann, K; J Steroid Biochem Mol Biol 1994, V49, P139 HCAPLUS
(3) Bernard, D; Endocrinology 1999, V140, P4633 HCAPLUS
(4) Bohen, S; Science 1995, V268, P1303 HCAPLUS
(5) Borg, B; Can J Zool 1993, V71, P2327 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 4 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 2000:539353 HCAPLUS
DN 134:141945
TI Effects of androgen on androgen receptor expression in rat testicular and epididymal cells: a quantitative immunohistochemical study
AU Zhu, Li-Ji; Hardy, Matthew P.; Inigo, Ivan V.; Huhtaniemi, Ilpo; Bardin, C. Wayne; Moo-Young, Alfred J.
CS Center for Biomedical Research, The Population Council, New York, NY, 10021, USA
SO Biol. Reprod. (**2000**), 63(2), 368-376
CODEN: BIREBV; ISSN: 0006-3363
PB Society for the Study of Reproduction
DT Journal
LA English
AB Androgen is essential for maintenance of spermatogenesis in the testis and for maturation of spermatozoa in the epididymis. The effects of androgen are mediated through its receptor (AR), the levels of which are, in turn, regulated by androgen. Previous studies have shown that AR concns. in Leydig and Sertoli cells are differentially regulated during development. The aim of the present study was to det. if cell-type-specific regulation of AR by androgen occurs in testicular and epididymal cells during adulthood. Adult male rats were treated with the LHRH-antagonist Azaline B (100 g/day) by osmotic pump for 1, 2, 3, 4, or 8 wk to suppress endogenous androgen, with identical nos. of intact control animals at each time period. An androgen replacement group was simultaneously treated with the antagonist and a synthetic androgen, 7.alpha.-methyl-19-nortestosterone (MENT), during the final 4 wk of the expt. Levels of nuclear AR protein in specific cell types were quantified by immunohistochem. in conjunction with computer-assisted image anal. Levels of AR in testicular cells declined sharply after treatment with the LHRH antagonist. In Sertoli cells, nuclear AR levels decreased to 8% of

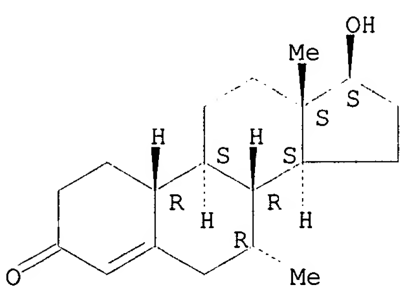
control (P < 0.01) after 4 wk treatment; and to 12% and 17% of control (P < 0.01) in Leydig and myoid cells, resp. Androgen replacement resulted in complete recovery of nuclear AR levels in Sertoli cells (93%, P > 0.05) but in only partial recovery in myoid (69%, P < 0.01) and Leydig cells (56%, P < 0.01). In the epididymis, tubular epithelial cells and stromal cells differed in their responses to the LHRH antagonist. After 1 wk, nuclear AR levels in caput stromal cells decreased dramatically to 34% of control (P < 0.01) and in cauda stromal cells to 43% (P < 0.01). In contrast, the decline of AR levels in epididymal epithelial cells was not as dramatic as that in stromal cells. After 1 wk, the decline in the caput and cauda was to 87% and 76% of control, resp. After 8 wk, nuclear AR levels in stromal cells further declined to 1.1% in caput and 1.4% in cauda, whereas in the epithelial cells, a smaller decline in nuclear AR was noted (to 30% in the caput and 45% in the cauda). After androgen replacement with MENT, nuclear AR levels recovered to more than 90% of control in both epididymal cell types. These results indicate that AR levels in the nuclei of adult Sertoli cells depend mainly on the level of androgen, whereas in the adult Leydig and myoid cells, the androgen dependency is more limited. The results also indicate that in the epididymis, stromal cells are more sensitive than epithelial cells to the regulation of AR levels by androgen.

IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(effects of androgen on androgen receptor expression in rat testicular and epididymal cells: a quant. immunohistochem. study)

RN 3764-87-2 HCAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(effects of androgen on androgen receptor expression in rat testicular and epididymal cells: a quant. immunohistochem. study)

RE.CNT 42

RE
(3) Bartlett, J; J Androl 1986, V7, P240 HCAPLUS
(4) Berman, D; Proc Natl Acad Sci USA 1993, V90, P9359 HCAPLUS
(5) Blok, L; Mol Cell Endocrinol 1989, V63, P267 HCAPLUS
(6) Blok, L; Mol Cell Endocrinol 1992, V88, P153 HCAPLUS
(7) Bremner, W; Endocrinology 1994, V135, P1227 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 5 OF 54 HCAPLUS

AN 2000:438269 HCAPLUS

DN 133:159996

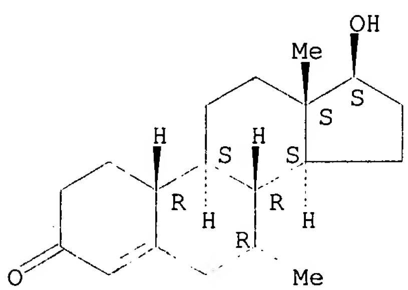
TI 7.alpha.-Methyl-19-nortestosterone (MENT): the optimal androgen for male contraception and replacement therapy

AU Sundaram, Kalyan; Kumar, Narender

CS Center for Biomedical Research, Population Council, New York, NY, 10021, USA

SO Int. J. Androl. (**2000**), 23(Suppl. 2), 13-15
CODEN: IJANDP; ISSN: 0105-6263
PB Blackwell Science Ltd.
DT Journal; General Review
LA English
AB A review with 11 refs. on the biol. activities of MENT that form the basis of recommending MENT as a replacement hormone.
IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(7.alpha.-methyl-19-nortestosterone, an optimal androgen for male contraception and replacement therapy)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(7.alpha.-methyl-19-nortestosterone, an optimal androgen for male contraception and replacement therapy)
RE.CNT 11
RE
(1) Agarwal, A; Endocrinology 1988, V123, P2187 HCAPLUS
(2) Anderson, R; Journal of Clinical Endocrinology and Metabolism 1999, V84, P3556 HCAPLUS
(4) Bruchovsky, N; Journal of Biological Chemistry 1968, V243, P2012 HCAPLUS
(5) Cummings, D; Journal of Clinical Endocrinology and Metabolism 1998, V83, P4212 HCAPLUS
(7) Kumar, N; Endocrinology 1992, V130, P3677 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 6 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 2000:351346 HCAPLUS
DN 132:352818
TI Siloxane elastomer delivery device for the delivery of androgens
IN Markkula, Tommi; Ala-Sorvari, Juha; Jukarainen, Harri; Lehtinen, Matti; Ruohonen, Jarkko
PA Leiras Oy, Finland
SO PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000028967	A1	20000525	WO 1999-FI886	19991026 <--

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ,

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        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 6117442          A    20000912       US 1998-190607   19981112 <--
     EP 1128810          A1   20010905       EP 1999-954019   19991026 <--
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             IE, SI, LT, LV, FI, RO
     BR 9915327          A    20011009       BR 1999-15327    19991026 <--
PRAI US 1998-190607      A    19981112  <--
     WO 1999-FI886       W    19991026  <--
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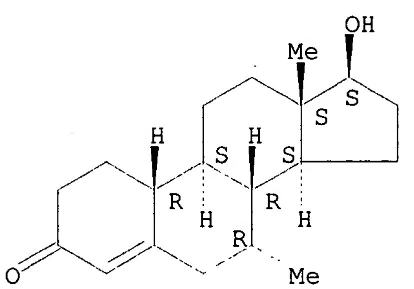
AB The invention relates to a delivery device for the controlled release of a therapeutic agent, esp. an androgen, over a prolonged period of time, the device comprising a core with the therapeutic agent, and the membrane made of a siloxane elastomer encasing the core. The siloxane elastomer is based on 3,3,3-trifluoropropyl groups attached to the Si of the siloxane units. A series of 50 [and further 25 and 75] parts by wt. of silica-filled poly(trifluoropropylmethyl siloxane-co-vinylmethyl siloxane), 50 [and 75 and 25, resp,.] parts by wt. of silica-filled poly(di-Me siloxane-co-vinylmethyl siloxane)and 1.2 parts by wt. of dibenzoyl peroxide-polydimethyl siloxane paste were mixed with a 2-roll mill. The mixt. was cured at +115.degree. for 5 min with a thermal press to give 0.4-mm thick membranes, which were post-cured at +150.degree. for 2 h. The release rate of MENT from the implants having a membrane of the elastomer is essentially const. over a prolonged period of time, while the release rate of MENT from the implants having a membrane of normal PDMS declines clearly as function of time.

IT **3764-87-2**, MENT
RL: BPR (Biological process); DEV (Device component use); **THU (Therapeutic use)**; BIOL (Biological study); PROC (Process); USES (Uses)
(siloxane elastomer delivery device for delivery of androgens)

RN 3764-87-2 HCAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **3764-87-2**, MENT **6157-87-5**
RL: BPR (Biological process); DEV (Device component use); **THU (Therapeutic use)**; BIOL (Biological study); PROC (Process); USES (Uses)
(siloxane elastomer delivery device for delivery of androgens)

RE.CNT 5

RE

(1) Akzo, N; EP 0303306 A1 1989 HCAPLUS
(2) Alejandro, Z; US 3854480 A 1974 HCAPLUS
(3) Alfred, J; US 5733565 A 1998 HCAPLUS
(4) de Leon, J; US 4952419 A 1990 HCAPLUS
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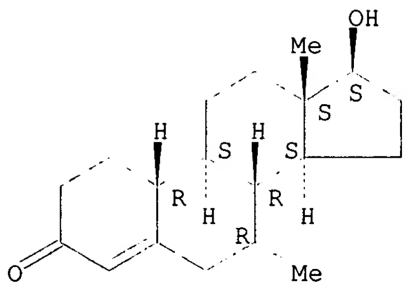
L42 ANSWER 7 OF 54 HCAPLUS COPYRIGHT 2001 ACS

AN 2000:202987 HCAPLUS

DN 132:303598
TI 7.alpha.-Methyl-19-nortestosterone, a synthetic androgen with high potency: structure-activity comparisons with other androgens
AU Kumar, N.; Crozat, A.; Li, F.; Catterall, J. F.; Bardin, C. W.; Sundaram, K.
CS Center for Biomedical Research, The Population Council, New York, NY, 10021, USA
SO J. Steroid Biochem. Mol. Biol. (**2000**), Volume Date 1999, 71(5-6), 213-222
CODEN: JSBBEZ; ISSN: 0960-0760
PB Elsevier Science Ltd.
DT Journal
LA English
AB Studies of androgen receptor (AR)-mediated events in vivo are often complicated by problems related to hormone metab. and pharmacokinetics. Compds. can be metabolically transformed to agents with altered potency. The authors have investigated some aspects of the structure-activity relationships of testosterone (T) and its analogs using in vivo and in vitro assays. The dose response of ventral prostate (VP) and levator ani (LA) to T, dihydrotestosterone (DHT), 19-nortestosterone (19-NT), 7.alpha.-methyl-19-NT (MENT), 7.alpha.-cyano-19-NT (CNNT) and 7.alpha.-acetylthio-19-NT (ATNT), was investigated in castrated rats. The most potent androgenic steroid (VP response) was MENT followed by T, DHT, 19-NT, ATNT, and CNNT. The order of anabolic potency (LA response) was MENT >19-NT > T > DHT > ATNT > CNNT. There was a good correlation between bioactivity and binding affinity to AR for the 7.alpha.-substituted androgens compared to T. In contrast, relative to their binding affinity to AR, the androgenic potency of DHT and 19-NT was lower compared to T. The reason for the lower in vivo androgenic activity of 19-NT is attributable to its enzymic conversion to 5.alpha.-reduced-19-NT in the prostate. In the case of DHT, the lower bioactivity could be attributed to its faster metabolic clearance rate relative to T. The correlation was further investigated in vitro by co-transfection of rat ARcDNA expression plasmid and a reporter plasmid encoding the chloramphenicol acetyltransferase (CAT) gene driven by an androgen inducible promoter into CV-1 cells. All the androgens led to a dose-dependent increase in the CAT activity. MENT was found to be the most potent followed by DHT, 19-NT, T, and CNNT. The specificity of the androgenic response was confirmed by its inhibition with hydroxyflutamide, an antiandrogen. Thus, there was a good correlation between binding affinity and in vitro bioactivity in the transient transfection assay for the androgens. This suggests that the in vivo bioactivity of androgens could be influenced not only by binding affinity to receptors but also by factors such as absorption, binding to serum proteins and metab. However, the high potency of MENT is primarily related to its higher affinity to AR.
IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BPR (Biological process); PRP (Properties); BIOL (Biological study); PROC (Process)
(testosterone analogs androgen and anabolic activity in relation to structure and mechanisms thereof)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 3764-87-2, 7.alpha.-Methyl-19-nortestosterone 31025-34-0
RL: **BAC (Biological activity or effector, except adverse)**; BPR (Biological process); PRP (Properties); BIOL (Biological study); PROC (Process)
(testosterone analogs androgen and anabolic activity in relation to structure and mechanisms thereof)

RE.CNT 44

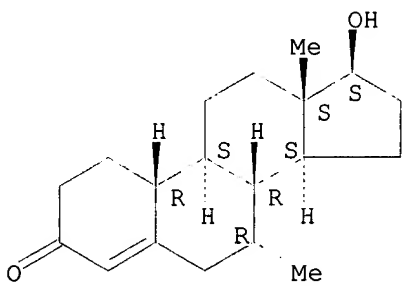
RE
(1) Avery, M; Steroids 1990, V55, P59 HCAPLUS
(2) Bartsch, W; J Steroid Biochem 1983, V19, P929 HCAPLUS
(3) Celotti, F; J Steroid Biochem Molec Biol 1992, V43, P469 HCAPLUS
(4) Chan, K; J Steroid Biochem 1979, V11, P1193 HCAPLUS
(6) Clark, A; Steroid Biochemistry 1979, V1, P1 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 8 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 2000:195250 HCAPLUS
DN 132:330082
TI The antigonadotropic action of testosterone but not 7.alpha.-methyl-19-nortestosterone is attenuated through the 5.alpha.-reductase pathway in the castrated male rat pituitary gland
AU Bandivdekar, Atmaram H.; Karp, Russell; Sundaram, Kalyan; Kumar, Narender
CS Center for Biomedical Research, Population Council, New York, NY, 10021, USA
SO J. Androl. (**2000**), 21(2), 268-275
CODEN: JOAND3; ISSN: 0196-3635
PB American Society of Andrology
DT Journal
LA English
AB The enzyme 5.alpha.-reductase plays a significant role in the prostate to amplify the action of testosterone (T) by converting it to a more potent androgen, dihydrotestosterone (DHT). The role of 5.alpha.-reductase in the testosterone feedback inhibition of gonadotropin secretion from the pituitary has not been elucidated. Therefore, the authors investigated the role of 5.alpha.-reductase on T action in in vitro and in vivo models. Castration has been reported to increase the 5.alpha.-reductase activity in pituitary glands. Hence, the effect of castration duration on the conversion of T to DHT by pituitary homogenates and the responsiveness of pituitary monolayer cell cultures to gonadotropin-releasing hormone (GnRH) challenge exposure were investigated. Incubation of [3H]-T with pituitary homogenates showed that the conversion of T to 5.alpha.-reduced metabolites was two- to threefold greater in pituitaries from rats who had been castrated for 14 days compared with those castrated for 1 day. In addn., the GnRH-stimulated release of LH from monolayer cell cultures of pituitaries from rats castrated for 1 day was twofold greater, whereas that from rats castrated for 2 wk was six- to sevenfold greater compared with basal LH release. Hence the authors used rats castrated for 2 wk to elucidate the role of 5.alpha.-reductase in T feedback inhibition. The inhibitory effects of the androgens T, 19-nortestosterone (19-NT), and 7.alpha.-methyl-19-nortestosterone (MENT) at 3 different concns. (10-9, 10-7, and 10-5 M) on GnRH-stimulated LH release from monolayer cell cultures of pituitaries from rats castrated for 2 wk were examd. All 3 androgens showed dose-dependent inhibition of LH release. MENT showed the

greatest inhibition, followed by 19-NT and T. In the presence of finasteride (a 5.alpha.-reductase inhibitor), the inhibition of LH released by T and 19-NT were significantly greater. The inhibitory effect of MENT, which does not undergo 5.alpha.-redn., was not altered by finasteride. In an in vivo study, rats castrated for 2 wk received T with or without finasteride. There was a significantly greater suppression of serum LH in rats receiving T plus finasteride compared with those receiving T alone. These results suggested that 5.alpha.-reductase in the pituitary is not obligatory for the inhibitory action of T on gonadotropin secretion in the castrated rat. The action of MENT, a nonreducible androgen, on the pituitary is not affected by 5.alpha.-reductase.

IT **3764-87-2,** 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(antigonadotropic action of testosterone and methylnortestosterone regulation by reductase pathway in castrated male rat pituitary gland)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **3764-87-2,** 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(antigonadotropic action of testosterone and methylnortestosterone regulation by reductase pathway in castrated male rat pituitary gland)
RE.CNT 55
RE
(2) Andersson, S; Nature 1991, V354, P159 HCAPLUS
(3) Andersson, S; Proc Natl Acad Sci USA 1990, V87, P3640 HCAPLUS
(4) Bagatell, C; J Androl 1994, V15, P15 HCAPLUS
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ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 9 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 2000:127229 HCAPLUS
DN 132:303618
TI Pharmacokinetics of 7.alpha.-methyl-19-nortestosterone (MENT) delivery using subdermal implants in healthy men
AU Suvisaari, J.; Moo-Young, A.; Juhakoski, A.; Elomaa, K.; Saleh, S. I.; Lahteenmaki, P.
CS Institute of Biomedicine, Steroid Research Laboratory, University of Helsinki, Helsinki, Finland
SO Contraception (**1999**), 60(5), 299-303
CODEN: CCPTAY; ISSN: 0010-7824
PB Elsevier Science Inc.
DT Journal
LA English
AB The authors studied the pharmacokinetics of 7.alpha.-methyl-19-nortestosterone (MENT), a potent synthetic androgen, administered by subdermal implants. The implants contained 112 mg of MENT acetate in a polyethylene vinyl acetate copolymer. MENT acetate released from the

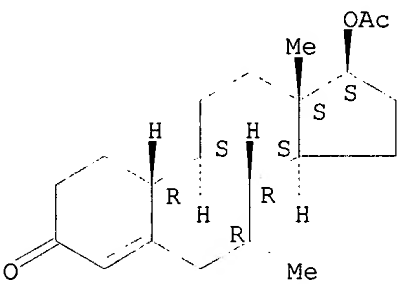
implants is rapidly hydrolyzed to MENT in vivo. Fifteen healthy Finnish men were randomized to have either one, two, or four implants inserted in the medial aspect of the upper arm. The implants remained in place for 4 wk. Blood samples were obtained before implant insertion, 1, 2, 3, and 4 wk after insertion, and 1 and 2 wk after removal. Serum MENT concns. were detd. by gas chromatog. with mass selective detection. The MENT levels attained in each implant group remained at a steady level during the 4 wk of implant use. The mean steady state MENT concns. in the one, two, and four implant groups were 0.6, 1.4, and 2.3 nmol/L, resp. Serum MENT concns. during implant use were clearly dose dependent; the between-subject effect of implants as well as the differences between each pair of groups were all statistically significant. The release rate of MENT from one, two, and four implants was calcd. to be approx. 0.3, 0.8, and 1.3 mg/day, resp. This study suggests that MENT acetate implants are a promising method for long-term androgen administration in hypogonadism and male contraception.

IT 6157-87-5, 7.alpha.-Methyl-19-nortestosterone acetate
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methylnortestosterone pharmacokinetics following delivery using subdermal implants in healthy men)

RN 6157-87-5 HCAPLUS

CN Estr-4-en-3-one, 17-(acetyloxy)-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **6157-87-5**, 7.alpha.-Methyl-19-nortestosterone acetate
RL: **BAC (Biological activity or effector, except adverse)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(methylnortestosterone pharmacokinetics following delivery using subdermal implants in healthy men)

IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: BPR (Biological process); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative); PROC (Process)
(methylnortestosterone pharmacokinetics following delivery using subdermal implants in healthy men)

RE.CNT 15

RE
(1) Agarwal, A; Endocrinology 1988, V123, P2187 HCAPLUS
(2) Bagatell, C; N Engl J Med 1996, V334, P707 HCAPLUS
(4) Behre, H; J Clin Endocrinol Metab 1995, V80, P2394 HCAPLUS
(5) Bhasin, S; J Clin Endocrinol Metab 1992, V74, P75 HCAPLUS
(8) Kumar, N; Endocrinology 1992, V130, P3677 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 10 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 2000:34556 HCAPLUS
DN 132:83675
TI Silicone core long term androgen delivery implant
IN Moo-Young, Alfred J.; Saleh, Saleh I.
PA The Population Council, Inc., USA
SO Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW

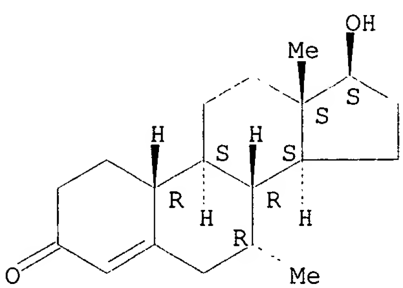
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 970704	A1	20000112	EP 1999-112541	19990701	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
	US 6117441	A	20000912	US 1998-109760	19980702	<--
	JP 2000044489	A2	20000215	JP 1999-187688	19990701	<--
	BR 9902528	A	20000502	BR 1999-2528	19990701	<--
PRAI	US 1998-109760	A	19980702	<--		

AB This invention features an implantable system for use as a male contraception and as a treatment of benign prostate hypertrophy and other conditions. The implant system includes an implant intended for s.c. or local administration having a core comprising a silicone elastomer and drug matrix which is encased in an ethylene-vinyl acetate copolymer (EVA) coating or membrane. 7.alpha.-Methyl-19-nortestosterone acetate (1.5 g) was mixed with 1 g of R-2602 RTV silicone elastomer and 2-3 drops of stannous octoate were added. The mixed paste was filled into a metallic syringe injected into a brass mold with lumens with appropriate diam., for example, 2.38 mm. The paste mixt. was directly extruded through a nozzle of a certain diam. The mold was opened after curing at 80.degree. for 10 min and the rods were cut into 4-cm pieces or were injected directly into a mold of the required diam. and length of 4 cm. These rods were encased with an EVA tubing of 5-cm length. The sealed implants were heated at 70.degree. for 5-10 min to ensure the adherence between the outside EVA tubing and the end seals. The implants obtained were sterilized and packaged.

IT **3764-87-2**
RL: DEV (Device component use); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(silicone core long-term androgen delivery implant)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



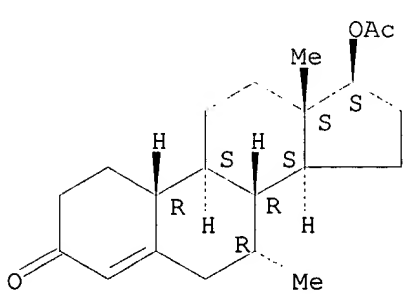
IT **3764-87-2 6157-87-5**, 7.alpha.-Methyl-19-nortestosterone acetate
RL: DEV (Device component use); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(silicone core long-term androgen delivery implant)
RE.CNT 5

RE
(1) Anon; AU 1969697 A 1997
(2) Anon; CA 2243274 A 1997 HCAPLUS
(3) Anon; WO 9730656 A 1997 HCAPLUS
(4) Anon; EP 0881891 A 1998 HCAPLUS
(5) Anon; US 5733565 A 1998 HCAPLUS

L42 ANSWER 11 OF 54 HCAPLUS
AN 1999:668912 HCAPLUS

DN 132:59312
TI Gonadotrophin and testosterone suppression by 7.alpha.-methyl-19-nortestosterone acetate administered by subdermal implant to healthy men
AU Noe, G.; Suvisaari, J.; Martin, C.; Moo-Young, A. J.; Sundaram, K.; Saleh, S. I.; Quintero, E.; Croxatto, H. B.; Lahteenmaki, P.
CS Instituto Chileno de Medicina Reproductiva, Santiago, Chile
SO Hum. Reprod. (**1999**), 14(9), 2200-2206
CODEN: HUREEE; ISSN: 0268-1161
PB Oxford University Press
DT Journal
LA English
AB The synthetic androgen 7.alpha.-methyl-19-nortestosterone (MENT) is a potent suppressor of gonadotrophin that has several advantages for long term administration to normal or hypoandrogenic men. The aim of this study was to examine MENT serum concns. following subdermal insertion of MENT acetate (MENT Ac) implants and their effects on gonadotrophins, testosterone, dihydrotestosterone (DHT), sex hormone-binding globulin, prostate specific antigen and insulin-like growth factor-1 serum concns. in normal men. A total of 45 healthy men were recruited at three clinics. Each subject received one, two or four implants for 28 days. Serum samples were obtained before insertion and on days 8, 15, 22, 29, 36 and 43 after implant insertion. The av. daily dose delivered in vivo by one implant was .apprx.500 .mu.g. One, two or four MENT Ac implants produced dose dependent and sustained serum MENT concns. for the entire duration of treatment of 0.7, 1.2 and 2.0 nM resp. This treatment induced a dose dependent decrease in gonadotrophin and androgen serum levels. Two and four implants induced maximal suppression that was maintained throughout treatment and was completely reversed after removal of the implants. The mean decreases were 93% for testosterone, 80% for DHT, 97% for LH and 95% for FSH. No serious adverse reactions were reported by the volunteers and no consistent changes in clin. chem. and hematol. were found. These results indicate that MENT Ac implants are an efficient way of MENT administration and confirm the potent gonadotrophin and androgen suppressive effect of this drug.
IT **6157-87-5**, 7.alpha.-Methyl-19-nortestosterone acetate
RL: **BAC (Biological activity or effector, except adverse)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(gonadotropin and testosterone suppression by methylnortestosterone acetate administered by subdermal implant to healthy men)
RN 6157-87-5 HCAPLUS
CN Estr-4-en-3-one, 17-(acetyloxy)-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



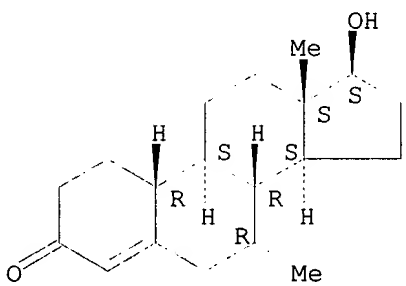
IT **6157-87-5**, 7.alpha.-Methyl-19-nortestosterone acetate
RL: **BAC (Biological activity or effector, except adverse)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(gonadotropin and testosterone suppression by methylnortestosterone acetate administered by subdermal implant to healthy men)
IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(gonadotropin and testosterone suppression by methylnortestosterone acetate administered by subdermal implant to healthy men)

RE.CNT 20
RE
(1) Anderson, F; J Bone Mineral Res 1997, V12, P472 HCAPLUS
(3) Avila, D; J Endocrinol 1998, V159, P403 HCAPLUS
(4) Behre, H; J Clin Endocrinol Metab 1995, V80, P2394 HCAPLUS
(5) Bordin, S; Proc Natl Acad Sci USA 1980, V77, P5678 HCAPLUS
(7) Cote, R; Br J Cancer 1998, V78, P413 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 12 OF 54 HCAPLUS
AN 1999:667257 HCAPLUS
DN 131:267222
TI 7.alpha.-methyl-19-nortestosterone maintains sexual behavior and mood in hypogonadal men
AU Anderson, R. A.; Martin, C. W.; Kung, A. W. C.; Everington, D.; Pun, T. C.; Tan, K. C. B.; Bancroft, J.; Sundaram, K.; Moo-Young, A. J.; Baird, D. T.
CS Medical Research Council Reproductive Biology Unit, Center for Reproductive Biology, Edinburgh, EH3 9ET, UK
SO J. Clin. Endocrinol. Metab. (**1999**), 84(10), 3556-3562
CODEN: JCEMAZ; ISSN: 0021-972X
PB Endocrine Society
DT Journal
LA English
AB The synthetic steroid 7.alpha.-methyl-19-nortestosterone (MENT) is a potent androgen that is resistant to 5.alpha.-reductase. It thus has decreased activity at the prostate and may have advantages over testosterone-based regimens in long term treatment or as part of a male contraceptive. Administration to eugonadal men results in suppression of gonadotropins, but its ability to support androgen-dependent behavior has not been investigated. For sustained release administration, MENT acetate was used, because its diffusion characteristics were more suitable for use in implants. However, upon release the acetate is rapidly hydrolyzed, and MENT is the biol. active moiety in circulation. We studied the effects of MENT on sexual interest and activity, spontaneous erection, and mood states in comparison with testosterone enanthate (TE) in 20 Caucasian and Chinese hypogonadal men recruited in Edinburgh and Hong Kong (n = 10 in each center). Outcomes were measured using a combination of daily diaries, semistructured interviews, and questionnaires. Nocturnal penile tumescence (NPT) was also recorded in the Edinburgh group. After withdrawal of androgen replacement treatment (wash-out phase) for a min. of 6 wk, subjects were randomized to two groups in a cross-over design. Drug treatment regimens were of 6-wk duration and consisted of two implants, each contg. 115 mg MENT acetate, inserted s.c. into the upper arm and removed after 6 wk and two injections of TE (200 mg, i.m.) 3 wk apart. MENT treatment resulted in stable plasma MENT concns. of 1.4 .+-. 0.1 nmol/L after 3 wk and 1.3 .+-. 0.1 nmol/L after 6 wk (mean .+-. SEM; all men). Nadir testosterone concns. were 3.6 .+-. 0.6 nmol/L at the end of the wash-out phase and 9.4 .+-. 0.6 nmol/L 3 wk after each injection. There were no differences in hormone concns. between centers. There were no adverse toxicol. effects. There were only minor differences between the two treatments. Both MENT and TE treatment resulted in significant increases in sexual interest and activity, spontaneous erection (both by self-report and NPT measurement), and increases in pos. moods, with decreases in neg. moods in the Edinburgh group. In the Hong Kong group, both treatments increased waking erection, with a trend toward increased sexual interest and activity. Mood states appeared to be less affected during the wash-out phase than in Edinburgh men and showed no significant response to either treatment. These results demonstrate that MENT has similar effects on sexual activity and mood states as testosterone in hypogonadal men. As NPT is a physiol. androgen-dependant outcome, these data provide further evidence for the androgenicity of MENT. The lack of detected effect of either androgen in Hong Kong men other than on waking erection illustrates the importance of the cultural context of symptomatol. and its measurement. The appropriate dose of MENT remains to be detd., but these results support its development as a potential

androgen replacement therapy.
IT 3764-87-2, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BPR (Biological process); **THU (Therapeutic use)**; BIOL (Biological study); PROC (Process); USES (Uses)
(7.alpha.-methyl-19-nortestosterone maintains sexual behavior and mood in hypogonadal men)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BPR (Biological process); **THU (Therapeutic use)**; BIOL (Biological study); PROC (Process); USES (Uses)
(7.alpha.-methyl-19-nortestosterone maintains sexual behavior and mood in hypogonadal men)
RE.CNT 47
RE
(2) Anderson, R; J Clin Endocrinol Metab 1992, V75, P1503 HCAPLUS
(3) Andersson, S; Nature 1991, V354, P159 HCAPLUS
(4) Andersson, S; Proc Natl Acad Sci USA 1990, V87, P3640 HCAPLUS
(5) Bagatell, C; J Clin Endocrinol Metab 1994, V78, P711 HCAPLUS
(9) Bhasin, S; J Clin Endocrinol Metab 1992, V74, P75 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 13 OF 54 HCAPLUS
AN 1999:460439 HCAPLUS
DN 131:88084
TI Preparation of novel antiestrogenic steroids
IN Tanabe, Masato; Peters, Richard H.; Chao, Wan-Ru; Jong, Ling
PA SRI International, USA
SO PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9933859	A2	19990708	WO 1998-US27406	19981223	<--
	WO 9933859	A3	19991223			

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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
	US 6054446	A	20000425	US 1997-998877	19971224	<--
	AU 9920104	A1	19990719	AU 1999-20104	19981223	<--
	EP 1056768	A2	20001206	EP 1998-964882	19981223	<--

R: DE, FR, GB, IT, NL
NO 2000003254 A 20000821 NO 2000-3254 20000622 <--
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WO 1998-US27406 W 19981223 <--
OS MARPAT 131:88084
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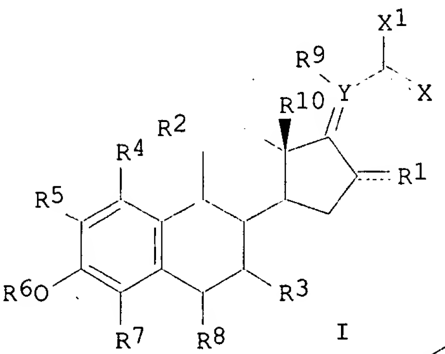

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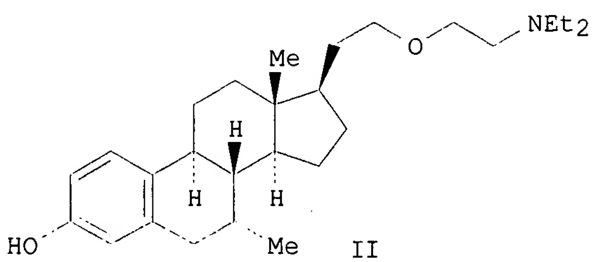
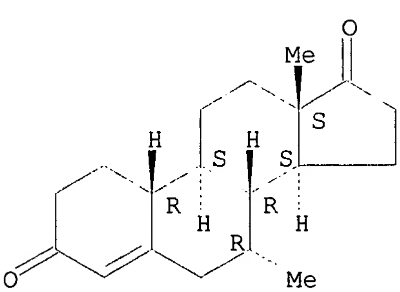


II

AB Novel anti-estrogenic compds., e.g. I [X = hydrocarbyl including at least one O, N, S; X1 = H, hydrocarbyl including at least one O, N, S; XX1 = heterocycle; Y = C, N; R1 = H, alkyl, halo, alkylidene; R2, R3 = H, OH, alkyl, alkenyl, aryl, etc.; R4 = H, alkyl; R5 = H, alkoxy, halo, CN, CHO, etc.; R6 = H, alkyl, acyl, aroyl, SO2NH2; R7 = H, halo, NO2, CHO, allyl, amino, etc.; R8 = H, OH, etc.; R9 = H, alkyl; R10 = Me, Et], are prepd. which are useful to treat a variety of disorders, particularly estrogen-dependent disorders. Preferred compds. have 1,3,5-estratriene nucleus, and are substituted at the C-17 or C-11 position with a mol. moiety which renders the compds. effective to competitively block the binding of estrogen to its receptor. Particularly preferred compds. are 17-desoxy-1,3,5-estratrienes. Thus, the citrate salt of II was prepd. and was shown to have antitumor activity against tamoxifen-resistant human mammary carcinoma at a dose of 25mg/kg/day.

IT **17000-78-1**
RL: RCT (Reactant)
(prepn. of novel antiestrogenic steroids)
RN 17000-78-1 HCAPLUS
CN Estr-4-ene-3,17-dione, 7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **17000-78-1**
RL: RCT (Reactant)
(prepn. of novel antiestrogenic steroids)
IT **229634-72-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of novel antiestrogenic steroids)

L42 ANSWER 14 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1999:355788 HCAPLUS
DN 131:19186
TI synthesis and androgenic activity of steroid compounds
IN Cook, Edgar C.; Kepler, John A.; Lee, Yue-Wei; Wani, Mansukh C.
PA Research Triangle Institute, USA
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9926962	A1	19990603	WO 1998-US24527	19981123	<--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

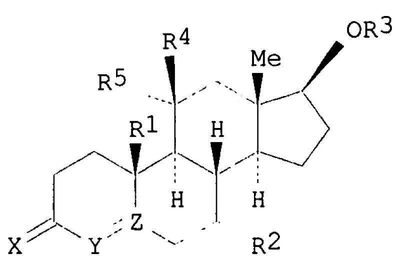
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
	US 5952319	A	19990914	US 1997-979369	19971126	<--
	AU 9915891	A1	19990615	AU 1999-15891	19981123	<--
	EP 1042352	A1	20001011	EP 1998-960246	19981123	<--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
	JP 2001524487	T2	20011204	JP 2000-522119	19981123	<--
	NO 2000002676	A	20000525	NO 2000-2676	20000525	<--
PRAI	US 1997-979369	A	19971126	<--		
	WO 1998-US24527	W	19981123	<--		

OS CASREACT 131:19186
GI

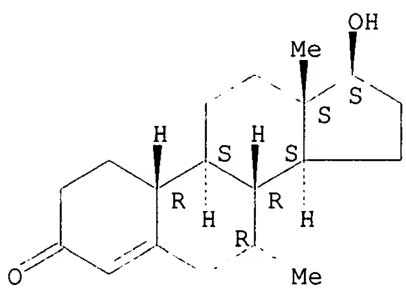


I

AB A process for the synthesis of androgenic steroid compd. of formula (I) [R1 = H, alkyl; R2 = .alpha. (un)substituted alkyl; R3 = (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted hetereocycle, H, (un)substituted acyl; R5 = H and R4 = (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl or R5R4 = =CH2; X = O, 2H, OH, O-acyl; Y-Z = CH=C or CH2-CH where H is .alpha. or Y = S, O, (un)substituted NH]is presented. Thus, I (X = O, Y-Z = CH2-CH, R2,R4 = Me, R3 = H) (II) is prepd. in 13 steps from com. available androsterone by conversion to the 4,6-dientrione, conjugate methylation, conversion to 1,4-dientrione, std. ketalization, redn.to 11.beta.-alc., ring A aromatization, methylation, oxidn. to 11-ketone, conversion to 11-methylene compd., catalytic hydrogenation to 11.beta.-Me compd., redn. to 17-alc. followed by Birch redn. and acid hydrolysis of the dienol ether to II. I show marked androgenic activity and are useful in hormone

treatment of a mammal for either human or animal.
IT **3764-87-2P**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and androgenic activity of steroid compds.)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **3764-87-2P**, 7.alpha.-Methyl-19-nortestosterone **31022-20-5P** **226066-52-0P** **226066-53-1P** **226066-55-3P** **226066-56-4P**
RL: **BAC (Biological activity or effector, except adverse)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and androgenic activity of steroid compds.)
RE.CNT 3
RE

(1) Moo-Young; US 5733565 A 1998 HCAPLUS
(2) Sokolowski; US 4412993 A 1983 HCAPLUS
(3) Solo, A; Steroids 1982, V40(6), P603

L42 ANSWER 15 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1999:219992 HCAPLUS
DN 130:247454
TI Androgen as a male contraceptive and non-contraceptive androgen replacement
IN Moo-Young, Alfred J.
PA The Population Council, Inc., USA
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9913883	A1	19990325	WO 1998-US19402	19980917	<--
	W: BR, CA, JP, MX					
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
	EP 966288	A1	19991229	EP 1998-947084	19980917	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
	BR 9806210	A	20000418	BR 1998-6210	19980917	<--
	JP 2001505589	T2	20010424	JP 1999-518158	19980917	<--
PRAI	US 1997-59300	P	19970917 <--			
	US 1997-62962	P	19971010 <--			
	US 1998-154283	A	19980916 <--			
	WO 1998-US19402	W	19980917 <--			

AB The present invention relates to methods of providing male contraception using a specified androgen without the need of a sep. sterilizing agent.

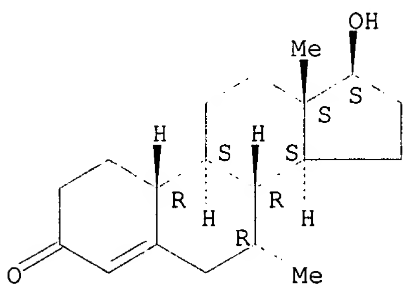
The invention also describes methods for non-contraceptive androgen replacement and devices useful for carrying out both processes.

IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(androgen as a male contraceptive and non-contraceptive androgen replacement and devices for treatment)

RN 3764-87-2 HCAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone **6157-87-5**, 7.alpha.-Methyl-19-nortestosterone acetate
RL: **BAC (Biological activity or effector, except adverse)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(androgen as a male contraceptive and non-contraceptive androgen replacement and devices for treatment)

RE.CNT 1

RE

(1) Sundaram; Annals of Medicine 1993, V25, P199 MEDLINE

L42 ANSWER 16 OF 54 HCAPLUS COPYRIGHT 2001 ACS

AN 1999:11169 HCAPLUS

DN 130:163356

TI Efficacy of various natural and synthetic androgens to induce ductal branching morphogenesis in the developing anterior rat prostate

AU Foster, Barbara A.; Cunha, Gerald R.

CS Department of Developmental Anatomy, University of California School of Medicine, San Francisco, CA, 94143-0738, USA

SO Endocrinology (**1999**), 140(1), 318-328
CODEN: ENDOAO; ISSN: 0013-7227

PB Endocrine Society

DT Journal

LA English

AB The studies presented herein quantitated ductal branching morphogenesis in the anterior prostate (AP) of the newborn rat. Four parameters were measured: epithelial area, epithelial perimeter, node no., and form factor. Nine natural and synthetic androgens were tested for their effectiveness in inducing postnatal prostatic development using 808 newborn rat APs in 68 dose-response expts. Based on these studies it was shown that testosterone (T) was slightly more effective than dihydrotestosterone (DHT) in supporting ductal branching morphogenesis in the developing rat AP. Furthermore, the activity of T could not be accounted for simply by conversion of T to DHT. Synthetic androgens, 7.alpha.-methyl-19-nortestosterone and methyltrienolone (R 1881), which cannot be 5.alpha.-reduced to DHT, also induced extensive ductal branching and elicited responses less than those to T and not statistically different from those to DHT. This suggests that although DHT is sufficient for prostatic development, it is not necessary for postnatal ductal branching morphogenesis and growth of the prostate. 5.alpha.-Androstane-3.alpha.,17.beta.-diol was particularly potent in inducing ductal branching, eliciting a response greater than or comparable

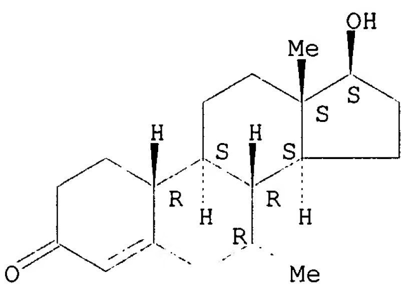
to those of T and DHT. Androsterone, androstanedione, 5.alpha.-androstane-3.beta.,17.beta.-diol and 5.beta.-androstane-3.alpha.,17.beta.-diol induced ductal branching, but to a lesser extent than either T or DHT. These studies challenge the assumption that DHT is essential for prostatic development, specifically during ductal branching morphogenesis of the neonatal rat prostate.

IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(androgen efficacy for inducing ductal branching morphogenesis in developing anterior rat prostate)

RN 3764-87-2 HCAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(androgen efficacy for inducing ductal branching morphogenesis in developing anterior rat prostate)

RE.CNT 57

RE

(1) Agarwal, A; Endocrinology 1988, V123, P2187 HCAPLUS
(2) Alarid, E; Proc Natl Acad Sci USA 1994, V91, P1074 HCAPLUS
(3) Anderson, K; Nature 1968, V219, P277 HCAPLUS
(4) Bard, D; J Endocrinol 1979, V83, P211 HCAPLUS
(5) Baulieu, E; Endocrine Function of the Human Testis 1973, P149 HCAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 17 OF 54 HCAPLUS COPYRIGHT 2001 ACS

AN 1999:1724 HCAPLUS

DN 130:134328

TI Estrogenic and progestational activity of 7.alpha.-methyl-19-nortestosterone, a synthetic androgen

AU Beri, Ripla; Kumar, Narender; Savage, T.; Benalcazar, L.; Sundaram, Kalyan

CS Center for Biomedical Research, The Population Council, New York, NY, 10021, USA

SO J. Steroid Biochem. Mol. Biol. (**1998**), 67(3), 275-283
CODEN: JSBBEZ; ISSN: 0960-0760

PB Elsevier Science Ltd.

DT Journal

LA English

AB Synthetic androgens exhibit estrogenic/antiestrogenic and progestational activities in addn. to their androgenic effects. To investigate the pharmacol. action of the synthetic androgen, 7.alpha.-methyl-19-nortestosterone (MENT), the authors examd. its action in female rodents. The criteria employed for estrogenic/antiestrogenic effects were, uterine wt. increase, vaginal cornification, induction of progesterone receptors (PR) synthesis and stimulation of peroxidase activity in the uteri of ovariectomized rats and mice. MENT increased uterine wt. in a dose-dependent manner, but did not cause vaginal cornification or stimulate PR synthesis in the uterus. The uterotropic activity of MENT

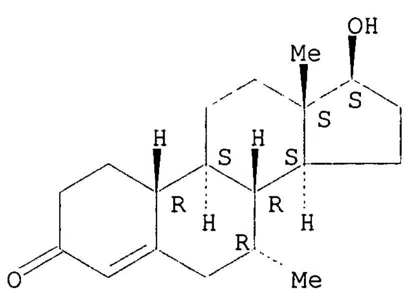
was 200-fold lower than that of estradiol. Estrogen receptor (ER) bound [3H]-E2 was displaced by E2 and MENT with ED50 values of 70 pg and 250 ng, resp., a 3500-fold difference in their binding affinity. The low binding of MENT to ER, in contrast to its relatively high uterotropic action, suggested that receptors other than ER may be involved in its action on the uterus. The progestational activity of MENT in immature rabbits using the McPhail index assay was comparable to that of progesterone. Binding affinities of MENT and progesterone to PR were also comparable. However, the action of MENT on the uterus does not seem to be a progestational effect since mifepristone, an antiprogestin, had no effect on MENT-induced uterine growth. Specific androgen receptors (AR) in uterine cytosol were demonstrated. The involvement of AR in MENT action was confirmed by using an antiandrogen (flutamide) and an antiestrogen (ICI-182) in ovariectomized mice. Although MENT did not block the uterotropic effect of E2, it inhibited the E2-induced cornification of vaginal epithelium, induction of uterine PR synthesis and increase in uterine peroxidase activity in ovariectomized rats. The antiestrogenic effect of MENT was also blocked by flutamide. These results suggest that the uterotropic and antiestrogenic effects of androgens are mediated via AR. It is concluded that the increase in uterine wt. caused by MENT is attributable to its anabolic effects.

IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(estrogenic and progestational activity of methylnortestosterone)

RN 3764-87-2 HCAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(estrogenic and progestational activity of methylnortestosterone)

RE.CNT 39

RE
(1) Agarwal, A; Endocrinology 1988, V123, P2187 HCAPLUS
(4) Edgren, R; Fertil Steril 1967, V18, P238 HCAPLUS
(5) Fishman, W; Clin Chim Acta 1967, V15, P435 HCAPLUS
(6) Giannopoulos, G; Biochem Biophys Res Commun 1971, V44, P943 HCAPLUS
(7) Gonzalez-Diddi, M; Endocrinology 1972, V91, P1129 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 18 OF 54 HCAPLUS COPYRIGHT 2001 ACS

AN 1998:797842 HCAPLUS

DN 130:119716

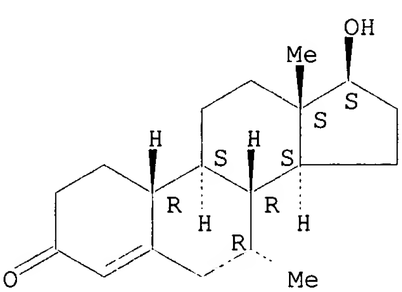
TI Prostate-sparing effects in primates of the potent androgen 7.alpha.-methyl-19-nortestosterone: a potential alternative to testosterone for androgen replacement and male contraception

AU Cummings, David E.; Kumar, Narender; Bardin, C. Wayne; Sundaram, Kalyan; Bremner, William J.

CS Population Center for Research in Reproduction; and the Department of Medicine, Division of Endocrinology and Metabolism, Veterans Affairs,

University of Washington School of Medicine, Puget Sound Health Care System, Seattle, WA, 98108, USA
SO J. Clin. Endocrinol. Metab. (**1998**), 83(12), 4212-4219
CODEN: JCEMAZ; ISSN: 0021-972X
PB Endocrine Society
DT Journal
LA English
AB 7.alpha.-Methyl-19-nortestosterone (MENT) is a potent synthetic androgen that cannot be converted to dihydrotestosterone. In this study we detd. the relative androgenic, antigonadotropic, and anabolic potencies of testosterone vs. MENT in the nonhuman primate M. fascicularis. In castrated monkeys, dose-response relationships were generated for the effects of testosterone and MENT on gonadotropin levels, prostate growth, body wt., and lipid metab. In a pilot study, four monkeys were castrated, and magnetic resonance imaging (MRI) was used to document a 50% loss of prostate vol. within 8 wk, verifying that MRI is a reliable means to measure prostate size in this species. Two addnl. groups of six monkeys each were then castrated and serially administered four graded dosages of testosterone or MENT via osmotic minipumps over 20 wk. Complete suppression of LH was achieved with a min. of 0.3 mg/day MENT, compared to 3.0 mg/day testosterone. MENT supported body wt. 10 times more potently than did testosterone. Baseline prostate vols. were maintained with 0.1-0.2 mg/day MENT vs. 0.3 mg/day testosterone. Thus, in monkeys, MENT is 10 times more potent than testosterone with regard to the clin. desirable end points of gonadotropin suppression and anabolism, but only twice as potent at stimulating prostate growth. These results suggest that MENT may have a wider therapeutic index than testosterone for human androgen replacement and male contraception.
IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(prostate-sparing effects in primates of methylnortestosterone and potential alternative to testosterone for androgen replacement and male contraception)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

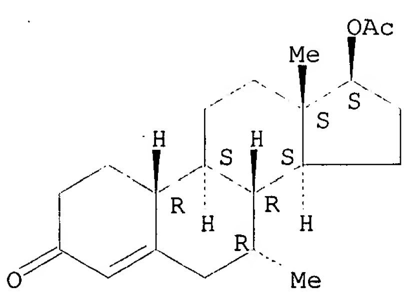
Absolute stereochemistry.



IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(prostate-sparing effects in primates of methylnortestosterone and potential alternative to testosterone for androgen replacement and male contraception)
RE.CNT 76
RE
(1) Agarwal, A; Endocrinology 1988, V123, P2187 HCAPLUS
(2) Akhtar, F; Int J Androl 1983, V6, P461 HCAPLUS
(3) Anderson, R; J Clin Endocrinol Metab 1996, V81, P902 HCAPLUS
(4) Bachorik, P; Methods Enzymol 1986, V129, P78 HCAPLUS
(5) Bagatell, C; Ann Intern Med 1992, V116, P967 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 19 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1998:264561 HCAPLUS
DN 128:299463
TI In-vitro transfer, permeability and inclusion complexation of a novel potent synthetic androgen, methyl nortestosterone acetate, compared with testosterone
AU Ahmed, Sayed M.
CS Dep. of Ind. Pharm., Fac. of Pharm., Assiut Univ., Assiut, Egypt
SO Bull. Pharm. Sci., Assiut Univ. (**1997**), 20(2), 169-179
CODEN: BPAUEC; ISSN: 1110-0052
PB Assiut University Press
DT Journal
LA English
AB The soly., dissoln., in-vitro transfer from aq. to org. phase, and the in-vitro permeability of a potent nortestosterone ester, 7.alpha.-methyl-19-nortestosterone acetate (NTA), compared with testosterone (T) in the absence and in the presence of hydroxypropyl-.beta.-cyclodextrin (HP-.beta.-CD) were investigated. The phase soly. diagrams of both drugs revealed the formation of 1:1 inclusion complexes with HP-.beta.-CD of AL-type at 30.degree., 37.degree. and 45.degree.C. The soly. of NTA and T were increased approx. 7000 fold and 500 fold, resp., in the presence of 0.12 M HP-.beta.-CD at 37.degree.C. NTA interacted more strongly with HP-.beta.-CD than T, a fact that led to a much better enhancement in the dissoln. rate. Such inclusion complexation led to noticeable decrease in the transfer rate of both androgens from the aq. to the org. phase compared with the drug alone. Finally, it was found that HP-.beta.-CD enhanced the in-vitro permeability of NTA and T from Klucel gel formulations.
IT **6157-87-5D**, 7.alpha.-Methyl-19-nortestosterone acetate, complex with hydroxypropyl .beta.-cyclodextrin
RL: FMU (Formation, unclassified); PRP (Properties); **THU (Therapeutic use)**
(in vitro transfer, permeability and inclusion complexation of methylnortestosterone acetate compared with testosterone)
RN 6157-87-5 HCAPLUS
CN Estr-4-en-3-one, 17-(acetyloxy)-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



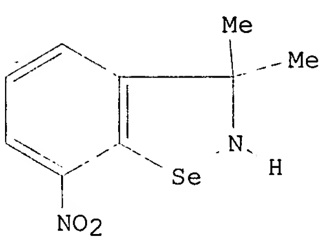
IT **6157-87-5D**, 7.alpha.-Methyl-19-nortestosterone acetate, complex with hydroxypropyl .beta.-cyclodextrin
RL: FMU (Formation, unclassified); PRP (Properties); FORM (Formation, nonpreparative)
(in vitro transfer, permeability and inclusion complexation of methylnortestosterone acetate compared with testosterone)
IT **6157-87-5**, 7.alpha.-Methyl-19-nortestosterone acetate
RL: PRP (Properties); RCT (Reactant); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(in vitro transfer, permeability and inclusion complexation of methylnortestosterone acetate compared with testosterone)

L42 ANSWER 20 OF 54 HCAPLUS COPYRIGHT 2001 ACS

AN 1998:217831 HCAPLUS
DN 128:217506
TI Preparation of organoselenium compounds as pro-oxidizing agents
IN Xu, Jinzhu; Appere, Georges; Chaudiere, Jean R.; Yadan, Jean Claude
PA Oxis International S. A., Fr.
SO Fr. Demande, 69 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2751328	A1	19980123	FR 1996-8929	19960717 <--
	FR 2751328	B1	19981009		
	US 6001825	A	19991214	US 1996-771442	19961220 <--
	EP 819682	A1	19980121	EP 1997-401648	19970709 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	CA 2216334	AA	19990324	CA 1997-2216334	19970924 <--
	AU 9739879	A1	19980723	AU 1997-39879	19971002 <--
	AU 727067	B2	20001130		
	US 6057310	A	20000502	US 1998-120436	19980722 <--
	US 6130212	A	20001010	US 1999-329755	19990610 <--
PRAI	FR 1996-8929	A	19960717 <--		
	US 1996-771442	A	19961220 <--		

OS CASREACT 128:217506; MARPAT 128:217506
GI



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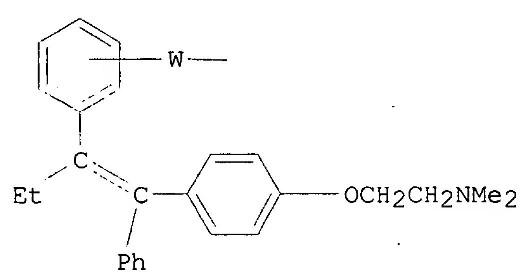


II

AB I, methods for their prepn., their pharmacol. activity and pharmaceutical compns. are claimed. In I, R1, R2, R3 = H, C1-6 alkyl, aralkyl, aryl; R4 = NO2, NO, CN, CO2R9, SO3R9, C(O)NR9R11, SO2NR9R11; R5 = H, C1-6 alkyl, C(O)R8, CO2R8, C(O)NR8R9, (CH2)pR10, (CH2)pVect, N+R113Y-, SO3-Z+, CO2-Z+; X = (CR6R7)n (n = 0, 1), CO; R6, R7 (see R5); R8 = H, C1-6 alkyl, aralkyl, aryl, heteroaryl; R9 (see R1); R10 = H, N+R113Y-, SO3-Z+, CO2-Z+; R11 (see R1); Vect = radicals related to 6-dehydro-19-nortestosterone, (Z)-4-(1,2-diphenyl-1-butenyl)phenoxy, II (W = -(CH2)p- or O, S, N bound to -(CH2)p-); Y- = anion of a pharmaceutically acceptable acid; Z+ = cation of pharmaceutically acceptable base; m = 0, 1; p = 2-10. For example, 3,3-dimethyl-7-nitrobenzisoselenazoline (1) was prepd. in 6 steps from 2-bromo-3-nitrotoluene involving the following intermediates: 2-bromo-3-nitro(bromomethyl)benzene, 2-bromo-3-nitrophenylacetonitrile, 2-(2-bromo-3-nitrophenyl)-2-methylpropionitrile, 2-(2-bromo-3-nitrophenyl)-2-methylpropionamide, and 1-(2-bromo-3-nitrophenyl)-1-methylethylamine (2); the final cyclization step uses 41.9 mmol 2, and 41.9 mmol KSeCN in 150 mL DMF in the presence of 41.9 mmol CuI and 125.8 mmol Et3N with subsequent addn. of an aq. soln. of 68.9 mmol CuCN. 1 Was reacted at the ring N with various reagents, e.g. 17b-acetoxy-3-benzoyloxy-7a-(8-methanesulfonyloxyoctyl)estra-1,3,5(10)-triene. The compds. of the invention are shown to have pro-oxidant catalytic activity as a result of

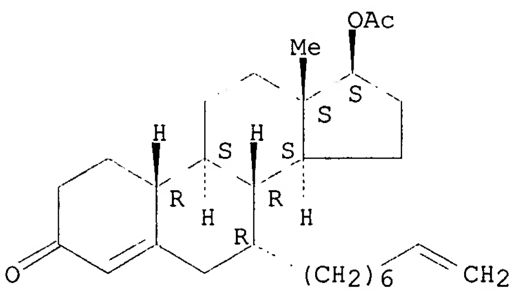
the following expts.: glutathione oxidase activity, ability to reduce ferric cytochrome c, cytotoxicity against HL60 cells, cytotoxicity against MCF-7 cells.

IT **204272-67-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate for prepn. of nitrogen-selenium heterocycles as pro-oxidizing agents)

RN 204272-67-3 HCAPLUS

CN Estr-4-en-3-one, 17-(acetyloxy)-7-(7-octenyl)-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **204272-67-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate for prepn. of nitrogen-selenium heterocycles as pro-oxidizing agents)

L42 ANSWER 21 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1998:147344 HCAPLUS
DN 128:217543
TI Preparation of antiestrogenic 7.alpha.-(.xi.-Aminoalkyl)estratrienes.
IN Bohlmann, Rolf; Bittler, Dieter; Heindi, Josef; Heinrich, Nikolaus; Hofmeister, Helmut; Kunzer, Hermann; Sauer, Gerhard; Hegele-Hartung, Christa; Lichtner, Rosemarie; Nishino, Yukishige; Parczyk, Karsten; Schneider, Martin
PA Schering Aktiengesellschaft, Germany
SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9807740	A1	19980226	WO 1997-EP4517	19970820	<--
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	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
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	AU 9745520	A1	19980306	AU 1997-45520	19970820	<--
	AU 728843	B2	20010118			
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	EP 920441	A1	19990609	EP 1997-943814	19970820	<--
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	CN 1231670	A	19991013	CN 1997-198245	19970820	<--
	JP 2001503024	T2	20010306	JP 1998-510412	19970820	<--
	US 5986115	A	19991116	US 1999-239490	19990128	<--

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     NO 9900793          A     19990420       NO 1999-793       19990219 <--
     US 6271403          B1    20010807       US 2000-549724    20000414 <--
PRAI DE 1996-19635525    A     19960820   <--
     US 1996-29948       P     19961108   <--
     US 1997-915171      A3    19970820   <--
     WO 1997-EP4517      W     19970820   <--
     US 1999-239490      B1    19990128   <--
     US 1999-350524      B3    19990712   <--
OS   MARPAT 128:217543
GI
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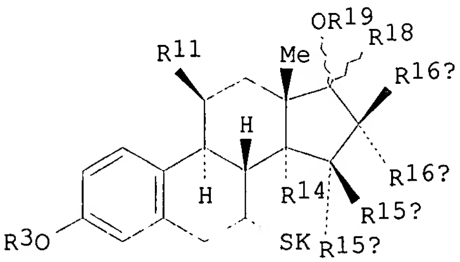


I

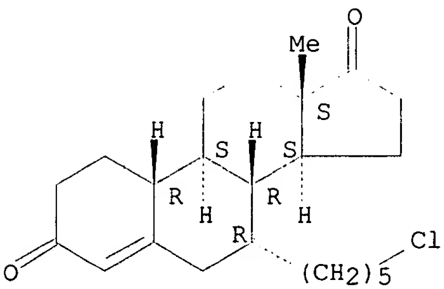
AB New anti-estrogenic substituted 7.alpha.-(.xi.-aminoalkyl)estratrienes [I; SK = -(CH2)m-NA-CHB-CHD-(CH2)n-SOx-(CH2)3-E; m = 4, 5. 6; n, x = 0, 1, 2; A = H, C1-5-alkyl; B, D = H; or AB = -(CH2)p- with p = 2, 3, 4, 5 and D = H; or AD = -(CH2)q- with q = 2, 3, 4 and B = H; and E = (fluoro) ethyl; the terminal substituent -(CH2)3-E in the side-chain may be optionally substituted aryl or heteroaryl bonded to the sulfur atom directly or through a mono-, di- or trimethylene group; R3 = H, C.ltoreq.8 hydrocarbyl, R3'-C(O)-; R3' = H, C.ltoreq.8 hydrocarbyl, phenyl; R11 = H, halo, -O-NO2; R14, R15A, R15B, R16A, R16B = H, or R14R15A = bond, CH2; or R15B = Me and R15A = H; or R15A = R15B = Me; or R15BR16B = CH2 bridge; or R16A or R16B = halo; or R16AR16B = CH2 and R14= R15A = R15B = R16A = R16B = H; R18 at the .alpha.- or .beta.-position = H, C1-5 alkyl, C2-5 alkenyl, C2-5 alkynyl, CF3; and R19 = H, R20-C(O)-; R20 = H, C.ltoreq.8 hydrocarbyl, or when R18 is at the .alpha.-position, R18R14 = ethano bridge, provided that when A and B do not stand together for -(CH2)p- or A and D stand together for -(CH2)q-, at least one of the substituents R11, R14, R15A, R15B, R16A and R16B be not H] or their physiol. tolerable addn. salts are prepd. Thus, 14,17-ethano-7.alpha.-[5-[N-methyl-N-[3-(4,4,5,5,5-pentafluoropentylthio)propyl]amino]pentyl]estra-1,3,5(10)-triene-3,17-diol was prepd. from 7.alpha.-(5-tert-butyldimethylsilyloxypentyl)estr-4-ene-3,17-dione in 17 steps. Administration of 1 mg p.o. 11.beta.-fluoro-7.alpha.-[5-[N-methyl-N-3-[(4,4,5,5,5-pentafluoropentylthio)propyl]amino]pentyl]estra-1,3,5(10)-triene-3,17.beta.-diol (II) (also prepd.) to female baby rats in combination with 0.5 .mu.g s.c. estradiol dibenzoate once a day for 3 days showed that, based on relative organ wts. of the animals sacrificed 24 h after the last dose, II inhibited the growth of the uterus by 91%. Some of them are pure anti-estrogenes, others are anti-estrogens with a partial estrogenic effect. Because of their spectrum of activity, these new compds. are most suitable for prepg. medicaments for tumor therapy and hormone substitution therapy.

IT **204138-61-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of anti-estrogenic (.xi.-aminoalkyl)estratrienes)

RN 204138-61-4 HCAPLUS

CN Estr-4-ene-3,17-dione, 7-(5-chloropentyl)-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 204138-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of anti-estrogenic (.xi.-aminoalkyl)estratrienes)

L42 ANSWER 22 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1997:576657 HCAPLUS
DN 127:225313
TI Male contraceptive implants containing androgens in EVA matrix
IN Moo-Young, Alfred J.; Saleh, Saleh I.
PA Population Council, Inc., USA
SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9730656	A1	19970828	WO 1997-US2798	19970221 <--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5733565	A	19980331	US 1996-606063	19960223 <--
CA 2243274	AA	19970828	CA 1997-2243274	19970221 <--
AU 9719696	A1	19970910	AU 1997-19696	19970221 <--
EP 881891	A1	19981209	EP 1997-907788	19970221 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

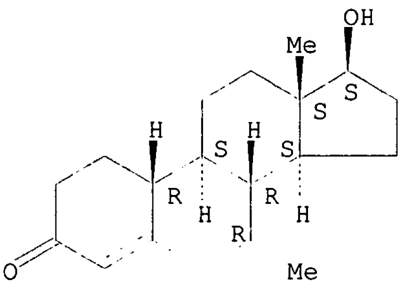
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BR 9707637	A	19990727	BR 1997-7637	19970221 <--
JP 2000505419	T2	20000509	JP 1997-527166	19970221 <--

PRAI US 1996-606063 19960223 <--
WO 1997-US2798 19970221 <--

AB The present invention relates to implantable male contraceptive devices. An EVA-based implant is described for delivery of an androgen and a system including an EVA-based implant as well as a second implant are described for the administration of both androgen and a sterilant. These implants may be used to provide contraception for men, as well as, for hormone therapy, treatment of enlarged prostate and other ailments. EVA pellets were soaked in CH2Cl2 and 7.alpha.-methylnortestosterone acetate was added. After evapg. CH2Cl2, the solid dispersion was extruded through a nozzle of metallic syringe to obtain a rod. The rod was filled into the EVA tubing and extruded into a brass mold with lumens of the required diam. to give a s.c. implant.

IT **3764-87-2**
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(male contraceptive implants contg. androgens in EVA matrix)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **3764-87-2 6157-87-5**
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(male contraceptive implants contg. androgens in EVA matrix)

L42 ANSWER 23 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1997:552881 HCAPLUS
DN 127:243357
TI Decreased cyclin A2 and increased cyclin G1 levels coincide with loss of proliferative capacity in rat Leydig cells during pubertal development
AU Ge, Ren-Shan; Hardy, Matthew P.
CS Population Council, New York, NY, 10021, USA
SO Endocrinology (**1997**), 138(9), 3719-3726
CODEN: ENDOAO; ISSN: 0013-7227
PB Endocrine Society
DT Journal
LA English
AB Postnatal development of Leydig cells can be divided into three distinct stages of differentiation: initially they exist as mesenchymal-like progenitors (PLC) by day 21; subsequently, as immature Leydig cells (ILC) by day 35, they acquire steroidogenic organelle structure and enzyme activities but metabolize most of the testosterone they produce; finally, as adult Leydig cells (ALC) by day 90 they actively produce testosterone. The aims of the present study were to det. whether changes in proliferative capacity are assocd. with progressive differentiation of Leydig cells, and if the proliferative capacity of Leydig cells is controlled by known hormonal regulators of testosterone biosynthesis: LH, insulin-like growth factor I (IGF-I), androgen, and estradiol (E2). Isolated PLC, ILC, and ALC were cultured in DMEM/F-12 for 24 h followed by an addnl. 24 h in the presence of LH (1 ng/mL), IGF-I (70 ng/mL), 7.alpha.-methyl-19-nortestosterone (MENT,a 50 nM), a synthetic androgen that is not metabolized by 5.alpha.-reductase, or E2 (50 nM). Proliferative capacity was measured by assaying [3H]thymidine incorporation and labeling index (LI). The mRNA and protein levels for cyclin A2 and G1, which are putative intracellular regulators of Leydig cell proliferation and differentiation, were measured by RT-PCR and immunoblotting, resp. Thymidine incorporation was highest in PLC (9.24 cpm/103 cell), intermediate in ILC (1.74) and lowest in ALC (0.24). Similarly, LI was highest in PLC (13.42%), intermediate in ILC (1.95%), and undetectable in ALC. Cyclin A2 mRNA levels, normalized to ribosomal protein S16 (RPS16), were highest in PLC (2.76), intermediate in ILC (1.79), and lowest in ALC (0.40). In contrast, cyclin G1 mRNA levels were highest in ALC (1.32), intermediate in ILC (0.47), and lowest in PLC (0.12). The relative protein levels of cyclin A2 and G1 paralleled their mRNA levels. Increased proliferative capacity was obsd. in PLC and ILC, but not ALC, after treatment with either LH or IGF-I. Treatment with MENT increased proliferative capacity only in ILC and had no effect in any other group. Treatment with E2 decreased proliferative capacity in PLC but not in ILC or ALC. The changes in proliferative capacity after hormonal treatment paralleled cyclin A2 mRNA and were the inverse of cyclin G1 mRNA levels. We conclude that: (1) decreased cyclin A2 and increased cyclin G1 are assocd. with the withdrawal of the Leydig cell

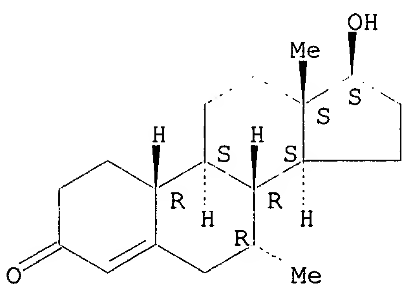
from the cell cycle; (2) the proliferative capacity of Leydig cells is regulated differentially by hormones and is progressively lost during postnatal differentiation.

IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(cyclin A2 decrease and cyclin G1 increase coincide with loss of proliferative capacity in rat Leydig cells during pubertal development)

RN 3764-87-2 HCAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(cyclin A2 decrease and cyclin G1 increase coincide with loss of proliferative capacity in rat Leydig cells during pubertal development)

L42 ANSWER 24 OF 54 HCAPLUS COPYRIGHT 2001 ACS

AN 1997:477206 HCAPLUS

DN 127:131203

TI Estrogenic and progestagenic activities of physiologic and synthetic androgens, as measured by in vitro bioassays

AU Markiewicz, Leszek; Gurpide, Erlio

CS Department of Obstetrics, Gynecology and Reproductive Science, Mount Sinai School of Medicine (CUNY), New York, NY, USA

SO Methods Find. Exp. Clin. Pharmacol. (**1997**), 19(4), 215-222
CODEN: MFEPDX; ISSN: 0379-0355

PB Prous

DT Journal

LA English

AB Estrogenic activities of testosterone (T) and 5.alpha.-dihydrotestosterone (DHT) were detected and measured by using their specific stimulatory effects on alk. phosphatase (AP) activity in human endometrial adenocarcinoma cells of the Ishikawa Var-1 line. These two physiol. androgens were able to induce, at .mu.M concns., estrogenic effect believed to be mediated by the estrogen receptor (ER) since the antiestrogens ICI-164384 and 4-hydroxytamoxifen (OHTam), but not the antiandrogens hydroxyflutamide (OHFl) or cyproterone acetate (CPA), reversed that effect. By using another in vitro bioassay, based on the progestin-specific stimulation of AP activity in cells of the T47D human breast cancer line, progestagenic activity was detected and measured in T, DHT and three synthetic androgens: nandrolone (19-nortestosterone), 7.alpha.-Me 19-nortestosterone (MENT) and mibolerone (7.alpha., 17.alpha.-di-Me 19-nortestosterone) (DMNT). While progestagenic effects of T and DHT required relatively high concns. (.mu.M levels), the synthetic androgens stimulated AP activity at nM or pM levels. These effects seem to be mediated by the progesterone receptor (PR), since they are completely abolished by the antiprogestins RU-486, ZK-98299 and ZK-112993, but not by the antiandrogen OHFl. These simple in vitro bioassays, expressing biol. effects of the test compds. in human cells in culture, revealed dual or multiple hormonal activities coexisting in a

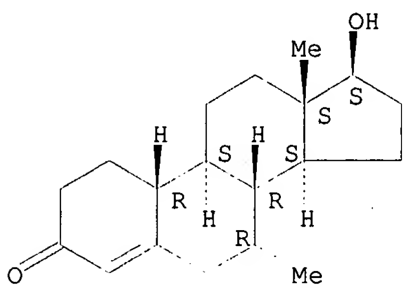
single compd. and provide quant. information of considerable pharmacol. importance concerning the complex actions of drugs.

IT 3764-87-2, 7.alpha.-Methyl 19-nortestosterone
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(estrogenic and progestagenic activities of physiol. and synthetic androgens)

RN 3764-87-2 HCAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 3764-87-2, 7.alpha.-Methyl 19-nortestosterone
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(estrogenic and progestagenic activities of physiol. and synthetic androgens)

L42 ANSWER 25 OF 54 HCAPLUS COPYRIGHT 2001 ACS

AN 1997:438671 HCAPLUS

DN 127:90667

TI Pharmacokinetics and pharmacodynamics of 7.alpha.-methyl-19-nortestosterone after intramuscular administration in healthy men

AU Suvisaari, Janne; Sundaram, Kalyan; Noe, Gabriela; Kumar, Narender; Aguillaume, Claude; Tsong, Yun-Yen; Lahteenmaki, Pekka; Bardin, C. Wayne

CS Steroid Research Laboratory, Institute of Biomedicine, University of Helsinki, SF-00014, Finland

SO Hum. Reprod. (**1997**), 12(5), 967-973
CODEN: HUREEE; ISSN: 0268-1161

PB Oxford University Press

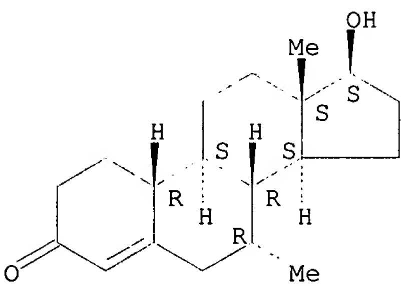
DT Journal

LA English

AB 7.alpha.-Methyl-19-nortestosterone (MENT) is a potent synthetic androgen that is resistant to 5.alpha.-reductases and therefore less prone to over-stimulate the prostate. It is a good candidate for implant administration in long-term androgen replacement therapy for hypogonadal men or as part of a male contraceptive system. To investigate the pharmacokinetics of MENT after i.m. administration, single i.m. injections of 2, 4 or 8 mg of micronized MENT were given in aq. suspension to 18 healthy men in two clinics. Blood was sampled frequently for 8 h and 1, 2, 3, 4 and 9 days after the injections. Serum MENT concns. were detd. by RIA. Peak MENT concns. were dose-dependent and were reached about 1-2 h after the injections. Doubling the dose of MENT resulted in an increase of 60% in peak serum MENT concns. The clearance rate was 1790 L/day. The antigonadotrophic activity of MENT was investigated by giving six consecutive daily i.m. injections of 1, 2 or 4 mg of MENT to 24 healthy men in two clinics. Blood was sampled before each injection and up to 24 days after the last injection. Serum testosterone and gonadotropin concns. (detd. by RIA and fluoroimmunoassay resp.) decreased in a dose-dependent and statistically significantly manner. The highest dose caused a 74% fall in testosterone, a 70% fall in LH, and a 57% fall in FSH concns. MENT injections did not cause any side-effects. The results show that MENT is a potent antigonadotrophic agent in men.

IT 3764-87-2, 7.alpha.-Methyl-19-nortestosterone
RL: BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmacokinetics and pharmacodynamics of methylnortestosterone after i.m. administration in healthy men)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 3764-87-2, 7.alpha.-Methyl-19-nortestosterone
RL: BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmacokinetics and pharmacodynamics of methylnortestosterone after i.m. administration in healthy men)

L42 ANSWER 26 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1997:128570 HCAPLUS
DN 126:207616
TI Immunohistochemical analysis of androgen effects on androgen receptor expression in developing Leydig and Sertoli cells
AU Shan, Li-Xin; Bardin, C. Wayne; Hardy, Matthew P.
CS Center for Biomedical Research, Population Council, New York, NY, 10021, USA
SO Endocrinology (**1997**), 138(3), 1259-1266
CODEN: ENDOAO; ISSN: 0013-7227
PB Endocrine Society
DT Journal
LA English
AB Leydig and Sertoli cells are both targets of androgen action in the testis. Androgen exerts contrasting effects on the two cell types: partially inhibiting steroidogenesis in adult Leydig cell and stimulating adult Sertoli cell functions required to support spermatogenesis. The developmental changes in the mRNA levels of androgen receptor (AR) also differ between Leydig and Sertoli cells, with Leydig cell AR mRNA being highest on day 35 postpartum, whereas Sertoli cell AR mRNA levels are highest on day 90. The purpose of the present study was to det. if the concns. of AR in Leydig and Sertoli cells are differentially regulated during development using quant. immunostaining. AR protein levels were measured in rat testes after hormonal treatments at three developmental stages: on days 21, 35, and 90 postpartum. At each age, five groups of animals were treated for 4 days with: (1) vehicle; (2) LHRH antagonist (NalGlu, 0.3 mg/kg.cntdot.day) to suppress endogenous levels of androgen that accompany inhibition of LH and FSH secretion; (3) NalGlu + LH (0.2 mg/kg.cntdot.day); (4) NalGlu + testosterone (T, at 7.5 mg/kg .cntdot.day); and (5) NalGlu + MENT (a potent synthetic androgen, 7.alpha.-methyl-19-nortestosterone, 0.7 mg/kg.cntdot.day). AR protein was visualized by immunohistochem. and measured by computer-assisted image anal. in Leydig and Sertoli cells using frozen sections of testes. After NalGlu treatment, AR levels in Leydig cells declined sharply to 42% and 31% of vehicle control in the 21 and 35 days postpartum age groups, resp., but in 90-day-old rats there was no change. AR levels were partially maintained by exogenous LH, and completely maintained by exogenous

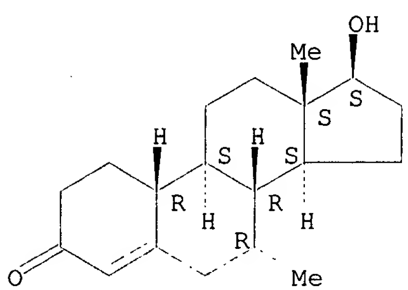
androgen treatments in Leydig cells from 21- and 35-day-old rats, whereas in Leydig cells from 90-day-old rats, AR levels were unaffected in all treatment groups. In contrast, after NalGlu treatment, the AR concn. in Sertoli cells from 90-day-old rats were reduced to 32% of control. Moreover, in Sertoli cells from 90-day-old rats, AR levels were partially maintained by LH and completely maintained by androgens. A similar trend was obsd. on day 35. On day 21, however, AR levels in immature Sertoli cells were unaffected in all treatment groups. These results indicate that androgen maximally stimulates AR levels in immature Leydig cells but is without significant effect in adult Leydig cells. In contrast, AR levels in Sertoli cells are more sensitive to androgen regulation in adult compared with immature animals. These findings indicate that there are distinct mechanisms controlling AR concns. in Leydig and Sertoli cells during the development of the testis.

IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(immunohistochem. anal. of androgen effects on androgen receptor expression in developing Leydig and Sertoli cells)

RN 3764-87-2 HCAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(immunohistochem. anal. of androgen effects on androgen receptor expression in developing Leydig and Sertoli cells)

L42 ANSWER 27 OF 54 HCAPLUS COPYRIGHT 2001 ACS

AN 1996:635668 HCAPLUS

DN 125:293431

TI 7.alpha.-Methyl-19-nortestosterone (MENT): an ideal androgen for replacement therapy

AU Sundaram, Kalyan; Kumar, Narender; Bardin, C. Wayne

CS Population Council, Cent. Biomed. Res., New York, NY, 10021, USA

SO Pharmacol., Biol., Clin. Appl. Androg., Proc. Int. Androg. Workshop, 2nd (**1996**), Meeting Date 1995, 493-497. Editor(s): Bhasin, Shalender. Publisher: Wiley-Liss, New York, N. Y.
CODEN: 63NAAQ

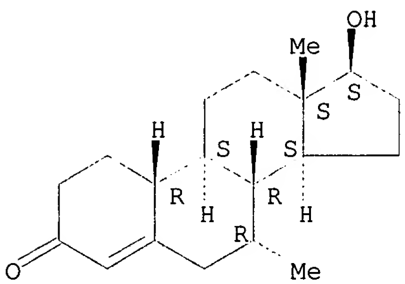
DT Conference

LA English

AB This report compares the biol. properties and metab. of MENT and testosterone (T) and discusses the advantages of using MENT as a replacement androgen. Advantages of using MENT as a replacement androgen for male contraception and for other clin. conditions requiring long-time androgen therapy included: its high potency and consequently lower dose requirement, the feasibility of continuous administration over a long period via subdermal implants, the lack of stimulatory action amplification in the prostate and consequently health benefits, high potency in blocking gonadotropin secretion esp. when used as male contraceptive, and the ability to support libido at low doses.

IT 3764-87-2, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(methylnortestosterone for androgen replacement therapy and male contraception)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

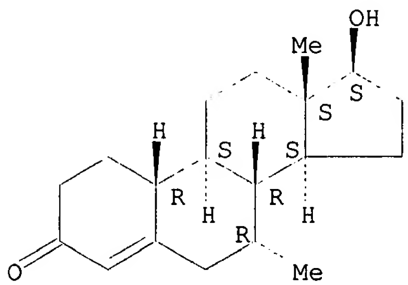


IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(methylnortestosterone for androgen replacement therapy and male contraception)

L42 ANSWER 28 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1996:427329 HCAPLUS
DN 125:76834
TI 7.alpha.-Methyl-19-nortestosterone facilitates sexual behavior in the male Syrian hamster
AU Wood, Ruth I.; Bean, Alan R.; Sundaram, Kalyan; Kumar, Narendar; Bardin, C. Wayne
CS Departments Anatomy and Cell Biology, University Michigan, Ann Arbor, MI, 48109-0616, USA
SO Horm. Behav. (**1996**), 30(2), 131-137
CODEN: HOBEAO; ISSN: 0018-506X
DT Journal
LA English
AB Steroid hormones from the testes promote attraction to estrous females and facilitate copulation in the male Syrian hamster. We compared the ability of testosterone (T) and MENT, a potent synthetic androgen that does not undergo 5.alpha.-redn., to maintain sexual behavior in castrated males. Steroid treatment was initiated immediately after castration at three levels by means of Alzet osmotic pumps in sexually experienced adult male hamsters. Daily doses were 5, 25, or 100 .mu.g T and 1, 5, or 25 .mu.g MENT. Addnl. castrated males remained untreated. Sexual behavior was recorded during two 10-min tests before, and at 2, 4, 6, and 8 wk after orchidectomy. MENT and T maintained equiv. levels of behavior at each corresponding dose of androgen (high, medium, or low). The low dose of T or MENT failed to sustain mating behavior. Eight weeks after castration, males receiving the high and medium doses of androgens continued to express intromissions and ejaculations at gonadally intact levels. However, only males receiving the high dose showed anogenital investigation at the same level as intact males. From these data, we conclude that MENT sustains mating behavior in the male hamster, and that chemoinvestigatory behavior requires higher levels of androgens than those necessary for copulation.
IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(facilitates sexual behavior in male)
RN 3764-87-2 HCAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

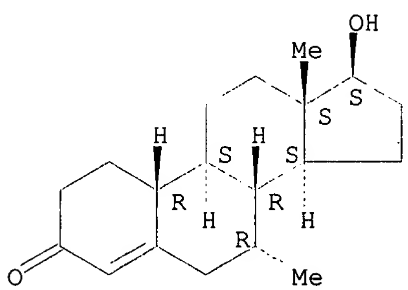


IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(facilitates sexual behavior in male)

L42 ANSWER 29 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1996:342856 HCAPLUS
DN 125:1760
TI Effects of testosterone and 7.alpha.-methyl-19-nortestosterone (MENT) on sexual and aggressive behaviors in two inbred strains of male mice
AU Ogawa, Sonoko; Robbins, Ann; Kumar, Narender; Pfaff, Donald W.; Sundaram, Kalyan; Bardin, C. Wayne
CS Laboratory Neurobiology and Behavior, Rockefeller University, New York, NY, 10021, USA
SO Horm. Behav. (**1996**), 30(1), 74-84
CODEN: HOBEAO; ISSN: 0018-506X
DT Journal
LA English
AB Behavioral and endocrine effects of a synthetic androgen, 7.alpha.-methyl-19-nortestosterone (MENT), which is not 5.alpha.-reduced to dihydrotestosterone, were compared to those of testosterone in 2 inbred strains of male mice, C57BL/6J and DBA/2J, in 2 expts. In the 1st expt., seminal vesicle (SV) wts., kidney wts., and circulating steroid levels were examd. in castrated mice treated with 3 doses of testosterone (3.125, 12.5, or 50 .mu.g/day) or 4 doses of MENT (1, 4, 16, or 64 .mu.g/day) for 2 wk to det. the optimal replacement levels of the 2 androgens for behavioral studies. Both testosterone and MENT dose-dependently increased the SV wts. that were greatly reduced, in both strains, by castration. MENT was more effective than testosterone in increasing SV wts., fully restoring them to intact levels in both strains, at the dose of 4 .mu.g/day. At the dose of 12.5 .mu.g/day, testosterone restored the SV wts. completely in C57BL/6J and up to 80% in DBA/2J mice. DBA/2J mice were more sensitive than C57BL/6J mice to both androgens, as measured by kidney wts., although circulating levels of either steroid were very similar between the 2 strains of mice. In the 2nd expt., the authors investigated the effects of testosterone (12.5 .mu.g/day) and MENT (4 .mu.g/day) on sexual and aggressive behaviors. In each strain, MENT-treated and testosterone-treated mice showed similar nos. of mounts or intromissions. MENT was equally effective as testosterone to fully (C57BL/6J) or partially (DBA/2J) restore sexual behaviors as well as the SV wts. to the intact levels. In contrast, MENT-treated mice of both strains were much less aggressive than testosterone-treated mice. In both C57BL/6J and DBA/2J mice, testosterone fully restored aggression to the intact levels as measured by aggression latency, no. of aggressive bouts, and duration of aggression, whereas aggressive behaviors of the MENT-treated groups were not different from those of the castrated control groups. Apparently, MENT can restore both male sexual behaviors and reproductive organ wts. as effectively as testosterone, at one-third of the testosterone dose, without stimulating male aggressive behaviors.

IT 3764-87-2, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(testosterone and methylnortestosterone effects on sexual and aggressive behaviors in two inbred strains of male mice)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

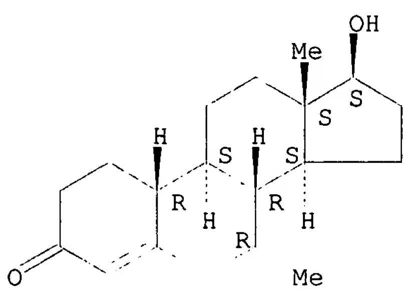


IT 3764-87-2, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(testosterone and methylnortestosterone effects on sexual and aggressive behaviors in two inbred strains of male mice)

L42 ANSWER 30 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1995:897637 HCAPLUS
DN 123:306814
TI Mechanism of androgen-induced thymolysis in rats
AU Kumar, Narender; Shan, Li-Xin; Hardy, Matthew P.; Bardin, C. Wayne; Sundaram, Kalyan
CS Cent. Biomed. Res., Population Council, New York, NY, 10021, USA
SO Endocrinology (**1995**), 136(11), 4887-93
CODEN: ENDOAO; ISSN: 0013-7227
DT Journal
LA English
AB To investigate the mechanism of androgen-induced thymolysis, the effects of various androgens, including testosterone (T), 19-nortestosterone, and 7.alpha.-methyl-19-nortestosterone (MENT), were compared with those of estradiol and dexamethasone (DEX) in intact, castrated, and adrenalectomized male rats. The potency comparisons on thymus regression, based on mass of steroids, showed DEX to be the most potent, followed by estradiol and the androgens. Among the androgens, MENT was the most potent, followed by nortestosterone and T, an order similar to their anabolic potency on muscle. As the thymolytic effects of T and MENT were not altered by the concomitant administration of an aromatase inhibitor or a 5-reductase inhibitor, it was concluded that the effects of androgens were not mediated by their conversion to estrogens or 5.alpha.-reduced metabolites. Involvement of glucocorticoid receptors in androgen action was excluded because mifepristone (an antiglucocorticoid) blocked DEX-induced, but not T- or MENT-induced, thymus regression. Flutamide, an antiandrogen, significantly blocked the thymolytic effect of T and MENT, providing further support for this conclusion. This suggested that the thymolytic action of androgens is an intrinsic property mediated via androgen receptors (AR). The occurrence of AR in the thymus was demonstrated by binding assays and the presence of AR mRNA by reverse transcriptase-polymerase chain reaction. Quant. reverse transcriptase-polymerase chain reaction for AR mRNA in the thymus showed 6-fold more AR mRNA in the thymic epithelial cells than in the thymocytes. However, epithelial cells represent only a small fraction of the thymus. Hence, it is hypothesized that the androgens produce their thymolytic effects by stimulating the secretion of a factor(s) by the thymic

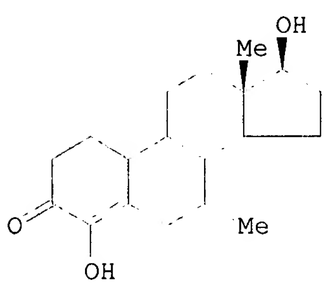
epithelial cells that, in turn, causes regression of the thymus.
IT 3764-87-2, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(mechanism of androgen-induced thymolysis)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **3764-87-2**, 7.alpha.-Methyl-19-nortestosterone
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(mechanism of androgen-induced thymolysis)

L42 ANSWER 31 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1992:564048 HCAPLUS
DN 117:164048
TI Biological activities of the derivatives of 17.beta.-hydroxy-7.alpha.-methyl-4-estren-3-one
AU Li, Zhensu; Zheng, Shurong; Li, Weixiong; Deng, Hongfeng; Ma, Jianbiao; Zhou, Jian; Xue, Ying
CS Res. Cent. Fert. Med., Beijing Med. Univ., Beijing, Peop. Rep. China
SO Beijing Yike Daxue Xuebao (**1991**), 23(3), 223-5
CODEN: BYDXEV; ISSN: 1000-1530
DT Journal
LA Chinese
GI

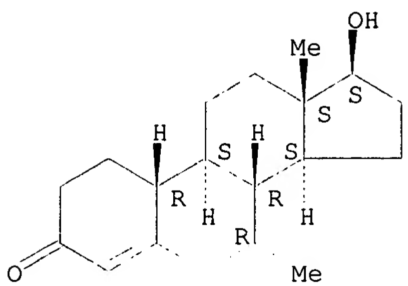


I

AB Among several derivs. of 17.beta.-hydroxy-7.alpha.-methyl-4-estren-3-one, 4,17.beta.-dihydroxy-7.alpha.-methyl-4-estren-3-one (I) exhibited the strongest affinity for the human progesterone receptor, growth inhibition of human decidual cells, and anti-implantational activity in rats. In addn., I was effective in terminating the pregnancy in rats at a larger dosage, and its estrogenic activity in mice was .apprx.25% that of estradiol.
IT **3764-87-2**
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)

(abortifacient and contraceptive activity of)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

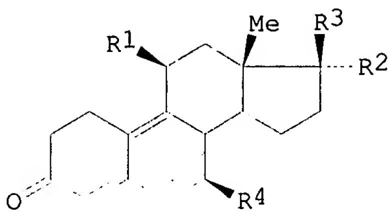


IT **3764-87-2**
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(abortifacient and contraceptive activity of)

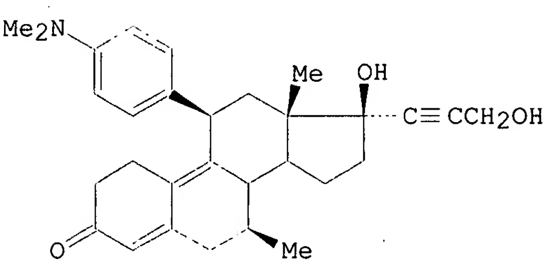
L42 ANSWER 32 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1989:633356 HCAPLUS
DN 111:233356
TI New 11-aryl steroids useful as antiprogestins, their preparation, and pharmaceuticals containing them
IN De Jongh, Hendrik Paul; Van Vliet, Nicolaas Pieter
PA AKZO N. V., Neth.
SO Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 321010	A1	19890621	EP 1988-202678	19881125	<--
	EP 321010	B1	19930203			
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE					
	AT 85342	E	19930215	AT 1988-202678	19881125	<--
	ES 2053714	T3	19940801	ES 1988-202678	19881125	<--
	ZA 8808996	A	19890830	ZA 1988-8996	19881130	<--
	AU 8826469	A1	19890615	AU 1988-26469	19881201	<--
	AU 613433	B2	19910801			
	US 4921845	A	19900501	US 1988-281582	19881208	<--
	CA 1301162	A1	19920519	CA 1988-585297	19881208	<--
	DK 8806880	A	19890613	DK 1988-6880	19881209	<--
	DK 168444	B1	19940328			
	FI 8805717	A	19890613	FI 1988-5717	19881209	<--
	FI 89056	B	19930430			
	FI 89056	C	19930810			
	KR 9709592	B1	19970614	KR 1988-16480	19881210	<--
	CN 1034731	A	19890816	CN 1988-108484	19881212	<--
	CN 1019807	B	19921230			
	JP 01211597	A2	19890824	JP 1988-313643	19881212	<--
PRAI	NL 1987-3008	A	19871212 <--			
	EP 1988-202678	A	19881125 <--			

OS MARPAT 111:233356
GI



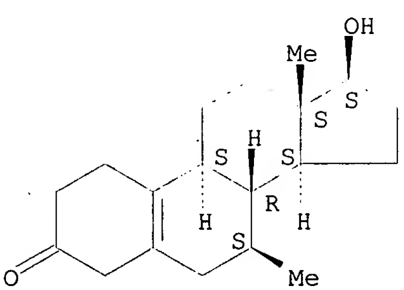
I



II

AB Aryl steroids I [R1 = aryl substituted by -NXY; X, Y = H, C1-4 hydrocarbyl; or XY = C2-6 hydrocarbyl forming 3- to 7-membered ring; R2 = H, OH, acyloxy, alkoxy, (un)satd. C1-8 hydrocarbyl with .gtoreq.1 OH, oxo, N3, cyano, and/or halo group; R3 = OH, acyloxy, alkoxy, or acyl optionally substituted by OH, alkoxy, acyloxy, or halo; or R2R3 forms ring; R2 .noteq. H or OH when R3 = OH; R4 = Me, Et], which are strong antiprogestins with little or no antiglucocorticoid activity (no data), are prepd. Thus, 7.beta.-methylestr-5-(10)-ene-3,17-dione 3,3-di-Me acetal underwent NaBH4 redn., deketalization, bromination/dehydrobromination, reketalization, and epoxidn., to give 5.alpha., 10.alpha.-epoxy-17.beta.-hydroxy-7.beta.-methylester-9(11)-en-3-one 3,3-ethylene acetal. This underwent CuCl-catalyzed coupling with p-(Me2N)C6H4MgBr, Oppenauer oxidn. of 17-OH, alkynylation with THP-OCH2C.tplbond.CMgBr (THP = tetrahydropyranyl), and deprotection, to give (dimethylaminophenyl)hydroxy(hydroxypropynyl)methylestradienone II.
IT **32297-47-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of antiprogestins)
RN 32297-47-5 HCAPLUS
CN Estr-5(10)-en-3-one, 17-hydroxy-7-methyl-, (7.beta.,17.beta.)- (9CI) (CA INDEX NAME)

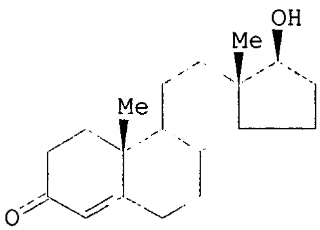
Absolute stereochemistry.



IT **32297-47-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of antiprogestins)

L42 ANSWER 33 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1989:490587 HCAPLUS
DN 111:90587
TI Structure-activity relations for steroids by the MTD method.

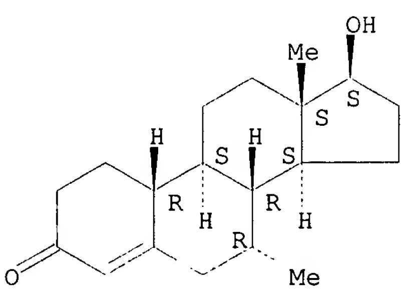
Superposition procedure for molecules with different condensed cycles
AU Gergen, I.; Bohl, M.; Simon, H.; Simon, Z.
CS Off. Stud. Pedol. Agrochem., Timisoara, Rom.
SO Rev. Roum. Chim. (**1989**), 34(4), 995-1004
CODEN: RRCHAX; ISSN: 0035-3930
DT Journal
LA English
GI



I

AB A method for a least-square-deviation, atom-per-atom superposition of steroids with different skeletons was developed, using crystallog. at. coordinates and a computerized technique. This method is used to construct the hypermol. for the minimal topol. difference (MTD) method. A maximal interdistance of 0.5 .ANG. is recommended for attribution of atoms from different mols. to the same hypermol.-vertex based on an anal. of van der Waals potential curves. For a series of 39 gestagenic testosterone (I) derivs. with 3 types of skeletons, using MTD and a cor. hydrophobicity, the correlational equations yield a regression coeff., r = 0.932. The use of the Hueckel-resonance energy in such correlations is also discussed.
IT **3764-87-2**
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(gestagenic activity of, structure in relation to)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



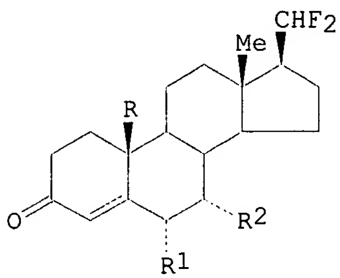
IT **3764-87-2**
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(gestagenic activity of, structure in relation to)

L42 ANSWER 34 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1984:139475 HCAPLUS
DN 100:139475
TI 17.beta.-Difluoromethyl steroids
IN Campbell, J. Allan
PA Upjohn Co. , USA
SO U.S., 9 pp.

CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4416822	A	19831122	US 1982-396968	19820709 <--

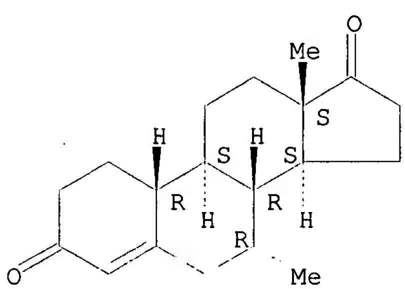
GI



I

AB Contraceptive (difluoromethyl)estrenones I (R = H, Me; R1, R2 = H, Me) were prepd. by fluorination of formylestrenes. Thus, Wittig condensation of 3,3-(ethylenedioxy)estr-5-en-17-one with MeOCH2P+Ph3 Cl- and subsequent acid hydrolysis gave 17.beta.-formylestr-4-en-3-one, which was fluorinated by Et2NSF3 in CH2Cl2 to give I (R-R2 = H) (II). II possessed contraceptive activity in male and female humans at 55-40 mg/day.
IT **17000-78-1**
RL: RCT (Reactant)
(Wittig methylenation of)
RN 17000-78-1 HCAPLUS
CN Estr-4-ene-3,17-dione, 7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **17000-78-1**
RL: RCT (Reactant)
(Wittig methylenation of)

L42 ANSWER 35 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1977:121629 HCAPLUS
DN 86:121629
TI Control of fertility
IN Grunwell, Joyce F.; Benson, Harvey D.
PA Richardson-Merrell Inc., USA
SO U.S., 8 pp. Division of U.S. 3,928,398.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	US 4000273	A	19761228	US 1975-621207	19751009	<--
	US 3928398	A	19751223	US 1973-411791	19731101	<--
	CA 1020544	A1	19771108	CA 1974-209767	19740923	<--
	AU 7473690	A1	19760401	AU 1974-73690	19740925	<--
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	CH 614721	A	19791214	CH 1974-14410	19741028	<--
	JP 50071666	A2	19750613	JP 1974-123987	19741029	<--
	SE 7413684	A	19750502	SE 1974-13684	19741030	<--
	SE 416053	B	19801124			
	SE 416053	C	19810312			
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	DK 7405686	A	19750623	DK 1974-5686	19741031	<--
	DK 137762	C	19781106			
	DK 137762	B	19780501			
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PRAI	US 1973-411791		19731101 <--			

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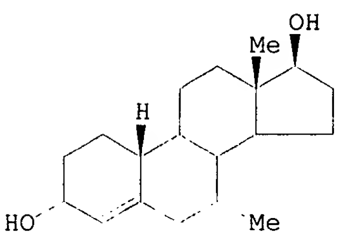


I

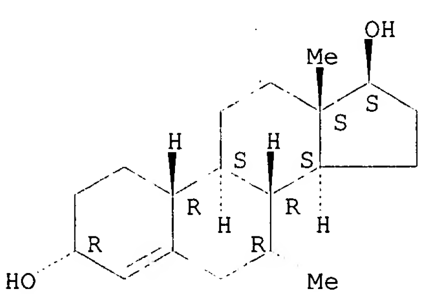
AB 7.alpha.-Methylestr-4-ene-3.alpha.,17.beta.-diol (I) was prepd. as an antifertility agent. Thus, 19-nortestosterone was enol acetylated, brominated, and dehydrobrominated togive 17.beta.-acetoxyestra-4,6-dien-3-one (II). II was treated with Me2CuLi followed by isomerization and deacetylation to give 17.beta.-hydroxy-7.alpha.-methylestr-4-en-3-one. The latter was reduced with LiAlH4 to give I which had 10 times the antifertility activity in female hamsters as that of 7.alpha.-methylestr-4-ene-3.beta.,17.beta.-diol.

IT **56736-39-1P**
RL: **BAC (Biological activity or effector, except adverse)**; SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antifertility activity of)

RN 56736-39-1 HCAPLUS

CN Estr-4-ene-3,17-diol, 7-methyl-, (3.alpha.,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **56736-39-1P**
RL: **BAC (Biological activity or effector, except adverse)**; SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antifertility activity of)

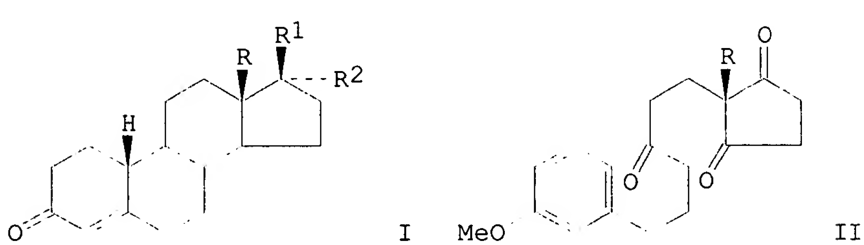
IT **6157-87-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. and deacetylation of)
IT **3764-87-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydride redn. of)

L42 ANSWER 36 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1976:592978 HCAPLUS
DN 85:192978
TI Synthesis of gon-4-enes
IN Hughes, Gordon Allan; Smith, Herchel
PA USA
SO U.S. Publ. Pat. Appl. B, 57 pp.
CODEN: USXXDP
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
PI	US 337823	A1	19760323		US 1964-337823	19640115	<--
	US 4002746	A	19770111				
	AT 282083	B	19700610		AT 1968-2422	19610921	<--
	DK 121369	B	19711011		DK 1961-3753	19610921	<--
	SE 307787	B	19690120		SE 1961-9422	19610922	<--
	SE 334878	B	19710510		SE 1968-1538	19610922	<--
	SE 354064	B	19730226		SE 1970-16052	19610922	<--
	US 3850911	A	19741126		US 1962-228384	19621004	<--
	US 3959322	A	19760525		US 1964-388820	19640811	<--
	US 561365	A1	19760413		US 1966-561365	19660629	<--
	US 4005078	A	19770125				
	IN 108632	A	19750802		IN 1966-108632	19661228	<--
	DK 125991	B	19730528		DK 1971-2834	19710610	<--
	NL 7310233	A	19730925		NL 1973-10233	19730723	<--
	IN 139095	A	19760508		IN 1974-CA2011	19740907	<--
	IN 139096	A	19760508		IN 1974-CA2012	19740907	<--
	IN 139097	A	19760508		IN 1974-CA2013	19740907	<--
	US 4002746	B1	19910903		US 1990-90002043	19900604	<--
PRAI	GB 1959-32619	A	19590925	<--			
	US 1960-57904	A2	19600923	<--			
	US 1961-91341	A2	19610224	<--			
	US 1961-137535	A2	19610912	<--			
	US 1962-195000	A2	19620515	<--			
	US 1962-196557	A2	19620516	<--			
	US 1962-228384	A2	19621004	<--			
	GB 1960-32670	A	19600922	<--			
	GB 1960-32671	A	19600922	<--			
	NL 1963-292817		19630515	<--			
	US 1964-337823	A2	19640115	<--			
	US 1964-388820	A	19640811	<--			
	IN 1966-108632	A1	19661228	<--			

GI

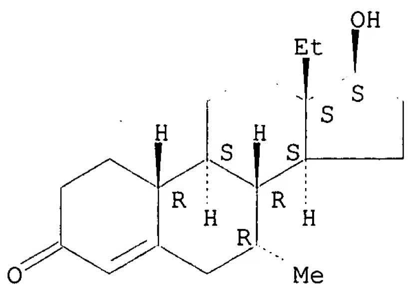


AB Gonenones I (R = alkyl; R1 = alkoxy, acyloxy, OH; R2 = H, OH, alkyl, alkynyl) (.apprx.30 compds.), possessing progestational activity (no data), were prepd. in multistep sequences. Key steps were the

cyclodehydration of II, ethynylation of gona-1,3,5(10),8-tetraen-17-ones followed by selective redns. and acylation. D-homo analogs of I were prepd. similarly.

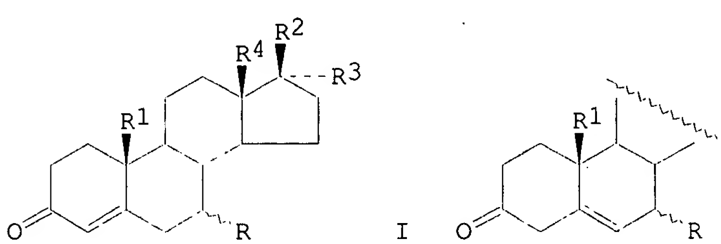
IT **6532-99-6**
RL: RCT (Reactant)
(ketalization of, of ethylene glycol)
RN 6532-99-6 HCAPLUS
CN Gon-4-en-3-one, 13-ethyl-17-hydroxy-7-methyl-, (7.alpha.,17.beta.)-(.+-.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **6532-99-6**
RL: RCT (Reactant)
(ketalization of, of ethylene glycol)
IT **60268-64-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antiestrogenic activity of)

L42 ANSWER 37 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1976:524227 HCAPLUS
DN 85:124227
TI Antiprogestational agents. The synthesis of 7-alkyl steroidal ketones with anti-implantational and antidecidual activity
AU Grunwell, Joyce F.; Benson, Harvey D.; Johnston, J. O'Neal; Petrow, Vladimir
CS Div. Richardson-Merrell Inc., Merrell-Natl. Lab., Cincinnati, Ohio, USA
SO Steroids (**1976**), 27(6), 759-71
CODEN: STEDAM
DT Journal
LA English
GI

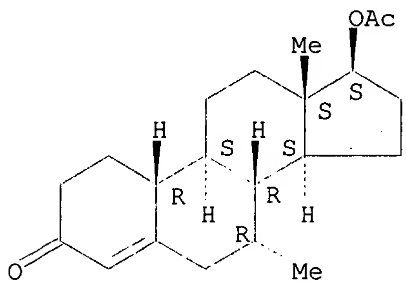


I II

AB Oxo unsatd. steroids I and II (R = alkyl, R1 = H, Me; R2 = OH, OAc; R3 = H, Me, C.tplbond.CH; R2R3 = O; R4 = Me, Et) (28 compds.) were prepd. by 1,6-conjugate addn. of organocopper reagents to the corresponding 3-oxo 4,6-unsatd. androstanes, estranes, and gonanes. I and II (R = .alpha.-Me, R1 = R3 = H, R2 = OAc, R4 = Me) and II (R = .alpha.-Me, R1 = Me, R2 = OH, R3 = R4 = Me) had significant anti-implantational and antidecidual activities. The contragestative effects were assocd. with the latter antihormonal properties, and not with the androgenicity of these compds.
IT **6157-87-5P**

RL: **BAC (Biological activity or effector, except adverse)**; SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antifertility activity of)
RN 6157-87-5 HCAPLUS
CN Estr-4-en-3-one, 17-(acetyloxy)-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **6157-87-5P**
RL: **BAC (Biological activity or effector, except adverse)**; SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antifertility activity of)
IT **17000-78-1P 60533-53-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L42 ANSWER 38 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1976:494611 HCAPLUS
DN 85:94611
TI Synthesis of 13-alkyl-gon-4-enes
IN Hughes, Gordon Alan; Smith, Herchel
PA USA
SO U.S., 58 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
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PI	US 3959322	A	19760525	US 1964-388820	19640811	<--
	AT 282083	B	19700610	AT 1968-2422	19610921	<--
	DK 121369	B	19711011	DK 1961-3753	19610921	<--
	SE 307787	B	19690120	SE 1961-9422	19610922	<--
	SE 334878	B	19710510	SE 1968-1538	19610922	<--
	SE 354064	B	19730226	SE 1970-16052	19610922	<--
	US 3850911	A	19741126	US 1962-228384	19621004	<--
	US 337823	A1	19760323	US 1964-337823	19640115	<--
	US 4002746	A	19770111			
	US 561365	A1	19760413	US 1966-561365	19660629	<--
	US 4005078	A	19770125			
	IN 108632	A	19750802	IN 1966-108632	19661228	<--
	DK 125991	B	19730528	DK 1971-2834	19710610	<--
	NL 7310233	A	19730925	NL 1973-10233	19730723	<--
	IN 139095	A	19760508	IN 1974-CA2011	19740907	<--
	IN 139096	A	19760508	IN 1974-CA2012	19740907	<--
	IN 139097	A	19760508	IN 1974-CA2013	19740907	<--
PRAI	US 1960-57904	A2	19600923 <--			
	US 1961-91341	A2	19610224 <--			
	US 1961-137535	A2	19610912 <--			
	US 1962-195000	A2	19620515 <--			
	US 1962-196557	A2	19620516 <--			
	US 1962-228384	A2	19621004 <--			
	US 1964-337823	A2	19640115 <--			

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     GB 1959-32619     A     19590925  <--
     GB 1960-32670     A     19600922  <--
     GB 1960-32671     A     19600922  <--
     NL 1963-292817          19630515  <--
     US 1964-388820    A     19640811  <--
     IN 1966-108632    A1    19661228  <--
GI   For diagram(s), see printed CA Issue.
AB   Gonenones I (n = 1) and D-homogonenones I (n = 2) [R = alkyl; R1R2 = O; R1
     = HO, MeO, acyloxy, (tetrahydropyran-2-yl)oxy; R2 = H, HO, alkyl, alkenyl,
     alkynyl, acyloxy] (130 compds.), possessing progestational, anabolic,
     androgenic, and blood lipid lowering activities (no data), were prepd.
     from II.  Thus, ethynylation of II (R1R2 = O) followed by acid hydrolysis
     gave I (R1 = OH, R2 = HC.tplbond.C).  Acid hydrolysis of II (R1 = OH) with
     subsequent acylation gave I (R1 = acyloxy).  Key steps in the prepn. of II
     were the cyclodehydration of 9,10-secosteroids III and the Birch redn. of
     IV to II.
IT   6532-99-6
     RL: RCT (Reactant)
        (ketalization of, with ethylene glycol)
RN   6532-99-6  HCAPLUS
CN   Gon-4-en-3-one, 13-ethyl-17-hydroxy-7-methyl-, (7.alpha.,17.beta.)-(.+-.)-
     (9CI)  (CA INDEX NAME)
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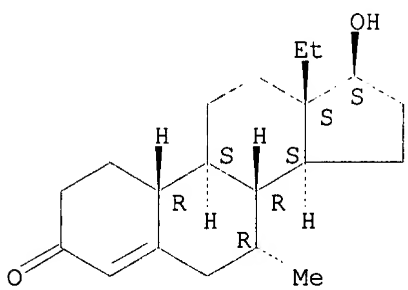
Relative stereochemistry.

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IT   6532-99-6
     RL: RCT (Reactant)
        (ketalization of, with ethylene glycol)
IT   60268-64-6P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and antiestrogenic activity of)

L42  ANSWER 39 OF 54  HCAPLUS  COPYRIGHT 2001 ACS
AN   1975:579399  HCAPLUS
DN   83:179399
TI   7-Alkyl-.DELTA.3,5-steroids
IN   Grunwell, Joyce F.; Johnston, John O.; Petrow, Vladimir; Weintraub, Philip
     M.
PA   Richardson-Merrell Inc., USA
SO   U.S., 12 pp.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 2
     PATENT NO.       KIND  DATE          APPLICATION NO.  DATE
     ---------------  ----  --------      ---------------  --------
PI   US 3890356        A    19750617      US 1973-344838   19730326 <--
     ZA 7400932        A    19750129      ZA 1974-932      19740212 <--
     AU 7465584        A1   19750814      AU 1974-65584    19740214 <--
     JP 49126661       A2   19741204      JP 1974-28209    19740313 <--
     GB 1410294        A    19751015      GB 1974-12368    19740320 <--
     DE 2413559        A1   19741017      DE 1974-2413559  19740321 <--
     FR 2223014        A1   19741025      FR 1974-9975     19740322 <--
     BE 812836         A1   19740715      BE 1974-142453   19740326 <--
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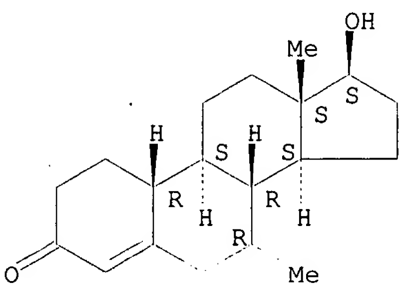
PRAI US 1973-344838 19730326 <--
GI For diagram(s), see printed CA Issue.
AB The antiprogestational and contraceptive androstadienes I (R = Ph, Bu, Me) were prepd. by condensation of 7.alpha.-methyltestosterone with PhMgCl, BuLi, and MeLi, resp., and subsequent acid catalyzed dehydration. 3,7.alpha.-Dimethylestra-3,5-dien-17.beta.-ol acetate, 7.alpha.-methyl-3-phenylestra-3,5-dien-17.beta.-ol, 3,4,7.alpha.-trimethylandrosta-3,5-dien-17.beta.-ol, 7.alpha.-methylandrosta-3,5-dien-17.beta.-ol, 3,7.alpha.-dimethylandrosta-3,5-diene-11.beta.,17.beta.-diol, and 1.alpha.,3,7.alpha.-trimethylandrosta-3,5-dien-17.beta.-ol were prepd. similarly.
IT **3764-87-2**
RL: RCT (Reactant)
(reaction with methyl lithium)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **3764-87-2**
RL: RCT (Reactant)
(reaction with methyl lithium)

L42 ANSWER 40 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1975:479477 HCAPLUS
DN 83:79477
TI 7.alpha.-Methylestr-4-ene-3.alpha.,17.beta.-diol and its derivatives
IN Grunwell, Joyce F.; Benson, Harvey D.
PA Richardson-Merrell Inc., USA
SO Ger. Offen., 30 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

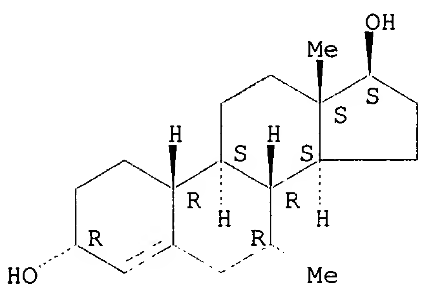
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	DE 2450036	A1	19750507	DE 1974-2450036	19741022	<--
	US 3928398	A	19751223	US 1973-411791	19731101	<--
	CA 1020544	A1	19771108	CA 1974-209767	19740923	<--
	AU 7473690	A1	19760401	AU 1974-73690	19740925	<--
	NL 7413751	A	19750506	NL 1974-13751	19741021	<--
	CH 614721	A	19791214	CH 1974-14410	19741028	<--
	JP 50071666	A2	19750613	JP 1974-123987	19741029	<--
	SE 7413684	A	19750502	SE 1974-13684	19741030	<--
	SE 416053	B	19801124			
	SE 416053	C	19810312			
	FR 2249675	A1	19750530	FR 1974-36464	19741031	<--
	DK 7405686	A	19750623	DK 1974-5686	19741031	<--
	DK 137762	C	19781106			
	DK 137762	B	19780501			
	GB 1438889	A	19760609	GB 1974-47266	19741031	<--

PRAI US 1973-411791 19731101 <--
AB The title compd. (I), possessing antiprogestational and contraceptive

activity, was prepd. from 19-nortestosterone (II). Thus, II underwent successive acetylation and bromination-dehydrobromination to give 17.beta.-acetoxyestra-4,6-dien-3-one which added LiMe in the presence of CuI to give 17.beta.-acetoxy-7.alpha.-methylestr-4-en-3-one. Hydrolysis and hydride redn. of the latter gave I.

IT **56736-39-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antiprogestational and contraceptive activities of)
RN 56736-39-1 HCAPLUS
CN Estr-4-ene-3,17-diol, 7-methyl-, (3.alpha.,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **56736-39-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antiprogestational and contraceptive activities of)
IT **3764-87-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydride redn. of)
IT **6157-87-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)
IT **13570-54-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

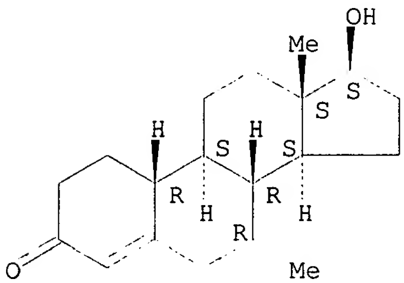
L42 ANSWER 41 OF 54 HCAPLUS
AN 1975:17007 HCAPLUS
DN 82:17007
TI Estra- and androsta-3,5-dien-17.beta.-ols
IN Grunwell, Joyce F.; Johnston, John O'Neal; Petrow, Vladimir; Weintraub, Philip M.
PA Richardson-Merrell, Inc.
SO Ger. Offen., 52 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	DE 2413559	A1	19741017	DE 1974-2413559	19740321	<--
	US 3890356	A	19750617	US 1973-344838	19730326	<--
PRAI	US 1973-344838		19730326 <--			

GI For diagram(s), see printed CA Issue.
AB Eighteen estra- and androstadienols I (R = H or Me, R1 = Me, Ph, Cl, H, or Bu; R2 = .alpha.- or .beta.-Me; R3 = H or Ac; R4 = H, 4-Me, or 1.alpha.-Me; R5 = H, 17.alpha.-Me, or 11.beta.-OH), useful as contraceptives, were prepd. starting from the corresponding 4-en-3-ones, 4-en-3-ols, or 5-en-3-ols by reaction with, e.g., MeLi-THF or Grignard reagents, followed by dehydration.
IT **3764-87-2**
RL: RCT (Reactant)
(Grignard reaction of, with chlorobenzene)

RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



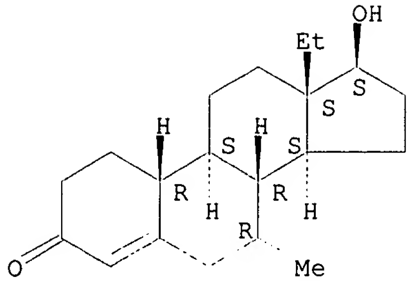
IT **3764-87-2**
RL: RCT (Reactant)
(Grignard reaction of, with chlorobenzene)
IT **3764-87-2**
RL: RCT (Reactant)
(reaction of, with methyllithium)

L42 ANSWER 42 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1974:96225 HCAPLUS
DN 80:96225
TI 19-Nor-7.alpha.-methyl- or 19-nor-20-spirox-4,14-dien-3-one and their 18-methyl derivatives
IN Arth, Glen E.; Rasmusson, Gary H.
PA Merck and Co., Inc.
SO U.S., 5 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3787394	A	19740122	US 1972-295090	19721004 <--
PRAI	US 1970-67615		19700827 <--		

GI For diagram(s), see printed CA Issue.
AB Spiro[estradiene-17,2'-tetrahydrofurans] I (R = H, Me), which were antiestrogenic and possessed anabolic activity with low androgenicity, were prepd. from estratrienone ketals II in 11 steps. Thus, II was brominated, dehydrobrominated, and deketalized to give estratetraenones III, which reacted with Ac2O contg. 4-MeC6H4SO3H to give estratetraenone enol acetates IV. NaBH4 redn. and oxidn. of IV yielded estratetraenones V, which condensed with CH2:CHCH2MgCl to give allylestratetraenols VI. VI underwent successive hydroboration, Birch redn., and hydrolysis to yield estradienones VII, which cyclized by treatment with 4-MeC6H4SO2Cl in pyridine to give I.
IT **6532-99-6**
RL: RCT (Reactant)
(acetylation of)
RN 6532-99-6 HCAPLUS
CN Gon-4-en-3-one, 13-ethyl-17-hydroxy-7-methyl-, (7.alpha.,17.beta.)-(.+-.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



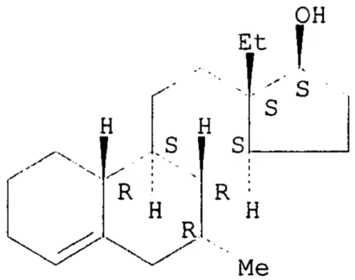
IT 6532-99-6
RL: RCT (Reactant)
(acetylation of)
IT 51947-04-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L42 ANSWER 43 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1972:502024 HCAPLUS
DN 77:102024
TI .DELTA.4-3-Deoxo-19-norsteroids
IN DeJongh, Hendrik Paul
PA Organon Inc.
SO U.S., 5 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3673225	A	19720627	US 1970-3516	19700116 <--

GI For diagram(s), see printed CA Issue.
AB Oxidn. of 7.alpha.,18-dimethylestr-4-en-17.beta.-ol by chromic acid in Me2CO at -10.degree. gave 7.alpha.,18-dimethylestr-4-en-17-one, which was treated with C2H2 in THF contg. KOCMe3 to give 17-ethynyl-7.alpha.,18-dimethylestr-4-en-17.beta.-ol (I). Hydrogenation of I over Pd-BaSO4 in EtOAc gave successively 7.alpha.,18-dimethyl-17-vinylestr-4-en-17.beta.-ol and 17-ethyl-7.alpha.,18-dimethylestr-4-en-17.beta.-ol. Refluxing 17.beta.-hydroxy-7.alpha.,18-dimethylestr-4-en-3-one benzoate with AcClAc2O in pyridine gave 7.alpha.,18-dimethylestra-3,5-diene-3.beta.,17.beta.-diol 3-acetate 17-benzoate, which was chlorinated by SOCl2 in CH2Cl2 to give 3.beta.-chloro-7.alpha.,18-dimethylestr-5-en-17.beta.-ol benzoate. Hydrolysis of the latter by KOH in MeOH-dioxane gave 3.beta.-chloro-7.alpha.,18-dimethylestr-5-en-17.beta.-ol (II). Analogously prepd. were the 17.alpha.-propynyl and 17.alpha.-butadienyl analogs of I and the 17.alpha.-ethynyl, 17.alpha.-vinyl, and 17-.alpha.-ethyl derivs. of II.
IT 28426-18-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 28426-18-8 HCAPLUS
CN Gon-4-en-17-ol, 13-ethyl-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



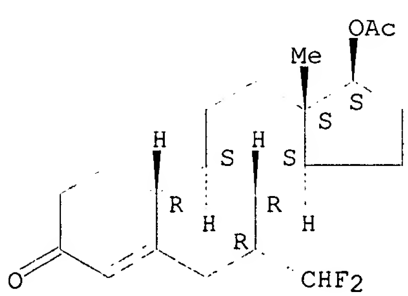
IT 28426-18-8P 28426-19-9P 28426-22-4P
28426-23-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L42 ANSWER 44 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1971:477153 HCAPLUS
DN 75:77153
TI 7.alpha.-(Difluoromethyl)-A-nor-B-homosteroids
IN Fried, John H.
PA Syntex Corp.
SO U.S., 5 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3565918	A	19710223	US 1966-581710	19660926 <--

GI For diagram(s), see printed CA Issue.
AB Cl2FCCO2Na (35 equivs.) in diglyme was added dropwise over 2 hr to a refluxing soln. of 1 g androsta-4,6-dien-17.beta.-ol-3-one in diglyme, and the mixt. refluxed to give 6.alpha.,7.alpha.-(difluoromethylene)androst-4-en-17.beta.-ol-3-one. 6.alpha.,7.alpha.-(Difluoromethylene)-estr-4-ene-3,17-dione in HOAc was refluxed with stirring 1 hr with 5-500 mg portions Zn dust, then stirred 1 hr at room temp. to give 7.alpha.-(difluoromethyl)estr-4-ene-3,17-dione. This in anhyd. peroxide-free dioxane with HC(OEt)3 and p-MeC6H4SO3H stirred 15 min. at room temp., then kept 30 min gave 3-ethoxy-7.alpha.-(difluoromethyl)estra-3,5-dien-17-one. This with NaBH4 gave 3-ethoxy-7.alpha.-(difluoromethyl)estra-3,5-dien-17.beta.-ol, acetylated with Ac2O and the acetate heated with a few drops 36% HCl a few min. on a steam bath to give 7.alpha.-(difluoromethyl)-17.beta.-acetoxyestr-4-en-3-one (I).
IT 18889-68-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 18889-68-4 HCAPLUS
CN Estr-4-en-3-one, 7.alpha.-(difluoromethyl)-17.beta.-hydroxy-, acetate (8CI) (CA INDEX NAME)

Absolute stereochemistry.



disclaimed.

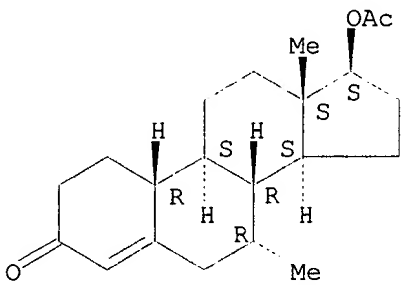
IT **18889-68-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L42 ANSWER 45 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1969:502119 HCAPLUS
DN 71:102119
TI 3.beta.-Tetrahydrofurloxy- and 3.beta.-tetrahydropyranyl-oxyestra-4,9(10)-dienes and -4,9(10),11-triens and their intermediates
IN Edwards, John A.
PA Syntex Corp.
SO U.S., 11 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3461118	A	19690812	US 1966-591371	19661017 <--

AB The title compds. are anabolic and progestational agents. Freshly distd. HC(OEt)3 (1.2 ml.) and 0.8 g. p-toluenesulfonic acid was added to 1 g. 7.alpha.-methylestr-4-ene-3,17-dione in 7.5 ml. anhyd. peroxide-free dioxane, stirred 30 min. at room temp., and 0.8 g. C5H5N added to give 3-ethoxy-7.alpha.-methylestra-3,5(6)-dien-17-one (I). NaBH4 (1 g.) in 3 ml. H2O was added to 1 g. I in 120 ml. MeOH, and kept 16 hrs. at room temp. to give 3-ethoxy-7.alpha.-methylestra-3,5(6)-dien-17.beta.-ol (II). II (1 g.), 4 ml. C5H5N, and 2 ml. Ac2O were mixed and kept 15 hrs. at room temp. to give 3-ethoxy-7.alpha.-methyl-17.alpha.-acetoxyestra-3,5(6)-diene (III). A few drops 36% HCl was added to 1 g. III in 10 ml. Me2CO, and then heated a few min. on a steam bath to give 7.alpha.-methyl-17.beta.-acetoxyestr-4-en-3-one. Prepd. similarly was 3,3-ethylenedioxy-7.alpha.-methyl-17.beta.-acetoxyestr-5(10)-ene (IV). MgSO4 (0.2 g.) was added to 1 g. IV in 50 ml. C6H6, and the mixt. refluxed 40 min. to give 7.alpha.-methyl-17.beta.-acetoxyestr-5(10)-en-3-one (V). V (0.2 g.), 4 ml. C5H5N, and 1.1 g. pyridine perbromide hydrobromide was stirred 7 hrs. to give 7.alpha.-methyl-17.beta.-acetoxyestra-4,9(10)-dien-3-one (VI). VI (1 g.), 50 ml. MeOH, 1 ml. H2O, and KOH was refluxed 3 hrs. to give 7.alpha.-methylestra-4,9(10)-dien-17.beta.-ol-3-one (VII). VII (6 g.) in 120 ml. C5H5N was added to 6 g. chromic trioxide in 20 ml. C5H5N, and kept 5 hrs. at room temp. to give 7.alpha.-methylestra -4,9(10) - diene - 3,17 - dione. Prepd. similarly were: 7.alpha.-methylestra-4,9(10),11-triene-3,17-dione; 3,3-ethylenedioxy-7.alpha.-methylestra-4,9(10)-dien-17-one; and 7.alpha.,17.alpha.-dimethylestra-4,9(10)-dien-17.beta.-ol-3-one. 17.alpha.-Methyl-4,9(10),11-trien-17.beta.-ol-3-one (1 g.), 20 ml. C6H6, and 20 ml. dihydrofuran was distd. to remove moisture, cooled to room temp., 0.2 g. freshly purified p-toluenesulfonyl chloride added, and the mixt. stirred 24 hrs. at room temp. to give 17.alpha.-methyl-17.beta.-tetrahydrofuran-2'-yloxyestra-4,9(10),11-trien-3-one. EtLi (10 molar equivs. in Et2O) was added dropwise under N to 2 g. 3,3-ethylenedioxy-7.alpha.-methylestra-4,9(10)-dien-17-one in 250 ml. abs. Et2O, and the mixt. stirred 48 hrs. at room temp. to give 3,3-ethylenedioxy-7.alpha.-methyl-17.alpha.-ethylestra-4,9(10)-dien-17.beta.-ol. 7.alpha.-Methyl-17.alpha.-ethynylestra-4,9(10)-dien-17.beta.-ol-3-one (1 g.) in 40 ml. C5H5N was hydrogenated at 25.degree./atm. pressure with 0.4 g. prehydrogenated 2% Pd-CaCO3 catalyst to give 7.alpha.-methyl-17.alpha.-vinylestra-4,9(10)-dien-17.beta.-ol-3-one (VIII). MeI (7 g.), 3 g. Zn-Cu, and 15 ml. anhyd. Et2O was refluxed 3 hrs. under N, cooled to room temp. and 2 g. VIII added, and the mixt. kept 2 hrs. at room temp. to give 7.alpha.-methyl-17.alpha.-cyclopropylestra-4,9(10)-dien-17.beta.-ol-3-one.
IT **6157-87-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 6157-87-5 HCAPLUS
CN Estr-4-en-3-one, 17-(acetyloxy)-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 6157-87-5P 18889-67-3P 24130-10-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L42 ANSWER 46 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1969:450380 HCAPLUS
DN 71:50380
TI 13.beta.-Ethyl-3,3-ethylenedioxygon-5-en-17.beta.-ols and 13.beta.-ethyl-3,3-ethylenedioxygon-5(10)-en-17.beta.-ols
IN Hughes, Gordon A.; Smith, Herchel
SO U.S., 5 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

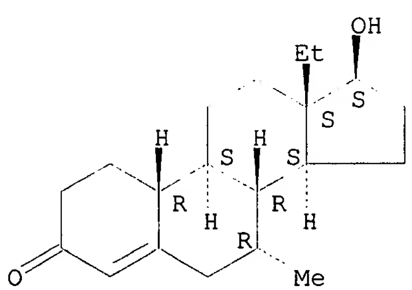
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3417081	A	19681217	US 1966-540922	19660407 <--

AB 13.beta.-Ethyl-3-methoxygona-2,5(10)-dien-17.beta.-ol (13 g.) was refluxed for 36 hrs. in 220 ml. C6H6 and 50 ml. HOCH2CH2OH with 0.4 g. 4-MeC6-H4SO3H to give an equil. mixt. (I), m. 130-9.degree., of 13.beta.-ethyl-3,3-ethylenedioxygon-5-en-17.beta.-ol and 13.beta.-ethyl-3,3-ethylenedioxygon-5(10)-en-17.beta.-ol. Similarly prepd. were a mixt. (II) of 13.beta.-ethyl-3,3-ethylenedioxy-17.alpha.-ethynylgon-5-en-17.beta.-ol and 13.beta.-ethyl-3,3-ethylenedioxy-17.alpha.-ethynylgon-5(10)-en-17.beta.-ol, and a mixt. (III) of 13.beta.-ethyl-3,3-ethylenedioxy-6-methylgon-5-en-17-one and 13.beta.-ethyl-3,3-ethylenedioxy-6-methylgon-5(10)-en-17-one. I (4.9 g.) in 70 ml. C5H5N under N was treated with 4.9 g. CrO3 at 0.degree.. After 17 hrs. at room temp., the mixt. yielded 3.6 g. of an equil. mixt. (IV) of 13.beta.-ethyl-3,3-ethylenedioxygon-5-en-17-one and 13.beta.-ethyl-3,3-ethylenedioxygon-5(10)-en-17-one. IV (3.5 g.) in 100 ml. MeCONMe2 was added under N to 3.5 g. LiC.tplbond.CH in 10 ml. H2NCH2CH2NH2 and 10 ml. dioxane. The mixt. was stirred 5 hrs. and treated with 3.5 g. LiC.tplbond.CH in 10 ml. H2NCH2CH2NH2 and 10 ml. dioxane under C2H2. After 20 hrs., the mixt. was hydrolyzed to give 1.3 g. mixt. (V), m. 150-61.degree., of 13.beta.-ethyl-3,3-ethylenedioxy-17.alpha.-ethynylgon-5-en-17.beta.-ol and 13.beta.-ethyl-3,3-ethylenedioxy-17.alpha.-ethynylgon-5(10)-en-17.beta.-ol. Similarly prepd. were a mixt. (VI) of 13.beta.-ethyl-3,3-ethylenedioxy-17.alpha.-ethynyl-7.alpha.-methylgon-5(10)-en-17.beta.-ol and 13.beta.-ethyl-3,3-ethylenedioxy-17.alpha.-ethynyl-7.alpha.-methylgon-5(6)-en-17.beta.-ol and a mixt. (VII), m. 225-30.degree., of 13.beta.-ethyl-3,3-ethylenedioxy-17.alpha.-ethynyl-6-methylgon-5-en-17.beta.-ol and 13.beta.-ethyl-3,3-ethylenedioxy-17.alpha.-ethynyl-6-methylgon-5(10)-en-17.beta.-ol. V (1 g.) in 15 ml. C6H6 was added to a prehydrogenated suspension of Pd/CaCO3 (0.3 g.) in 10 ml. C6H6. The mixt. was shaken under H to give an equil. mixt. (0.35 g., m. 91-7.degree.) of 13.beta.,17.alpha.-diethyl-3,3-ethylenedioxygon-5-en-17.beta.-ol and 13.beta.,17.alpha.-diethyl-3,3-ethylenedioxygon-5(10)-en-17.beta.-ol. 13.beta.-Ethyl-17.beta.-hydroxygon-4-en-4-one (3.0 g.) was refluxed 3 hrs. with 45 ml. Ac2O, 24 ml. AcCl, and 2.4 ml. C5H5N to give 3.13 g.

3,17.beta.-diacetoxy-13.beta.-ethylgona-3,5-diene (VIII), m. 148-56.degree.. VIII (1.0 g.) in 20 ml. Me2CO was added to 2.7 g. AcONa in 86 ml. Me2CO, 0.58 ml. C5H5N, 27.2 ml. H2O, and 2.72 ml. AcOH. The mixt. was stirred 2 hrs. at 0.degree. with 0.5 g. N-bromosuccinimide to give 0.48 g. 17.beta.-acetoxy-13.beta.-ethylgona-4,6-dien-3-one (IX) m. 163-6.degree.. IX (2 g.) in 30 ml. tetrahydrofuran (THF) contg. a trace of CuCl was added to a mixt. of 20 ml. THF and 16 ml. 3M ethereal MeMgBr and 0.2 g. CuCl. The mixt. was stirred 10 min. at 0.degree. and worked up to give 0.56 g. 13.beta.-ethyl-17.beta.-hydroxy-7.alpha.-methylgon-4-en-3-one (X), m. 152-4.degree.. X (1.35 g.) was converted with 4-MeC6H4-SO3H.H2O in C6H6 and HOCH2CH2OH to 1.2 g. of a mixt. (XI) of 13.beta.-ethyl-3,3-ethylenedioxy-17.beta.-hydroxy-7.alpha.-methylgon-5(10)-ene and 13.beta.-ethyl-3,3-ethylenedioxy-17.beta.-hydroxy-7.alpha.-methylgon-5-ene. XI (1.2 g.) in 40 ml. PhMe and 10 ml. cyclohexanone was distd. to remove H2O. (iso-PrO)3Al (0.8 g.) in 10 ml. PhMe was added and the mixt. refluxed 3.5 hrs. under N to give a mixt. of 13.beta.-ethyl-3,3-ethylenedioxy-7.alpha.-methylgon-5(10)-en-17-one and 13.beta.-ethyl-3,3-ethylenedioxy-7.alpha.-methylgon-5-en-17-one as a viscous gum. VI (0.8 g.) in 50 ml. MeOH, 3 ml. concd. HCl and 2 ml. H2O was stirred 1.5 hrs. under N, poured into brine, and extd. with Et2O to give a crude solid, m. 170-5.degree.. Adsorption on 40 g. Florex and elution with C6H6 gave 0.55 g. 13.beta.-ethyl-17.alpha.-ethynyl-17.beta.-hydroxy-7.alpha.-methylgon-4-en-3-one, m. 182-4.degree.. Similarly prepd. were 13.beta.-ethyl-17.beta.-hydroxy-6.alpha.-methylgon-4-en-3-one, (XII) m. 127-30.degree. and 13.beta.-ethyl-17.alpha.-ethynyl-17.beta.-hydroxy-6.alpha.-methylgon-4-en-3-one, m. 147-51.degree.. XII (6 g.) in 300 ml. Me2CO was treated with 8N H2CrO4 to give 0.8 g. 13.beta.-ethyl-6.alpha.-methylgon-4-ene-3,17-dione, m. 163-6.degree.. II (2.5 g.) in 45 ml. (MeOCH2)2 and 16 ml. 0.93M ethereal EtMgBr was treated with 2.4 g. MeO2C(CH2)2COCl. The mixt. was stored 16 hrs. at 25.degree. and then refluxed 25 hrs. Me2N(CH2)3NH2 (3 ml.) was added, the mixt. poured into brine, and extd. with C6H6 to give 2 g. gummy hemisuccinate methyl ester (XIII) of II. XIII (2 g.) was refluxed 1 hr. with 300 ml. Me2CO and 0.3 g. 4-MeC6H4SO3H.H2O to give 0.3 g. 13.beta.-ethyl-17.alpha.-ethynyl-17.beta.-hydroxygon-4-en-3-one, hemisuccinate methyl ester, m. 127-30.degree.. 3-Methoxy-6-methyl-13.beta.-ethylgona-1,3,5(10)-trien-17.beta.-ol (6.8 g.) in 200 ml. THF was added to 800 ml. liq. NH3 contg. 250 ml. THF, the mixt. treated with 3.5 g. Li, stirred for 1.75 hrs. and treated with EtOH and 2 l. H2O to give 6.5 g. 3-methoxy-6-methyl-13.beta.-ethylgona-2,5(10)-dien-17.beta.-ol, m. 176-82.degree..

IT **6532-99-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 6532-99-6 HCAPLUS
CN Gon-4-en-3-one, 13-ethyl-17-hydroxy-7-methyl-, (7.alpha.,17.beta.)-(.+-.)-(9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **6532-99-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L42 ANSWER 47 OF 54 HCAPLUS COPYRIGHT 2001 ACS

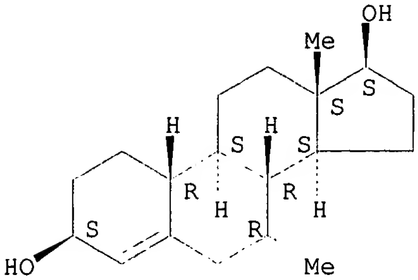
AN 1969:58139 HCAPLUS
DN 70:58139
TI 3,17-Bisoxygenated-7.alpha.-methylandrostanes
IN Counsell, Raymond E.; Klimstra, Paul D.
PA Searle, G. D., and Co.
SO U.S., 4 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3413287	A	19681126	US 1966-546492	19660502 <--

AB Compds. with anabolic, androgenic, estrogenic and antiestrogenic activity were prepd. 17.beta.-Hydroxy-7.alpha.-methylestr-4-en-3-one (I) (1 part) in 22.5 parts tetrahydrofuran (THF) was treated at 0-5.degree. with 3 parts Li(tert-BuO)3AlH, and the mixt. stirred 2 hrs. and poured into ice-H2O contg. excess HOAc to give 7.alpha.-methylestr-4-ene-3.beta.,17.beta.-diol, m. 99-101.degree., [.alpha.]D 9.degree. (CHCl3). Similarly prepd. were 7.alpha.,17.alpha.-dimethylestr-4-ene-3.beta.,17.beta.-diol, [.alpha.]D 5.degree.; 7.alpha.,17.alpha.-dimethylandrost-4-ene-3.beta.,17.beta.-diol, m. 161-3.degree., [.alpha.]D 14.degree. (CHCl3); and 7.alpha.-methylandrost-4-ene-3.beta.,17.beta.-diol (II), m. 149-52.degree., [.alpha.]D 38.5.degree. (CHCl3). II (1.6 parts) in 40 parts EtOH was hydrogenated over 0.1 part PtO2 to give 7.alpha.-methyl-5.alpha.-androstane-3.beta.,17.beta.-diol, m. 139-42.degree., [.alpha.]D -6.degree. (CHCl3). 17.beta.-Hydroxy-7.alpha.,17.alpha.-dimethylandrost-4-en-3-one 11 in 45 parts THF was treated with liq. NH3 280, THF 135, and iso-PrOH 20 parts; followed by 4 parts Li; 8 parts iso-PrOH was added and the mixt. stirred 1 hr. to give 17.beta.-hydroxy-7.alpha.,17.alpha.-dimethyl-5.alpha.-androstan-3-one. Further treatment with THF 135 and LiAlH4 9 in THF 135 parts gave 7.alpha.,17.alpha.-dimethyl-5.alpha.-androstane-3.beta.,17.beta.-diol, m. 211-12.5.degree.. II was acetylated to give the 3,17-diacetate. Similarly prepd. was 7.alpha.-methyl-5.alpha.-estrane-3.beta.,17.beta.-diol 3,17-dipropionate. I 9 in CH2Cl2 100 parts was allowed to stand with 10 parts dihydropyran and 0.02 part p-MeC6H4SO3H 48 hrs. at 25.degree. to form 11 parts 17.beta.-hydroxy-7.alpha.-methylestr-4-en-3-one 17-tetrahydropyran-2-yl ether; this compd. in THF with liq. NH3 and Li yielded 7.alpha.-methyl-5.alpha.-estrane-3.beta.,-17.beta.-diol 17-tetrahydropyran-2-yl ether. This 5.5 was kept with p-MeC6H4SO3H 5.5 parts 2.5 hrs. at 25.degree. to give 7.alpha.-methyl-5.alpha.-estrane-3.beta.,17.beta.-diol 3-p-toluenesulfonate 17-tetrahydropyran-2-yl ether, m. 151-3.degree. (decompn.), [.alpha.]D- 15.degree. (CHCl3). Similarly prepd. was 7.alpha.,17.alpha.-dimethyl-5.alpha.-androstane-3.beta.,17.beta.-diol 3-p-toluenesulfonate. Ir spectral data were given for some of the products.

IT **13570-54-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 13570-54-2 HCAPLUS
CN Estr-4-ene-3,17-diol, 7-methyl-, (3.beta.,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 13570-54-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L42 ANSWER 48 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1968:419410 HCAPLUS
DN 69:19410
TI 7.alpha.-Mono- and dihalomethyl steroid
IN Beard, Colin C.; Cross, Alexander D.
PA Syntex Corp.
SO U.S., 5 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

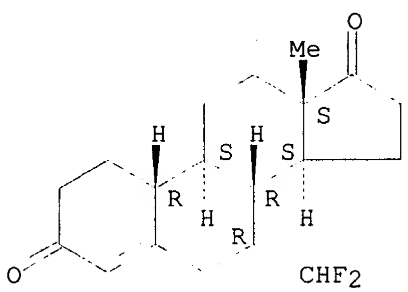
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3357973		19671212	US	19651213 <--

AB NaO2CCF3 (50% wt./vol.) in dimethyl triethylene glycol ether was added dropwise to 1 g. estra-4,6-diene-3,17-dione in 15 ml. dimethyl triethylene glycol ether until further addn. did not affect the uv spectrum, the mixt. cooled and filtered, the filtrate evapd. to dryness, and the residue chromatographed over alumina with CH2Cl2 to give 6.alpha.,7.alpha.-difluoromethyleneestr-4-ene-3,17-dione (I). I (16 g.) in 5 ml. HOAc was refluxed 1 hr. with several portions Zn dust, stirred 1 hr. at room temp., and filtered, the residue washed with HOAc and dild. with H2O, the mixt. extd. with CH2Cl2 and worked up to give 7.alpha.-difluoromethylestr-4-ene-3,17-dione (II). II (1 g.) in 7.5 ml. anhyd. peroxide-free dioxane was mixed with 1.2 ml. freshly distd. Et orthoformate and 0.8 g. p-toluenesulfonic acid, the mixt. stirred 15 min. at room temp. and held at room temp. another 30 min., 0.8 ml. C5H5N added, H2O added until a solid formed, and the solid sepd., washed, and dried to give 3-ethoxy-7.alpha.- difluoromethylestra-3,5-dien-17-one (III). Na borohydride (1 g.) in 3 ml. H2O was added to a cooled soln. of 1 g. III in 120 ml. MeOH and the mixt. held at room temp. 16 hrs. and worked up to give 3-ethoxy-7.alpha.-difluoromethylestra-3,5(6)-dien-17.beta.-ol (IV). A few drops of 36% HCl were added to 1 g. IV in 10 ml. Me2CO and the mixt. heated on a steam bath a few min., and worked up to give 7.alpha.-difluoromethylestr-4-en-17.beta.-ol-3-one (V). A mixt. of 1 g. V, 4 ml. C5H5N, and 2 ml. Ac2O was held at room temp. 15 hrs. and worked up to give 7.alpha.-difluoromethyl-17.beta.- acetoxyestr-4-en-3-one (VI). Dihydropyran (2 ml.) was added to 1 g. V in 15 ml. C6H6, 1 ml. solvent distd. off, 0.4 g. p-toluenesulfonic acid added, and the mixt. held 4 days at room temp. and worked up to 7.alpha.-difluoromethyl-17.beta.-tetrahydropyranyloxyestr-4-en-3-one. A mixt. of 2 g. V, 8 ml. C5H5N, and 4 ml. adamantoyl chloride was heated on a steam bath 1 hr. and worked up to give 7.alpha.-difluoromethyl-17.beta.-adamantoyloxyestr-4-en-3-one. III (5 g.) in 250 ml. thiophene-free C6H6 was treated with an equimolar amt. MeMgBr 3 hrs. under anhyd. conditions, the mixt. cooled, excess aq. NH4Cl added cautiously, and the mixt. worked up to give 3-ethoxy-7.alpha.-difluoromethyl-17.alpha.-methylestra-3,5(6)-dien-17.beta.-ol (VII). VII was hydrolyzed as above to give 7.alpha.-difluoromethyl-17.alpha.-methylestr-4-en-17.beta.-ol-3-one. Also

prepd. were: 3-ethoxy-7.alpha.-difluoromethyl-17.alpha.-ethylestra-3,5(6)-dien-17.beta.-ol; 3-ethoxy-7.alpha.-difluoromethyl-17.alpha.-chloroethynylestra-3,5(6)-dien-17.beta.-ol; 3-ethoxy-7.alpha.-difluoromethyl-17.alpha.-ethynylestra-3,5(6)-dien-17.beta.-ol; and 7.alpha.-difluoromethyl-17.alpha.-ethynyl-17.beta.-acetoxyestr-4-en-3-one. 3-Ethoxy-7.alpha.-difluoromethyl-17.alpha.-ethynylestra-3,5(6)-dien-17.beta.-ol (1 g.) in 40 ml. C5H5N was hydrogenated at 25.degree. and atm. pressure with 0.4 g. prehydrogenated 2% Pd-CaCO3 until 1.1 molar equivs. H was absorbed and worked up to give 3-ethoxy-7.alpha.-difluoromethyl-17.alpha.-vinylestra-3,5(6)-dien-17.beta.-ol. Also prepd. were: 3-ethoxy-7.alpha.-difluoromethyl-17.alpha.-ethylestra-3,5(6)-dien-17.beta.-ol; 7.alpha.-difluoromethyl-17.alpha.-cyclopropylestr-4-en-17.beta.-ol-3-one; 7.alpha.-difluoromethyl-17.alpha.-ethylestr-4-en-3.beta.,17.alpha.-diol; 3.beta.-tetrahydropyranyloxy-7.alpha.-difluoromethylestr-4-en-17.beta.-ol; and 3.beta.-acetoxy-7.alpha.-difluoromethyl-17.alpha.-ethynylestr-4-en-17.beta.-ol.

IT **18889-67-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 18889-67-3 HCAPLUS
CN Estr-4-ene-3,17-dione, 7.alpha.-(difluoromethyl)- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **18889-67-3P 18889-68-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L42 ANSWER 49 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1968:419407 HCAPLUS
DN 69:19407
TI 7.alpha.-(Mono- and -dihalomethyl)estra-4,9(10)-dienes and -4;9(10),11-trienes
IN Beard, Colin C.; Cross, Alexander D.
PA Syntex Corp.
SO U.S., 7 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3357975		19671212	US	19660203 <--

GI For diagram(s), see printed CA Issue.
AB The title compds. are useful as anabolic, progestational, and fertility control agents. 7.alpha.-(Difluoromethyl)-17.beta.-acetoxyestr-4-en-3-one (1 g.), 25 ml. dry C6H6, 5 ml. ethylene glycol, and 50 g. p-MeC6H4SO3H.H2O was refluxed 16 hrs. with removal of H2O, the mixt. washed with aq. NaHCO3 and H2O, dried, and evapd. to dryness to give 3,3-ethylenedioxy-7.alpha.-(difluoromethyl)-17.beta.-acetoxyestr-5(10)-ene (I) (Me2CO-hexane). I (1 g.) in 50 ml. C6H6 was mixed with 0.2 g. MgSO4, refluxed 40 min., neutralized with satd. aq. Na2CO3, concd. in vacuo to 20 ml., poured into H2O, and the ppt. filtered off, washed, and dried to give 7.alpha.-(difluoromethyl)-17.beta.-acetoxyestr-5(10)-en-3-one (II). II

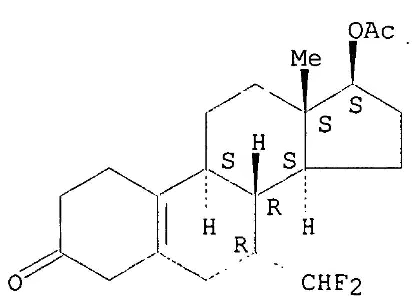
(0.2 g.) in 4 ml. C5H5N was mixed with 1.1 g. pyridine perbromide hydrobromide, the mixt. stirred 7 hrs. at room temp., partitioned between H2O and EtOAc, the org. layer sepd., washed with dil. HCl and dil. NaHCO3, dried, evapd., and purified by chromatog. over alumina with elution by C6H6-Et2O and C6H6 to give 7.alpha.-(difluoromethyl)-17.beta.-acetoxyestra-4,9(10)-dien-3-one (III). III (1 g.) in 50 ml. MeOH was refluxed 3 hrs. with KOH in 1 ml. H2O, the mixt. poured into ice-H2O, and the ppt. filtered off, washed, and dried to give 7.alpha.-(difluoromethyl)estra-4,9(10)-dien-17.beta.-ol-3-one (IV). IV (6 g.) in 120 ml. C5H5N was added to 6 g. CrO3 in 20 ml. C5H5N, the mixt. held at room temp. 15 hrs. dild. with EtOAc, and filtered, and the filtrate washed with H2O, dried, and evapd. to dryness to give 7.alpha.-(difluoromethyl)estra-4,9(10)-diene-3,17-dione. III (0.5 g.) in 25 ml. MeOH was satd. with dry HCl gas, held at room temp. 15 hrs., poured into H2O, the mixt. extd. with CH2Cl2, and the exts. worked up to give 3,3-dimethoxy-7.alpha.-(difluoromethyl)-17.beta.-acetoxyestra-5(10),9(11)-diene (V). V (2 g.) in 70 ml. Me2CO and 7 ml. 8% aq. H2SO4 was held at room temp. 15 hrs., neutralized with aq. Na2CO3, and worked up to give 7.alpha.-(difluoromethyl)-17.beta.-acetoxyestra-5(10),9(11)-dien-3-one. Similarly were prepd. 7.alpha.-(difluoromethyl)-17.beta.-acetoxyestra-4, 9(10)-dien-11.beta.-ol-3-one; 7.alpha.-(difluoromethyl)-17.beta.-acetoxyestra-4, 9(10), 11-trien-3-one; 7.alpha.-(difluoromethyl)estra-4, 9(10),11-triene-3,17-dione; 3,3-ethylenedioxy-7.alpha.-(difluoromethyl)estra-4, 9(10)-dien-17-one; 3,3-ethylenedioxy-7.alpha.-(difluoromethyl)estra-4, 9(10)-dien-17.beta.-ol; 7.alpha.-(difluoromethyl)-estra-4,9(10)-dien-17.beta.-ol-3-one; 7.alpha.-(difluoromethyl)-17.beta.-(tetrahydropyranyloxy)estra-4, 9(10)-dien-3-one; 7.alpha.-(difluoromethyl)-17.beta.-(adamantoyloxy)estra-4,9(10)-dien-3-one; 7.alpha.-(difluoromethyl)-17.beta.-acetoxyestra-4, 9(10)-dien-3-one; 3, 3-ethylenedioxy-7.alpha.-(difluoromethyl)-17.beta.-methylestra-4, 9(10)-dien-17.beta.-ol; 3,3-ethylenedioxy-7.alpha.-(difluoromethyl)-17.alpha.-ethylestra-4, 9(10)dien-17.beta.-ol; 3,3-ethylenedioxy-7.alpha.-(difluoromethyl)-17.alpha.-(chloroethynyl)estra-4, 9(10)-dien-17.beta.-ol; 3,3-ethylenedioxy-7.alpha.-(aifluoromethyl)-17.alpha.-etthynylestra-4, 9(10)-dien-17.beta.-ol; 7.alpha.-(difluoromethyl)-17.alpha.-ethynyl-17.beta.-acetoxyestra-4, 9(10)-dien-3-one; 3,3-ethylenedioxy-7.alpha.-(difluoromethyl)-17.alpha.-vinylestra-4, 9(10)-dien-17.beta.-ol; 3,3-ethylendioxy-7.alpha.-difluoromethyl-17.alpha.-ethylestra-4, 9(10)-dien-17.beta.-ol; 7.alpha.-(difluoromethyl)-17.alpha.-cyclopropylestra-4, 9(10)-dien-17.beta.-ol-3-one; 7.alpha.-(difluoromethyl)-17.beta.-ethylestra-4, 9(10)-diene-3.beta.,17.beta.-diol; 3.beta.-(tetrahydropyranyloxy)-7.alpha.-(difluoromethyl)estra-4, 9(10)-dien-17.beta.-ol; and 3.beta.,17.beta.-diacetoxy-7.alpha.-(difluoromethyl)-17.alpha.-ethynylestra-4, 9(10)-diene.

IT **18886-91-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 18886-91-4 HCAPLUS

CN Estr-5(10)-en-3-one, 7.alpha.-(difluoromethyl)-17.beta.-hydroxy-, acetate (8CI) (CA INDEX NAME)

Absolute stereochemistry.

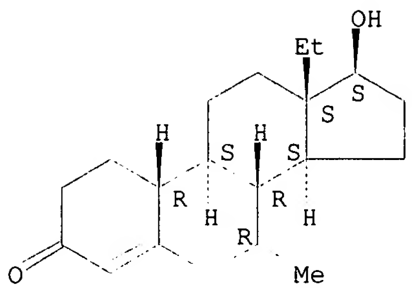


IT **18886-91-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L42 ANSWER 50 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1968:419372 HCAPLUS
DN 69:19372
TI Totally synthetic steroid hormones. XV. 6- and 7-Methylsteroids
AU Buzby, G. C., Jr.; Douglas, G. H.; Walk, C. R.; Smith, H.
CS Wyeth Labs., Inc., Radnor, Pa., USA
SO Proc. Int. Congr. Hormonal Steroids, 2nd, Milan (**1967**), Volume Date 1966 311-15
DT Journal
LA English
AB The prepn. of some 6- and 7-methylsteroids is described. m-Methoxyacetophenone was successively subjected to Reformatsky reaction with CH2BrCO2Me, hydrogenolysis, LiAlH4 redn., and reaction with PBr3 in C6H6 to give 3-(m-methoxyphenyl)butyl bromide. This compd. was subjected successively to reaction with NaC:CH in liq. NH3, Mannich condensation with CH2O and Et2NH, hydration, and distn. to give a mixt. of 7-(m-methoxyphenyl)-6-methylhept-1-en-3-one and 7-(m-methoxyphenyl)-6-methyl-1-diethylaminoheptan-3-one. This mixt. was condensed with 2-methylcyclopentane-1,3-dione to give the corresponding trione which underwent cyclodehydration in C6H6 contg. p-HO3SC6H4Me to give a mixt. of 6.alpha.- and 6.beta.-methyl-3-methoxyestra-1,3,5(10),8(9),14-pentaen-17-ones (I), in yields of 25 and 1%, resp. Similar condensation of the above ketone mixt. with 2-ethylcyclopentane-1, 3-dione followed by cyclodehydration gave a mixt. of 6.alpha.- and 6.beta.-methyl-3-methoxy-13-ethylgona-1,3,5 (10),8(9),14-pentaen-17-one, from which 6.beta.-methyl-3-methoxy-13-ethyl- 17-methylenedioxygona-1,3,5(10),8(9),14-pentaene (II) was obtained in 40% yield. I and its 6.alpha.-isomer were subjected to successive hydrogenation, redn. with NaBH4, and Li-PhNH2 redn. to give 6.beta.- and 6.alpha.-methyl-3-methoxyestra-1,3,5(10)-triene-17-ols (III), resp. Hydrogenation, metal-NH3 redn., acid hydrolysis, and NaBH4 redn. of the ketal II gave 6.beta.-methyl- 3-methoxy-13.beta.-ethylgona-1,3,5 (10)-trien-17-ol (IV). III was also prepd. from 3-methoxyestra-1,3,5(10)-trien-17-ol by successive conversion to the acetate, oxidn., Grignard reaction with MeMgI, dehydration, and hydrogenation. IV was prepd. similarly from 3-methoxy-13-ethylgona-1,3,5(10)-trien-17-ol. 13.beta.-Ethyl-17.beta.-acetoxygona-4,6-dien-3-one (V) and 13.beta.,17.alpha.-diethyl-17.beta.-acetoxygona-4,6-dien-3-one (VI) were prepd. from the corresponding gon-4-en-3-ones. CuCl-Grignard addn. with V gave 7.alpha.-methyl-13.beta.-ethyl-17.beta.-hydroxygon-4-en-3-one, while similar treatment of VI gave 7.alpha.-methyl-13.beta.,17.alpha.-diethyl-17.beta.-acetoxygon-4-en-3-one, which was converted by LiAlH4 redn. and subsequent MnO2 oxidn. to 13.beta.,17.alpha.-diethyl-17.beta.-hydroxygon-4-en-3-one. The biol. activity of some of the 6- and 7-methyl steroids prepd. are tabulated.
IT **6532-99-6**
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(biol. activity of)
RN 6532-99-6 HCAPLUS
CN Gon-4-en-3-one, 13-ethyl-17-hydroxy-7-methyl-, (7.alpha.,17.beta.)-(.+-.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 6532-99-6
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(biol. activity of)

L42 ANSWER 51 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1968:105459 HCAPLUS
DN 68:105459
TI 19-Nor-4,9(10),11-androstatrienes
PA CIBA Ltd.
SO Neth. Appl., 25 pp.
CODEN: NAXXAN
DT Patent
LA Dutch
FAN.CNT 4

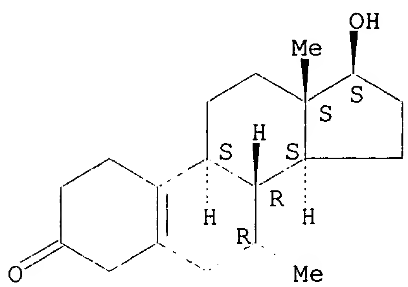
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	NL 6610741	A	19670131	NL 1966-10741	19660729	<--
	CH 488682	A	19700415	CH 1965-488682	19650730	<--
	US 3576828	A	19710427	US 1966-567377	19660722	<--
	GB 1158331	A	19690716	GB 1966-1158331	19660725	<--
	BE 684851	A	19670130	BE 1966-684851	19660729	<--
	BR 6681699	A0	19731025	BR 1966-181699	19660729	<--
	BR 6681700	A0	19731025	BR 1966-181700	19660729	<--
	CS 153439	P	19740225	CS 1966-5120	19660730	<--
	FR 6350	M	19681007	FR 1966-6350	19661020	<--
PRAI	CH 1965-10790		19650730 <--			
	CH 1965-12624		19650910 <--			

GI For diagram(s), see printed CA Issue.
AB Title compds. I were prepd. by treating the corresponding 19-nor-5(10),9(11)-androstadiene with a peracid to form the monoepoxide, which was then treated with a Lewis acid. R and R1 can be replaced by other substituents by known methods. Thus, 6 g. Ia (R = O, R1 = .alpha.-H, .beta.-OBz, R2 = H) in 120 ml. CH2Cl2 was treated with 3.36 g. 85% m-chloroperbenzoic acid with ice cooling, the mixt. stirred 30 min. and left 6 hrs. at -8.degree.. Chromatog. over Florisil gave a cryst. product showing OH in the ir spectrum and absorption at 230 m.mu.. The product was dissolved in 2.4 ml. CH2Cl2 and 9.6 ml. abs. ether and treated with 0.48 ml. BF3 etherate for 20 min. to give 145 mg. I (R = O, R1 = .alpha.-H, .beta.OBz, R2 = H), m. 149.5-51.degree.. The monoepoxidized product was similarly chromatographed over neutral Al2O3. In addn. to the cryst. product which was also obtained from Florisil, 190 mg. 3-oxo-11.alpha.-hydroxy-17.beta.-benzoyloxy-19-nor-4,9-androstadiene, m. 181-2.degree., was eluted. Similarly I (R = O, R1 = .alpha.-H, .beta.-OBz, R2 = Me), was prepd. from Ia (R = O, R1 = .alpha.-H, .beta.-OBz, R2 = Me) (II). II was obtained by treating 3-methoxy-7.alpha.-methylestrone with LiAlH4 to give 3-methoxy-7.alpha.-methyl-17.beta.-hydroxy-1,3,5(10)-estratriene, m. 129-31.degree.. This was treated with Na/H2O to give 3-methoxy-7.alpha.-methyl-17.beta.-hydroxy-19-nor-2,5(10)-androstadiene, m. 115-16.degree., which in turn was treated with (CO2H)2.2H2O to give the 19-nor-5(10)-androstene, m. 130-1.5.degree.. This was brominated in pyridine to give, 3-oxo-7.alpha.-methyl-17.beta.-hydroxy-19-nor-4,9-androstadiene, m. 166-9.degree., and benzoylated to

give II, m. 183-5.degree.. The following I and analogs were similarly prepd. (R, R1, R2, all double bond locations, and m.p. given): H and MeO, .alpha.-Me and .beta.-OH, Me, 2 and 5 (10), 107-8.degree.; O, .alpha.-Me and .beta.-OH, Me, 5(10), 136.5-138.degree.; and MeOH, O, Me, 2 and 5(10), 124.5-6.5.degree.; O, .alpha.-Me and .beta.-OH, Me, 4 and 9, 174.5-6.5.degree.; O, .alpha.-Me and .beta.-OH, Me, 4, 9, and 11, 167-73.degree.; MeO and H, .alpha.-ethynyl and .beta.-OH, Me, 2 and 5(10), 134.5-7.5.degree.. O, .alpha.-ethynyl and .beta.-OH, Me, 5(10), 168-9.degree.; O, .alpha.-ethynyl and .beta.-OH, 4 and 9, 188-91.degree.; O, .alpha.-allyl and .beta.-OH, Me, 5(10), 100-2.5.degree.. The compds. have androgenic and anabolic properties.

IT **5210-24-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 5210-24-2 HCAPLUS
CN Estr-5(10)-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **5210-24-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L42 ANSWER 52 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1968:69196 HCAPLUS
DN 68:69196
TI 7-Methyl-19-norandrostadienes
PA CIBA Ltd.
SO Neth. Appl., 20 pp.
CODEN: NAXXAN
DT Patent
LA Dutch
FAN.CNT 4

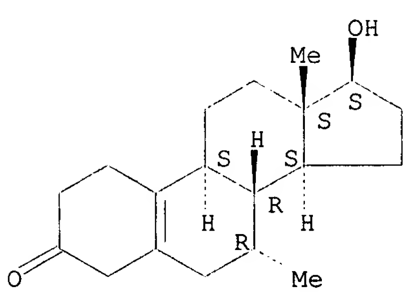
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	NL 6610743	A	19670131	NL 1966-10743	19660729 <--
	CH 488682	A	19700415	CH 1965-488682	19650730 <--
	CH 525873	A	19720731	CH 1966-525873	19660627 <--
	US 3432528	A	19690311	US 1966-567065	19660722 <--
	GB 1158332	A	19690716	GB 1966-1158332	19660725 <--
	ES 329609	A1	19680301	ES 1966-329609	19660728 <--
	BE 684852	A	19670130	BE 1966-684852	19660729 <--
	BR 6681699	A0	19731025	BR 1966-181699	19660729 <--
	BR 6681700	A0	19731025	BR 1966-181700	19660729 <--
PRAI	CH 1965-10790		19650730 <--		
	CH 1965-12624		19650910 <--		
	CH 1966-9278		19660627 <--		

GI For diagram(s), see printed CA Issue.
AB The prepn. of new 7.alpha.-methyl-19-norandrosta-4,9-dienes with general formula Ia is described. R = oxo or a .beta.-hydroxyl, free, esterified, or etherified together with a small aliphatic hydrocarbon group. To a soln. of 18.75 g. 3-methoxy-7.alpha.-methylestra-1,3,5(10)-trien-17-one in 180 ml. tetrahydrofuran (THF) are added 2 g. LiAlH4 and later on 20 ml.

EtOAc, 20 ml. PhMe, and 400 ml. half satd. Na tartrate to yield 17.4 g. 3-methoxy-7.alpha.-methyl-17.beta.-hydroxyestra-1,3,5(10)-triene (I), m. 129-31.degree.. The 17.4 g. I is added to 540 ml. liq. NH3 and a mixt. of 210 ml. THF and 210 ml. tert-BuOH to yield 16.2 g. 3-methoxy-7.alpha.-methyl-17.beta.-hydroxy-19-norandrosta-2,5(10)-diene (II), m. 115-16.degree.. II (15 g.) dissolved in 900 ml. MeOH is added to a soln. of 13.8 g. oxalic acid-2H2O in 180 ml. H2O to yield 3-oxo-7.alpha.-methyl-17.beta.-hydroxy-19-norandrost-5(10)-ene, m. 130-1.5.degree., which is brominated in C5H5N to yield 3-oxo-7.alpha.-methyl-17.beta.-hydroxy-19-norandrosta-4,9-diene, m. 166-9.degree.. To 35 ml. of a 3N soln. of MeMgCl in Et2O is added 3.4 g. 3-methoxy-7.alpha.-methyl-19-norandrosta-2,5(10)-dien-17-one to yield 3-methoxy-7.alpha.,17.alpha.-dimethyl-17.beta.-hydroxy-19-norandrosta-2,5(10)-diene, m. 107-8.degree., which is dissolved in 260 ml. MeOH and mixed with 4 g. oxalic acid-2H2O in 52 ml. H2O to yield 2.36 g. 7.alpha.,17.alpha.-dimethyl-17.beta.-hydroxy-19-norandrost-5(10)-en-3-one (III), m. 136.5-38.degree.. To a soln. of 2.42 g. III in 70 ml. C5H5N is added 14.8 ml. of a 1.11N soln. of Br in CCl4 to yield 1.16 g. 7.alpha.,17.alpha.-dimethyl-17.beta.-hydroxy-19-norandrosta-4,9-dien-3-one, m. 174.5-6.5.degree.. To a soln. of 2.5 g. 3-methoxy-7.alpha.-methyl-19-norandrosta-2,5(10)-dien-17-one in 35 ml. Me2SO and 6 ml. PhMe is added 2.4 g. LiC.tplbond.CH-ethylenediamine to yield 1.66 g. 3-methoxy-7.alpha.-methyl-17.alpha.-ethynyl-19-norandrosta-2,5(10)-dien-17.beta.-ol, m. 134.5-7.5.degree., from which 1.3 g. is dissolved in 110 ml. MeOH and mixed with a soln. of 1.22 g. oxalic acid in 22 ml. H2O to yield 905 mg. 7.alpha.-methyl-17.alpha.-ethynyl-17.beta.-hydroxy-19-norandrost-5(10)-en-3-one (IV), m. 168-9.degree.. Through bromination in C5H5N one obtains from 1.9 g. IV 490 mg. 7.alpha.-methyl-17.alpha.-ethynyl-17.beta.-hydroxy-19-norandrosta-4,9-dien-3-one, m. 190.5-93.degree.. A mixt. of 20 g. 3-methoxy-7.alpha.-methyl-19-norandrosta-1,3,5(10),9(11)-tetraen-17-one, 1.1 l. C6H6, 11 ml. ethylene glycol, and 440 mg. p-toluenesulfonic acid is heated to yield 3-methoxy-7.alpha.-methyl-17,17-ethylenedioxy-19-norandrosta-1,3,5(10),9(11)-tetraene (V). To 3.4 g. V in 100 ml. CH2Cl2 is added 2.5 g. 85% m-chloroperbenzoic acid to yield 3-methoxy-7.alpha.-methyl-9,11-epoxy-17,17-ethylenedioxy-19-norandrosta-1,3,5(10)-triene, which is reduced with Na in liq. NH3 and THF to 3-methoxy-7.alpha.-methyl-11-hydroxy-17,17-ethylenedioxy-19-norandrosta-2,5(10)-diene (VI). VI (5 g.) dissolved in 50 ml. C5H5N is heated with 5 ml. POCl3 to yield 3,17-dioxo-7.alpha.-methyl-19-norandrosta-4,9-diene.

IT **5210-24-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 5210-24-2 HCAPLUS
CN Estr-5(10)-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **5210-24-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L42 ANSWER 53 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1968:3127 HCAPLUS

DN 68:3127
TI 7-Methyltestosterones
IN Babcock, John C.; Campbell, J. Allan
PA Upjohn Co.
SO U.S., 18 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 334155		19670912	US	19610605 <--

AB Compds. with anabolic, androgenic, antiestrogenic, gonadotropin-inhibiting, progestational, growth-promoting, anti-fertility, and central nervous system depressant activity were prepd. as follows. 11.beta.-Hydroxy-17.alpha.-methyltestosterone (5 g.) (CA 50: 7159b), 25 cc. Ac2O, and 100 mg. p-TsOH (Ts = tosyl) in toluene were refluxed under N 4.5 hrs., the product treated with NaBH4 3 days at 5.degree., followed by reaction with LiAlH4 gave 1.2 g. 17.alpha.-methyl-5-androstene-3.beta.,11.beta.,17.beta.-triol (I), m. 230-5.degree.; [.alpha.]D -68.degree. (dioxane). 11.alpha.-Hydroxy-17-methyltestosterone (1 g.) in pyridine was treated with 1 g. p-TsCl to give 11.alpha.-(p-tolylsulfonyloxy)-17-methyltestosterone, which was refluxed with HCO2Na 19 hrs. to give 9(11)-dehydro-17-methyltestosterone. I (2 g.) and 12 g. p-quinone in PhMe was refluxed with 2 g. Al(OBu-tert)3 for 50 min. and chromatographed to give 0.4 g. 11.beta.-hydroxy-17.alpha.-methyl-6-dehydrotestosterone, m. 246-54.degree.; [.alpha.]D 150.degree. (CHCl3). Similarly prepd. were 6-dehydro-17-methyltestosterone (II), m. 182-91.degree.; [.alpha.]D 21.degree. (CHCl3). Using chloranil, 11.beta.-hydroxy-testosterone was converted to the 6-dehydro deriv. II (2 g.) was treated with a mixt. of 0.4 g. Cu2Cl2 and 20 cc. 4M MeMgBr in Et2O in tetrahydrofuran for 4 hrs. and the product chromatographed to give 1 g. of a mixt. of the 7-epimers of 7,17-dimethyltestosterone, m. 120-40.degree.; [.alpha.]D 55.degree. (CHCl3). Sepn. of the epimers was effected by recrystn. and reaction with chloranil to give the 7.alpha.-epimer, m. 163-5.degree., and the 7.beta.-epimer, m. 127-9.degree.. Similarly prepd. were the 7-epimers of 7,17-dimethyl-11.beta.-hydroxytestosterone, m. 218-24.degree., and sepn. as before gave the 7.beta.-epimer, m. 242-6.degree. (decompn.); [.alpha.]D 105.degree. (CHCl3); and by reaction with chloranil to give a residue, 7,17-dimethyl-11.beta.-hydroxy-6-dehydrotestosterone, m. 242-4.degree.; [.alpha.]D 310.degree. (CHCl3), and the 7.alpha.-epimer, m. 225-30.degree.; and 7.alpha.,17-dimethyl-9(11)-dehydrotestosterone, m. 172-6.degree. [obtained from 7.alpha.,17.alpha.-dimethyl-11.alpha.-hydroxytestosterone, m. 230-4.5.degree.; [.alpha.]D 81.degree. (CHCl3)]. 7.alpha.,17.alpha.-Dimethyltestosterone (8 g.), 8 g. Hg, 6.5 cc. HOAc, 5 g. SeO2, and 300 cc. tert-BuOH was refluxed under N for 4 hrs. to give, after chromatog., 1-dehydro-7.alpha.,17.alpha.-dimethyltestosterone, m. 153-6.degree.; [.alpha.]D -6.degree. (CHCl3). 7-Methyl-11.beta.-hydroxytestosterone (III) (1 g.) was acetylated to give the 17-acetate. III (0.3 g.) in benzene was stirred with 0.3 cc. BzCl and 0.3 cc. pyridine for 17 hrs. at 25.degree. to give the 17-benzoate. This compd. (1.5 g.) in 80 cc. HOAc was oxidized with 0.74 g. CrO3 to give 7-methyl-11-oxotestosterone 17-benzoate. Similarly prepd. was 7-methyl-11-oxotestosterone 17-acetate. 7-Methyl-11-oxotestosterone 17-propionate (1 g.) in 50 cc. N alc. KOH contg. 3 cc. H2O was refluxed 0.5 hr. to give 7-methyl-11-oxotestosterone. III (2.5 g.), 250 cc. C6H6, 200 cc. Et2O, 100 cc. concd. HCl, and 100 cc. H2O was refluxed 18 hrs. to give 17-methyl-9(11)-dehydrotestosterone (IV). IV (250 mg.) in C6H6 was converted to the 17-propionate (V). Similarly prepd. was the 17-(.beta.-cyclopentyl-propionate) deriv. of IV. V (2 g.) in Me2CO was cooled to 15.degree. and treated with 2 g. N-bromoacetamide in H2O, followed by 10 cc. 0.8N HClO4 to give 7-methyl-9.alpha.-bromo-11.beta.-hydroxytestosterone 17-propionate (VI). Similarly prepd. were 7-methyl-9.alpha.-chloro-11.beta.-hydroxytestosterone 17-propionate, and 7,17-dimethyl 9.alpha.-bromo-11.beta.-hydroxytestosterone. VI (1.36 g.)

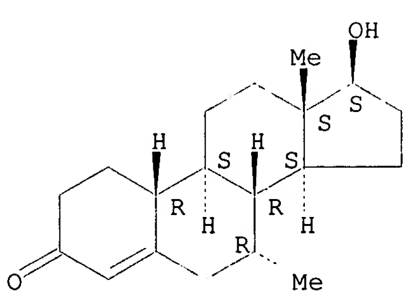
in MeOH was titrated with 0.1N aq. NaOH to give 7-methyl-9.beta.,11.beta.-epoxytestosterone 17-propionate (VII). Similarly prepd. was 7,17-dimethyl-9.beta.,11.beta.-epoxytestosterone. VII (1.13 g.) in CHCl3 was treated with HF in CHCl3 at -15.degree. to give 7-methyl-9.alpha.-fluoro-11.beta.-hydroxytestosterone 17-propionate. This compd. (0.779 g.) in HOAc was treated with 0.37 g. CrO3 in HOAc to give 7-methyl-9.alpha.-fluoro-11-oxotestosterone 17-propionate, which in turn was treated with alc. KOH to give 7-methyl-9.alpha.-fluoro-11-oxotestosterone. 6-Dehydro-19-nortestosterone 17-acetate (3 g.) was treated with 3M MeMgBr and 0.4 g. Cu2Br2 to give 7.alpha.-methyl-19-nortestosterone 17-acetate, m. 111-14.degree.; [.alpha.]D 48.degree. (CHCl3). This product was deacetylated with aq. K2CO3 to give 7.alpha.-methyl-19-nortestosterone, m. 145-6.degree.; [.alpha.]D 55.degree. (CHCl3). This compd. (1.4 g.) was oxidized with CrO3 to give 7.alpha.-methyl-19-nor-4-androstene-3,17-dione, m. 201-4.degree.; and the product (10 mg.) in MeOH was treated with pyrrolidine to give 7.alpha.-methyl-19-nor-4-androstene-3,17-dione 3-pyrrolidinyl enamine (VIII), m. 151-60.degree.. VII (0.5 g.) was treated 5 hrs. with NaC.tplbond.CH in xylene to give 0.161 g. 7.alpha.-methyl-17.alpha.-ethynyl-19-nortestosterone (IX), m. 197-9.5.degree.. Also prepd. was the 17-acetate. IX was hydrogenated over Pd/C to give 17.alpha.-ethyl-7.alpha.-methyl-19-nortestosterone, m. 138-9.degree.. VIII (2.75 g.) was reacted with 3M MeMgBr to give 7.alpha.,17.alpha.-dimethyl-19-nortestosterone (X) which was then treated with Rhizopus nigricans ATCC 6227b to give 7.alpha.,17.alpha.-dimethyl-11.alpha.-hydroxy-19-nortestosterone (XI). X was similarly treated with Cunninghamella blakesleeana ATCC 8688b to give the 11.beta.-isomer of XI. CrO3-HOAc converted XI to 7.alpha.,17.alpha.-dimethyl-11-oxo-19-nortestosterone. To 1.6 g. 7.alpha.-methyl-11.beta.-hydroxy-19-nortestosterone in PhMe and cyclohexanone was added 1.5 g. Al(OBu-tert)3 to give 7.alpha.-methyl-11.beta.-hydroxy-19-nor-4-androstene-3,17-dione. 7.alpha.-Methyltestosterone (20 g.) was treated with 20 g. Na2Cr2O7 in HOAc to give 15.6 g. 7.alpha.-methyl-4-androstene-3,17-dione, m. 194-6.degree.; [.alpha.]D 196.degree. (CHCl3). The product was dissolved in hot MeOH and treated under N with pyrrolidine to give the 3-pyrrolidyl enamine, m. 199-205.degree. (decompn.); [.alpha.]D -190.degree. (pyridine). The compd. thus prepd. was treated with NaC.tplbond.CH as before to give 7.alpha.-methyl-17.alpha.-ethynyltestosterone, m. 191-3.degree.; [.alpha.]D 41.degree. (CHCl3). Hydrogenation converted the latter product to 7.alpha.-methyl-17.alpha.-ethyltestosterone, m. 140.5-3.0.degree.. This compd. was treated to give the 17-propionate. Uv and ir spectral data are given for the compds.

IT **3764-87-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 3764-87-2 HCAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

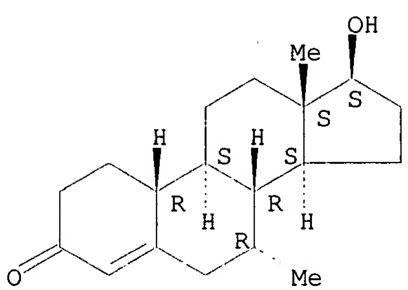
Absolute stereochemistry.



IT **3764-87-2P 6157-87-5P 17000-78-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L42 ANSWER 54 OF 54 HCAPLUS COPYRIGHT 2001 ACS
AN 1967:408238 HCAPLUS
DN 67:8238
TI Relative effectiveness of various steroids in an androgen assay using the exorbital lacrimal gland of the castrated rat I. .DELTA.4-3-Ketones and .DELTA.5-3.beta.-hydroxy steroids
AU Cavallero, Cesare
CS Univ. Pavia, Pavia, Italy
SO Acta Endocrinol. (Copenhagen) (**1967**), 55(1), 119-30
CODEN: ACENA7
DT Journal
LA English
AB The exorbital lacrimal gland of the albino rat is a sexually dimorphic structure, highly sensitive to androgens. Testosterone treatment elicts a vesicular-mucous change in the glandular acini which can be quantitated histol. and appears to be closely related to the administered dose. An assay procedure using the vesicular change as the end-point of androgenic activity is described and the relative androgenic activities of 21 .DELTA.4-keto and .DELTA.5-3.beta.-hydroxy steroids are given. The effect of a variety of substitutions in the steroid nucleus on the activities of testosterone, 17.alpha.-methyltestosterone and 19-nortestosterone is described and discussed with reference to previously reported data.
IT **3764-87-2**
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(androgenic activity of, in exorbital lacrimal gland assay)
RN 3764-87-2 HCAPLUS
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **3764-87-2 6157-87-5**
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(androgenic activity of, in exorbital lacrimal gland assay)

=> d all tot 131

L31 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2001 ACS
AN 1966:499552 HCAPLUS
DN 65:99552
OREF 65:**18650b-d**
TI Totally synthetic steroid hormones. X. Some (.+-.)-13.beta.-ethyl-7.alpha.-methylgonane derivatives
AU Buzby, G. C., Jr.; Walk, C. R.; Smith, Herchel
CS Wyeth Labs., Inc., Res. & Develop. Div., Radnor, PA
SO J. Med. Chem. (1966), 9(5), 782-4
DT Journal
LA English
CC 42 (Steroids)
GI For diagram(s), see printed CA Issue.
AB cf. CA 65, 10892d; 64, 17663g. Several (.+-.)-13.beta.-ethyl-

17.beta.hydroxy-4-gonen-3-ones (I) (R = H, Et, and C.tplbond.CH, R' = H and Me) were prepd. from the corresponding 4-gonen-3-ones and tested for their anabolic, androgenic, and progestational activity (R, R', anabolic, androgenic, and progestational activities expressed in terms of testosterone propionate and progesterone = 100 are given): H, Me, 300, 60, 7; Et, Me, 48, 70, 50; C.tplbond.CH, Me, 27, 26, 20; H, H, 54, 27, 3; Et, H, 350, 17, 300; C.tplbond.CH, H, 70, 8, 915.

L31 ANSWER 2 OF 15 HCAPLUS COPYRIGHT 2001 ACS
AN 1966:459983 HCAPLUS
DN 65:59983
OREF 65:**11211e-f**
TI Preliminary pharmacologic dose-response studies of 7.alpha.-methyl-19-nortestosterone (NSC-69948) in patients
AU O'Bryan, R. M.; Talley, R. W.
CS Div. of Oncology, Henry Ford Hosp., Detroit, MI
SO Cancer Chemotherapy Rept. (1966), 50(6), 335-9
DT Journal
LA English
CC 68 (Pharmacodynamics)
AB 7.alpha.-Methyl-19-nortestosterone acetate was administered subcutaneously at dose levels of 31.6, 50.0, and 100 mg. 3 times weekly to 20 patients with various advanced carcinomas. Symptoms of masculinization developed in all female patients treated 2 weeks or longer. At the higher dosages, the incidence of regression and the degree of masculinization increased in patients with breast cancer. One patient with carcinoma of the prostate showed a 6-month subjective improvement, although no change occurred in his extensive osteoblastic bone metastases; during therapy, serum acid phosphatase levels returned to normal values and remained there.

L31 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2001 ACS
AN 1966:68087 HCAPLUS
DN 64:68087
OREF 64:**12759a-c**
TI 7-Methylestrenes
PA N. V. Organon
SO 6 pp.
DT Patent
LA Unavailable
IC C07C
CC 42 (Steroids)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	NL 64006797		19651217	NL	19640615

AB 3-Methoxy-7.alpha.-methylestradiol (2 g.) in 60 cc. Et2O and 60 cc. liquid NH3 treated at -60.degree. with 0.66 g. Li and stirred 0.5 hr. gave 7.alpha.-methyl-3-methoxy-17.beta.-hydroxy-2,5(10)-estradiene (I), [.alpha.]D 72.7.degree. (CHCl3). I (1 g.) in 100 cc. MeOH stirred 2.5 hrs. at 16.degree. with 1.17 g. (CO2H)2 in 200 cc. H2O gave 7.alpha.-methyl-5(10)-estren-17.beta.-ol-3-one. I (10 g.) in 450 cc. MePh distd. to remove 20 cc. MePh, treated with 85 cc. cyclohexanone and 5 g. (iso-PrO)3Al, and distd. 2.5 hrs. yielded 7.alpha.-methyl-3-methoxy-2,5-(10)-estradien-17-one (II). K (6 g.) in 50 cc. iso-PrOH and 90 cc. C6H6 treated 3 hrs. with C2H2, then with 12 g. II in 60 cc. tetrahydrofuran and 60 cc. C6H6, and again 3 hrs. at 0.degree. with C2H2, kept at room temp. overnight, and dild. under N at 0.degree. with 60 cc. ice-H2O, and the product treated in 60 cc. MeOH with 7 g. (CO2H)2 in 120 cc. H2O and stirred 2.5 hrs. at 16.degree. gave 17.alpha.-ethynyl-7.alpha.-methyl-5(10)-estren-17.beta.-ol-3-one (III). III (2 g.) in 50 cc. AcOEt hydrogenated over 0.4 g. 5% Pd-BaSO4 (pre-hydrogenated in 10 cc. AcOEt) gave the 17.alpha.-Et analog of III.

L31 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2001 ACS
AN 1966:68086 HCAPLUS
DN 64:68086

OREF 64:**12758h,12759a**
TI 5(10)-3-Deoxo steroids
PA N. V. Organon
SO 6 pp.
DT Patent
LA Unavailable
IC C07C
CC 42 (Steroids)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	NL 64006849		19651220	NL	19640617

AB 7.alpha.-Methyl-5(10)-estren-17.beta.-ol-3-one (I) (2 g.) in 50 cc. AcOH treated with stirring at 20.degree. with 10 cc. AcOH, 1.3 cc. (CH2SH)2, and 0.55 cc. Et2O.BF3 gave the 3-ethylene mercaptal (II) of I. Li (1.35 g.) added at -60.degree. to 120 cc. EtNH2, treated with 3.5 g. II in 110 cc. Et2O, and stirred 2 hrs. at -60.degree. gave 7.alpha.-methyl-5-(10)-estren-17.beta.-ol (III). III (2 g.) in 15 cc. C6H5N treated overnight at 4.degree. with 3 cc. PhCH2CH2COCl yielded the 17-phenylpropionate of III. III (5 g.) in 160 cc. Me2CO stirred 10 min. at 5.degree. with 6.5 cc. 8N CrO3-H2SO4 gave 4.75 g. 7.alpha.-methyl-5(10)-estren-17-one (IV). K (3.5 g.) in 25 cc. iso-PrOH and 50 cc. C6H6 treated 3 hrs. with C2H2, then with 6.8 g. IV in 35 cc. Et2O and 25 cc. C6H6, and again 3 hrs. with C2H2, and stirred overnight gave 7.3 g. oily 17.alpha.-ethynyl-7.alpha.-methyl-5(10)-estren-17.beta.-ol (V). V (2.2 g.) in 30 cc. AcOEt hydrogenated over 0.2 g. 5% Pd-BaSO4 (prehydrogenated in 10 cc. AcOEt) yielded the oily 17.alpha.-Et analog of V.

L31 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2001 ACS
AN 1966:7851 HCAPLUS
DN 64:7851
OREF 64:**1412h,1413a**
TI Relation between in vitro dissolution rates and solubilities of numerous compounds representative of various chemical species
AU Hamlin, William E.; Northam, Jack I.; Wagner, John G.
CS Upjohn Co., Kalamazoo, MI
SO J. Pharm. Sci. (1965), 54(11), 1651-3
DT Journal
LA English
CC 6 (Phase Equilibriums, Chemical Equilbriums, and Solutions)
AB Fifty-five sets of initial dissoln. rate and soly. values covering a range of 5 orders of magnitude are reported. The relation between these values supports dissoln. rate theory which states that the initial rate of dissoln. (R) of a compd. is directly proportional to its soly. (C3). Under the exptl. conditions of this investigation, R = 2.24 C8. Using this equation, it is possible to predict the initial rates of dissoln. of a broad range of compds. when their soly. values are known.

L31 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2001 ACS
AN 1965:480896 HCAPLUS
DN 63:80896
OREF 63:**14942c-h,14943a**
TI 7.alpha.-Methyl-17-oxo-4-estrene and other new methyl estrenes
PA CIBA Ltd.
SO 30pp.
DT Patent
LA Unavailable
CC 42 (Steroids)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 640297		19640522	BE	
	FR 1403649			FR	
	FR M3137			FR	
	GB 1012493			GB	
	NL 300895			NL	

PRAI CH 19621123
CH 19630212
GI For diagram(s), see printed CA Issue.
AB I have been prepd, from 17-substituted 3-oxo-7.alpha.-methyl-4-estrenes by suitable removal of the C-3 substituent. Thus, to 30 g. 3-oxo-7.alpha.-methyl-17.alpha.-acetoxy-4-estrene (II) in 900 cc. ether was added 100 cc. (HSCH2)2. and 100 cc. BF3 etherate and kept 20 hrs. to afford 3,3-ethylene-dithio-7.alpha.-methyl-17.beta.-acetoxy-4-estrene (III), m. 177-8.degree., [.alpha.]2D0 83.5.degree. (c 0.955, all in CHCl3). To 300 cc. liquid NH3 was added dropwise at -40.degree. 10 g. III in tetrahydrofuran (THF) followed by 7 g. Na in portions. After 15 min. ale. was added to give 7.alpha.-methyl-17.beta.-hydroxy-4-estrene (IV), m. 132.5-33.degree. (etherpentane), [.alpha.]20D5 40.50 (c 1.01). At 0.degree. 4 cc. 8N CrO3 in dil. H2SO4 was added to 3.2 g. IV in 120 cc. Me2CO and kept 15 min. to yield 7.alpha.-methyl-17-oxo-4-estrene (V), m. 153.5-5.5.degree. (etherpentane), [.alpha.]25D 127.degree. (c 0.962). To a MeMgBr soln. (prepd. in 400 cc. ether from 30 g. Mg) at 10.degree. was added 30 g. V in 300 cc. ether. The mixt. was refluxed 1.5 hrs. to give 7.alpha.,17.alpha.-dimethyl17.beta.-hydroxy-4-estrene (VI), m. 122-2.5.degree. (aq. MeOH), [.alpha.]2D5 18.2.degree. (c 0.961). To 9.5 g. Mg and 40 cc. ether was added dropwise 4.5 g. CH2:CHCH2Br in 15 cc. ether. After 15 min. the soln. was filtered and to the soln. were added 30 cc. ether, 3 g. V in 12 cc. C6H6 and 5 cc. ether. After 3 hrs. at room temp. the mixt. was worked up to give the 17.alpha.-allyl analog (VIa) of IV, m. 50-3.degree. (MeOH), [.alpha.]2D0 24.5o (c 0.832). A soln. of 3.1 g. V in 20 cc. PhMe and 280 cc. ether at 0.degree. was satd. with HC.tplbond.CH gas and at -10 to 0.degree. during 20 rain. was treated with 60 cc. 1.8N Na tert-amylate in a 1:3.42 mixt. of tert-amyl alcohol and MePh. HC.tplbond.CH was passed through the cold soln. 15 hrs. to afford the 17.alpha.-ethynyl analog (VII) of IV, m. 87-8.degree. (aq. MeOH), [.alpha.]2D5 -14.3.degree. (c 0.981). Hydrogenation of VII over 10% Pd/CaCO3 gave the 17.alpha.-ethyl analog (VIII) of IV. A mixt. of 500 mg. IV, 3 cc. pyridine, and 2 cc. (EtCO)2O was kept overnight to yield 7.alpha.-methyl-17.beta.-propionyloxy-4-estrene; similarly was prepd, the 17.beta.-decanoyloxy analog. A mixt. of 12 g. 3-oxo-7.alpha.-methyl17.beta.-hydroxy-4-estrene, 360 cc. ether, 40 cc. (HSCH2)2, and 40 cc. BF3 etherate was kept 3.5 hrs. at room temp. to give the 3ethylenedithio ketal (IX), m. 212-13.degree. (CH2Cl2-ether), [.alpha.]25D 91.3.degree. (c 0.977). IX was reduced with Na in NH3 to IV. Acetylation of IX afforded III. Treatment of IV with Ac2O in pyridine yielded 7.alpha.-methyl-17.beta.-acetoxy-4-estrene (X), m. 69.5-70.5.degree. (pentane, ether-MeOH), [.alpha.]2D0 35.degree. (c 1.056). The 3-oxo deriv. (XI) of VI was converted to the 3-ethylenedithio ketal (XII), m. 155-7.degree. (CH2Cl2-ether), [.alpha.]25D 61.degree. (c0.399). XII was treated with Na in NH3 to give VI. V treated with EtMgBr gave recovered V, VIII [m. 50-62.degree. (MeOH), [.alpha.]20D 16.degree. (c 1.068)], and IV. A mixt. of 3.9 g. VII, 35 cc. Ac2O, and 35 cc. pyridine was kept 36 hrs. at 70.degree., then 56 hrs. at room temp. to give the 17.beta.-acetoxy analog of VII as an oil, [.alpha.]2D0 -17.degree. (c 0.633). To 3 g. II in 150 cc. MeOH was added 800 mg. NaBH4 and the mixt. was stirred i hr. to give crude 3-hydroxy analog of II, which was dissolved in 50 cc. pyridine, 2 g. p-MeC6H4SO2.Cl added at 0.degree. and kept 18 hrs. at 5-10.degree. to yield the 3-tosylate (XIII). To 500 mg. LiAlH4 in 100 cc. THF was added dropwise 50 cc. THF contg, 1 g. XIII. After 30 min. at 60.degree. the mixt. was worked up to yield IV. X was obtained from catalytic hydrogenation of 3,17.beta.-diacetoxy-7.alpha.-methylestrene, prepd, by an analogous route. Similar redn. of XI (instead of II) gave 3,17.beta.-dihydroxy-7.alpha.,17.alpha.-dimethyl-4-estrene, from which was prepd, the 3-acetate, which was treated with Na in NH3 to give VI. I provide a particularly high anabolic-androgenie quotient, with high effectiveness and long duration of action upon oral administration (and are thus much better than the corresponding 3-oxo compds.); they lower blood cholesterol levels, and (when R' is alkynyl) have an antigonadotropic and gestagenic effect. The compds, can thus be useful as anabolics, anti-hypercholesterolemics, gestagenics, and ovulation inhibito[[rs. Formulations for ampuls and tablets are given.

L31 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2001 ACS
AN 1965:456169 HCAPLUS
DN 63:56169
OREF 63:**10288d-e**
TI Protection by various anabolic steroids against dihydrotachysterol induced calcinosis and catabolism
AU Selye, Hans; Tuchweber, Beatriz; Jacqmin, Marc
CS Univ. Montreal, Can.
SO Acta Endocrinol. (1965), 49(4), 589-602
DT Journal
LA English
CC 58 (Hormones)
AB Thirty-six anabolic steroids were tested for their ability to protect the rat against the catabolism, soft tissue calcification, and skeletal lesions induced by chronic intoxication with 50 .gamma. of dihydrotachysterol (DHT) daily by administering 1 mg. of the steroid once daily beginning 5 days prior to DHT. Norbolethone, 17.alpha.-ethyl-3.beta.,17.beta.-dihydroxy-19-norandrost-4-ene 3-propionate, and fluoxymestrone were the most potent in prevention of tissue calcification and skeletal lesions. The anabolic effects of these compds. were independent of their androgenic potency, their renotropic action, and their ability to prevent soft tissue calcification and skeletal lesions induced by DHT.

L31 ANSWER 8 OF 15 HCAPLUS COPYRIGHT 2001 ACS
AN 1965:9274 HCAPLUS
DN 62:9274
OREF 62:**1704c-d**
TI Totally synthetic steroid hormones. II. 13.beta.-Alkylgona-1,3,5(10)-trienes, 13.beta.-alkygon-4-en-3-ones, and related compounds
AU Smith, Herchel; et al.
CS Univ. Manchester, UK
SO J. Chem. Soc. (1964), (Nov.), 4472-92
DT Journal
LA English
CC 42 (Steroids)
AB cf. CA 60, 581c. By using procedures previously developed for (.+-.)-estrone, a variety of (.+-.)-13.beta.-alkylgona-1,3,5(10)-trienes and cognate compds. has been synthesized and converted into various (.+-.)-13.beta.-alkylgon-4-enes. Biol. activities are given for several compds. and in some cases compared with those of the corresponding (+)- and (-)-enantiomers. A series of related (.+-.)-estranes has been totally synthesized for comparison in biol. activities with these gonanes and the corresponding estranes prepd. from (+)-estrone. Preliminary accounts of some of this work have been given.

L31 ANSWER 9 OF 15 HCAPLUS COPYRIGHT 2001 ACS
AN 1964:425614 HCAPLUS
DN 61:25614
OREF 61:**4426h,4427a-d**
TI Steroidal ketones
IN Smith, Herchel
SO 36 pp.
DT Patent
LA Unavailable
CC 42 (Steroids)

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 623844		19630419	BE	
	FR 1437364			FR	
	FR M2796			FR	
PRAI	GB		19611019		

GI For diagram(s), see printed CA Issue.
AB Mild hydrolytic cleavage of 3-alkoxy-.DELTA.3,5(10), 3-alkoxy-.DELTA.2.5(10), 3-alkoxy-.DELTA.5(10), 3,3-alkylenedioxy-.DELTA.3,5(10),

3,3-alkylenedioxy-.DELTA.2,5(10), and 3,3-alkylenedioxy-.DELTA.5(10) steroids give the corresponding .beta.,.gamma.-unsatd. ketones. Under stringent conditions the conjugated unsatd. ketones are formed. A mixt. of 5 g. 1,4-dihydro-17.beta.-ethyl-18-homoestradiol 3-methyl ether, 430 cc. MeOH, 87 cc. H2O, and 6.6 g. (HO2C)2.2H2O is stirred until complete soln. occurs. Ether extn. gives 4.55 g. crude product, m. 126-34.degree., which on repeated crystn. from EtOAc yields 17.alpha.-ethyl-18-homo-17.beta.-hydroxyestr-5(10)-en-3-one (I), m. 142-3.degree.. Similarly prepd. were: 17.alpha.-ethynyl-18-homo-17.beta.-hydroxyestr-5(10)-en-3-one, m. 16973.degree.; 17.alpha.-allyl-18-homo-17.beta.-hydroxyestr-5(10)-en-3-one; 17.alpha.- propynyl-18-homo-17.beta.-hydroxyestr-5(10)-en-3-one, m. 156-9.degree.; 17.alpha.-(2-methallyl)-18-homo-17.beta.-hydroxyestr-5(10)-en-3-one; 17.alpha.-methyl-17.beta.-hydroxy- 18-nor-13-propylestr-5(10)-en-3-one, m. 158-63.degree.; 17.alpha.-ethynyl-17.beta.-hydroxy-18-nor-13-propylestr-5(10)-en-3-one, m. 201-5.degree.; 17.alpha.-propynyl-17.beta.-hydroxy-18-nor-13-propylestr-5(10)-en-3-one, m. 147-50.degree.; and 17.alpha.-ethynyl-17.beta.-hydroxy-18-nor-13-butylestr-5(10)-en-3-one, m. 160-4.degree.. A soln. of 0.5 g. 1,4-dihydro-18-homo-17.alpha.-methylestradiol in 5.5 cc. MeOH is treated, under N, at the b.p., with 0.6 cc. 3N HCl and is then held at room temp. under N 3 hrs. Water is added and the product extd. with Et2O to give 0.2 g. 17.alpha.-methyl-18-homo-19-nortestosterone, m. 128-9.degree. (from benzene, after drying 7 hrs. at 100.degree.). Similarly prepd. were 17.alpha.-ethynyl-18-homo-19-nortestosterone (I), m. 203-6.degree.; 17.alpha.-propyl-18-homo-19-nortestosterone, m. 132-4.5.degree.; 17.alpha.-propynyl-18-homo-19-nortestosterone, m. 124-5.degree.; 17.alpha.-methyl-18,19-dinor-13-propyltestosterone, m. 134-5.5; 17.alpha.-ethyl-18,19-dinor-13-propyltestosterone, m. 98-100.degree.; 17.alpha.-ethynyl-18,19-dinor-13-propyltestosterone, m. 149-50.5.degree.; 18,19-dinor-13.beta.,17-dipropyltestosterone, m. 147-9.degree.; 17.alpha.-allyl-18,19-dinor-13.beta.-propyltestosterone, m. 135-7.degree.; 17.alpha.propynyl-18,19-dinor-13.beta.-propyltestosterone, m. 182-4.degree.; 17.alpha.(2-methallyl)- 18,19- dinor- 13.beta.- propyltestosterone, m. 141.53.5.degree.; 17.alpha.-ethyl-18,19-dinor-13.beta.-butyltestosterone, m. 78-80.degree., and 17.alpha.-ethynyl-18,19-dinor-13.beta.-butyltestosterone, m. 159-63.degree.. Hydrogenation of I over Pd-CaCO3 with 1 mole H yielded 17.alpha.vinyl-18-homo-19-nortestosterone (II), m. 108-11.degree.. Similarly prepd. was 17.alpha.-vinyl-18,19-dinor-13.beta.-propyltestosterone, m. 94-7.degree..

L31 ANSWER 10 OF 15 HCAPLUS COPYRIGHT 2001 ACS
AN 1963:463793 HCAPLUS
DN 59:63793
OREF 59:**11838f-g**
TI Anabolic, androgenic, and myotropic activities of derivatives of 7.alpha.-methyl-19-nortestosterone
AU Lyster, Stanley C.; Duncan, Gordon W.
CS Upjohn Co., Kalamazoo, MI
SO Acta Endocrinol. (1963), 43(3), 399-411
DT Journal
LA English
CC 58 (Hormones)
AB Anabolic, myotropic, and androgenic properties of 7.alpha.-methyl-19-nortestosterone (I) derivs. were compared using rat myotropic-androgenic and monkey anabolic tests. The androgenic activity of parenterally administered I acetate was 6.5 times, and the myotropic activity 23 times greater than those of testosterone propionate. The cyclopentylpropionate ester of I also had anabolic and androgenic activity. 7.alpha.,17.alpha.-Dimethyl-19-nortestosterone showed 14 times greater anabolic activity than fluoxymesterone. The free alc. compds. also possessed high oral anabolic and androgenic activity.

L31 ANSWER 11 OF 15 HCAPLUS COPYRIGHT 2001 ACS
AN 1963:422974 HCAPLUS
DN 59:22974
OREF 59:**4212f-g**

TI Soluble guinea pig liver triphosphopyridine nucleotide (TPN)dependent 17-hydroxysteroid (testosterone) dehydrogenase: partial purification and substrate specificity
AU Joshi, Sharad G.; Duncan, E. Loverne; Engel, Lewis L.
CS Harvard Univ.
SO Steroids (1963), 1(5), 508-27
DT Journal
LA Unavailable
CC 57 (Enzymes)
AB (NH4)2SO4 fractionation, diethylaminoethyl cellulose, and hydroxylapatite chromatography were employed to effect a 200-230-fold purification of the sol. TPN-dependent 17.beta.-hydroxysteroid (testosterone) dehydrogenase of guinea pig liver. The purified prepns. also oxidize sold. C19-3.beta.-hydroxysteroids of the 5.alpha. series and satd. C19-17.beta.-hydroxysteroids. Studies on substrate specificity indicate that the planarity of the substrate influences the reactivity.

L31 ANSWER 12 OF 15 HCAPLUS
AN 1963:74816 HCAPLUS
DN 58:74816
OREF 58:**12841e**
TI D-Thyroxine for atherosclerosis
AU Wenzel, Duane G.
SO Southern Pharm. J. (1963), 55(No. 6), 33
DT Journal
LA Unavailable
CC 58 (Hormones)
AB Applns. of this drug are discussed.

L31 ANSWER 13 OF 15 HCAPLUS
AN 1963:74815 HCAPLUS
DN 58:74815
OREF 58:**12841d-e**
TI Enhanced local androgenic activity of 19-nor steroids and stabilization of their structure by 7.alpha.-and 17.alpha.-Me substituents to highly potent androgens by any route of administration
AU Segaloff, Albert
CS Alton Ochsner Med. Found., New Orleans, LA
SO Steroids (1963), 1, 299-315
DT Journal
LA Unavailable
CC 58 (Hormones)
AB The 19-nor analogs of testosterone, testosterone acetate, 17.alpha.-methyltestosterone, and 4-androstene-3,17-dione had higher local androgenic activity in the chick comb test than the parent hormones. Introduction of a 7.alpha.-Me group into the hormones produced lower local activity but higher systemic activity. The increase (averaging 10-fold) in androgenicity after systemic administration was greatest for 7.alpha.,17.alpha.-dimethyl-19-nortestosterone and least in 7.alpha.-methyl-19-norandrost-4-ene-3,17-dione. It was suggested that 19-nor steroids are the active hormones at the end organs.

L31 ANSWER 14 OF 15 HCAPLUS
AN 1963:67768 HCAPLUS
DN 58:67768
OREF 58:**11643g-h,11644a-b**
TI 7.alpha.-Methyl-19-norsteroids; a new class of potent anabolic and androgenic hormones
AU Campbell, J. Allan; Lyster, Stanley C.; Duncan, Gordon W.; Babcock, John C.
CS Upjohn Co., Kalamazoo, MI
SO Steroids (1963), 1, 317-24
DT Journal
LA Unavailable
CC 58 (Hormones)
AB The biol. properties and an outline of the prepn. of the title compds.,

without exptl. details or phys. consts., are discussed. Thus, 19-nortestosterone acetate 3-enol acetate (Brit. 755,129, CA 51, 10601e) was brominated to give 6-bromo-19-nortestosterone acetate, which was immediately dehydrohalogenated (Zderic, et al., CA 52, 20262a) to 6-dehydro-19-nortestosterone acetate. Addn. of MeMgBr with CuCl yielded 7.alpha.-methyl-19-nortestosterone 17-acetate (mixed with some 17-alcohol). Complete hydrolysis gave 7.alpha.-methyl-19-nortestosterone (I), purified by crystn. The propionate, cyclopentylpropionate, and phenylpropionate of I were prepd. These compds. and I showed a substantial increase in systemic androgenic and myotropic potencies in the rat compared to methyltestosterone (II) and testosterone cyclopentylpropionate. I was oxidized to the 17-ketone (III), the ring A keto group converted to the pyrrolidinyl enamine, and various C-17 substituents were introduced. Thus, treatment of III with Na2C2 or Li2C2-Et2NH in Me2SO (CA 54, 22722h) followed by hydrolysis gave 7.alpha.-methyl-17.alpha.-ethinyl-19-nortestosterone, which underwent selective catalytic redn. to 7.alpha.-methyl-17.alpha.-ethyl-19-mortestosterone. Addn. of MeMgBr to III, followed by hydrolysis gave 7.alpha.,17.alpha.-dimethyl-19-nortestosterone (IV). The androgenic activity of IV is approx. 18 times that of II, measured by seminal vesicle response, while its myotropic activity is 41 times that of II, measured by the levator ani response. The anabolic activity of IV is 144 times that of Halotestin.

L31 ANSWER 15 OF 15 HCAPLUS
AN 1962:469431 HCAPLUS
DN 57:69431
OREF 57:**13832d-i,13833a-i,13834a-i,13835a**
TI 7-Methyltestosterone and derivatives
PA Upjohn Co.
SO 83 pp.
DT Patent
LA Unavailable
CC 36 (Steroids)

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 610385		19620516	BE	
	DE 1182229			DE	
	GB 941634			GB	
PRAI	US		19601116		
	US		19610605		

AB 11.beta.-Hydroxy-17.alpha.-methyltestosterone (I) (3 g.), 25 cc. Ac2O, and 100 mg. p-MeC6H4SO3H in 100 cc. MePh refluxed 4.5 hrs. under N and evapd., the residue dissolved in 100 cc. 95% EtOH, treated with 3 cc. 10% aq. NaOH, cooled to 0.degree., treated with stirring and cooling with 5 g. NaBH4 in 100 cc. 70% EtOH and after 1 hr. with an addnl. 2.5 g. NaBH4 in 50 cc. 70% EtOH, kept 3 days at 5.degree., heated to boiling with 15 cc. 10% aq. NaOH, and evapd., the residue treated with stirring with ice and 3N HCl and filtered, the washed and dried crude product (5.7 g.) dissolved in 50 cc. tetrahydrofuran, treated with stirring with 1.5 g. LiAlH4, dild. with 15 cc. Et2O, stirred 1 hr., and worked up yielded 1.7 g. 17.alpha.-methyl-5-androstene-3.beta.,11.beta.,17.beta.-triol (II), m. 230-5.degree. (EtOAc), [.alpha.]D -68.degree. (dioxane). 11.alpha.-Epimer of I (1 g.) in dry C5H5N treated 18 hrs. at room temp. with 1 g. p-MeC6H4SO2Cl and poured into H2O gave the 11.alpha.-(p-toluenesulfonate) (III). III (1 g.), 0.2 g. HCO2Na, 0.57 cc. H2O, and 14 cc. abs. EtOH refluxed 19 hrs., cooled, stirred into 50 g. ice and H2O, and filtered gave 9(11)-dehydro-17-methyltestosterone. II (2 g.), 12 g. p-benzoquinone, and 150 cc. MePh boiled to remove about 30 cc. MePh, treated with 2 g. (Me3CO)3Al, refluxed 50 min., cooled, washed with dil. aq. NaOH and H2O, and chromatographed on 100 g. Florisil yields 0.6 g. 11.beta.-hy droxy-17-methyl-6-dehydrotestosterone (IV), m. 246-54.degree. (EtOAc-Me2CO), [.alpha.]D 150.degree. (CHCl3). 17.alpha.-Methyl-5-androstene-3.beta.,17.beta.-diol (40 g.) and 170 g. p-benzoquinone in 1.3 I. MePh boiled to remove 250 cc. MePh, treated with 32 g. (Me3CO)3Al, refluxed 50 min., and worked up in the usual manner yielded 6.5 g.

6-dehydro-17-methyltestosterone (V), m. 182-91.degree. (hexane-Me2CO), [.alpha.]D 21.degree. (CHCl3). 11.beta.-Hydroxytestosterone (0.5 g.) in 50 cc. Me3COH refluxed under N with 0.5 g. chloranil during 2.5 hrs., concd. under a rapid N stream, dild. with CH2Cl2, and worked up, and the crude product chromatographed on Florisil gave 11.beta.-hydroxy-6-dehydrotestosterone. CuCl2 (0.4 g.), 20 cc. 4M MeMgBr in Et2O, and 60 cc. tetrahydrofuran treated with stirring and cooling with 2 g. V, 60 cc. tetrahydrofuran, and 0.2 g. CuCl2, stirred 4 hrs., decompd. with ice and H2O, acidified with 3N HCl, and extd. with Et2O, and the ext. chromatographed on 125 g. Florisil yielded 1 g. mixt., m. 120-40.degree., [.alpha.]D 55.degree. (CHCl3), of 7.alpha., 17-dimethyltestosterone (VI), m. 163-5.degree., and the 7.beta.-epimer, m. 127-9.degree.. VI (8 g.), 8 g. Hg, 6.5 cc. AcOH, and 5 g.SeO2 in 300 cc. Me3COH refluxed 4 hrs. with stirring, treated with 2 g. SeO2, refluxed an addnl. 3 hrs., concd. to about 200 cc. under a rapid stream of N, dild. with CH2Cl2 and Et2O, and worked up, and the crude product chromatographed on 200 g. Florisil gave 1-dehydro-7.alpha.,17.alpha.-dimethyltestosterone, m. 153-6.degree. (Me2COSkellysolve B), [.alpha.]D -6.degree. (CHCl3). CuCl2 (1.6 g.) in 240 cc. tetrahydrofuran and 100 cc. 3M MeMgBr in Et2O treated with 8 g. IV and 0.8 g. CuCl2 in 300 cc. tetrahydrofuran under N with stirring and cooling, and poured after 15 min. into Et2O, dil. HCl, and ice satd. with NaCl, the org. phase worked up, and the crude product chromatographed on 250 g. Florisil yielded 3.2 g. mixt., m. 218-24.degree. (hexane-Me2CO), [.alpha.]D 102.degree. (CHCl3), which by fractional recrystn. gave 7.beta., 17-dimethyl-11.beta.-hydroxytestosterone (VII), m. 242-6.degree. (decompn.) (Me2CO-MeOH), [.alpha.]D 105.degree. (CHCl3); a 0.5-g. portion of the mixt. (0.5 g.) in 50 cc. Me3COH treated 2.5 hrs. with 0.5 g. chloranil under N, concd. under a rapid stream of N, dild. with CH2Cl2, and worked up, and the crude product chromatographed on 100 g. Florisil yielded 100 mg. VII, m. 242-4.degree. (decompn.), [.alpha.]D 310.degree. (CHCl3), and 60 mg. 7.alpha.-epimer (VIII) of VII, m. 225-30.degree. with previous softening. 17-Methyl-6,9(11)-bisdehydrotestosterone gave similarly a mixt., m. 172-6.degree., of 7.alpha.- and 7.beta.-epimers of 7,17-dimethyl-9(11)-dehydrotestosterone, which is also obtained from 7.alpha.,-17.alpha.-dimethyl-11.alpha.-hydroxytosterone, m. 230-43.5.degree., [.alpha.]D 81.degree. (CHCl3), via the 11.alpha.-(p-toluenesulfonate) with HCO2Na in aq. EtOH. 7-Methyl-11.beta.-hydroxytestosterone (IX) (1 g.) in 6 cc. dry C5H5N and 6 cc. Ac2O kept 17 hrs., poured onto ice, and filtered gave the 17-acetate (X). IX (0.3 g.) in 12 cc. dry C6H6 treated with 0.3 g. BzCl and 0.3 cc. dry C6H6 gave similarly the 17-benzoate (XI) of IX. XI (1.5 g.) in 80 cc. AcOH treated with 0.74 g. CrO3 in 4 cc. H2O and 80 cc. AcOH, kept 5 hrs. at room temp., treated with 10 cc. MeOH, and evapd., and the residue triturated with H2O and extd. with Et2O yielded 7-methyl-11-oxotestosterone 17-benzoate. X was oxidized similarly to 7-methyl-11-oxotestosterone 17-acetate. 17-Propionate (1 g.) of 7-methyl-11-oxotestosterone (XII) in 50 cc. N KOH-MeOH contg. 3 cc. H2O refluxed 0.5 hr., poured onto ice, neutralized with dil. H2SO4, and filtered gave XII. IX (2.5 g.), 250 cc. C6H6, 200 cc. Et2O, 100 cc. concd. HCl, and 100 cc. H2O refluxed 18 hrs. with stirring, and the org. layer worked up yielded 7-methyl-9(11)-dehydratestosterone (XII). XIII (250 mg.) in 30 cc. C6H6 heated to remove 18 cc. C6H6, cooled, treated with 2 cc. C5H5N and 2 cc. (EtCO)2O, kept 22 hrs. at about 26.degree., dild. with 25 cc. H2O, and extd. with Et2O gave the 17-propionate (XIV) of XIII. XIII (250 mg.) in C6H6 gave in the same manner with 0.25 cc. B-cyclopentylpropionyl chloride the 17-(.beta.-cyclopentylpropionate) of XIII. XIV (2 g.) in 100 cc. Me2CO cooled to 15.degree., treated with 2 g. AcNHBr in 50 cc. H2O, kept at 12.degree., treated with 10 cc. 0.8N HClO4 and after 5 min. with an addnl. 10 cc. HClO4 followed after a further 10 min. by 20 cc. HClO4, treated after 20 min. with satd. aq. Na2SO3, dild. with 200 cc. H2O, and filtered gave 7-methyl-9.alpha.-bromo-11.beta.-hydroxytestosterone 17-propionate (XV). XIV (1 g.) in 50 cc. Me3COH treated at 20-5.degree. with 1 g. N-chlorosuccinimide in Me3COH and 50 cc. 0.1N H2SO4, stirred 0.5 hr. at room temp., dild. with 300 cc. H2O, and extd. with CH2Cl2 gave 7-methyl-9.alpha.-chloro-11.beta.-hydroxytestosterone 17-propionate. 7,17-Dimethyl-9(11)-

dehydrotestosterone (XVI) (1 g.) in 50 cc. dioxane treated at 24.degree. with 1 g. N-bromosuccinimide in 50 cc. dioxane and then during 1 hr. at room temp. with 50 cc. 0.1N H2SO4, dild. with 300 cc. H2O, and extd. with CH2Cl2 gave 7,17-dimethyl-9.alpha.-bromo-11.beta.-hydroxytestos-terone. XV (1.36 g.) in 50 cc. MeOH titrated against phenolphthalein with 0.1N aq. NaOH, dild. slowly with stirring with 300 cc. H2O, cooled, and filtered gave 7-methyl-9.beta.,11.beta.-epoxytestosterone 17-propionate (XVII). XVII (1.13 g.) in 20 cc. CHCl3 added with cooling to HF in CHCl3 in a polyethylene bottle, kept 4 hrs. at 15.degree., and poured into excess satd. aq. NaHCO3, the CHCl3 phase worked up, and the crude product chromatographed on 100 g. Florisil gave the 9.alpha.-F analog (XVIII) of XV. XVIII (0.779 g.) in 40 cc. AcOH treated with 0.37 g. CrO3 in 2 cc. H2O and 40 cc. AcOH, kept 5 hrs. at room temp., treated with 10 cc. MeOH, dild. with 200 cc. H2O, and extd. with Et2O, and the ext. worked up gave 7-methyl-9.alpha.-fluoro-11-oxotestosterone 17-propionate (XIX). XIX (0.5 g.) and 80 mg. KOH in 10 cc. EtOH and 1 cc. H2O heated 1 hr. on the water bath, poured into 50 cc. H2O, neutralized with dil. HCl, and extd. with CH2Cl2 gave 7-methyl-9.alpha.-fluoro-11-oxotestosterone. 17-Acetate analog (1 g.) of XVIII in O-free MeOH treated at 18-20 under N with 1 g. KHCO3 in 10 cc. O-free H2O, stirred 20 hrs. at room temp., neutralized with iced dil. AcOH, concd. to about 60 cc., and refrigerated 16 hrs. yielded 7-methyl-9.alpha.-fluoro-11.beta.-hydroxytestosterone. MeMgBr (3M) in 25 cc. Et2O and then 0.4 g. CuBr2 added with stirring and cooling under N to 30 cc. tetrahydrofuran, the mixt. treated with 3 g. 6-dehydro-19-nortestosterone 17acetate in 50 cc. tetrahydrofuran, stirred 10 min. with cooling, and poured into iced dil. HCl satd. with NaCl, the org. phase worked up, the residue treated 18 hrs. at room temp. with 5 cc. C5H5N and 5 cc. Ac2O, and the crude product chromatographed on Florisil gave an oil which rechroma-tographed on 30 g. 2:1 Celite-Darco gave 1 g. 17-acetate (XX) of 7.alpha.-methyl-19-nortestosterone (XXI), m. 111-14.degree. (MeOH), [.alpha.]D 48.degree. (CHCl3). XX (3 g.) in 40 cc. 5% K2CO3-80% aq. MeOH refluxed 2 hrs. under N and extd. with Et2O) gave XXI, m. 145-6.degree., [.alpha.]D 55.degree. (CHCl3). CrO3 (1.4 g.) in 15 cc. C5H5N treated with stirring and cooling with 1.4 g. XXI in 15 cc. C5H5N, stirred 20 hrs. at about 20.degree., dild. with 1:1 C6H6-Et2O, filtered through Celite, and extd. gave 1.4 g. 7.alpha.-methyl-19-norandrostene-3,17-dione (XXII), m. 201-4.degree. (Me2CO), lambda; 239.5 m.mu. (.epsilon. 17,000). XXII (10 mg.) in a little boiling MeOH treated with 1 drop pyrrolidine, concd., and refrigerated gave the 3-pyrrolidinyl enamine (XXIII) of XXII, m. 151-60.degree., .lambda. 282 m.mu. (e 23,450). C2HNa (20% suspension in xylene) (1 cc.) centrifuged, the residue suspended in 6 cc. Me2SO, treated with XXIII from 0.5 g. XXII, kept 5 hrs. at room temp. under N, treated dropwise with H2O, dild. with 2 cc. H2O and 5 cc. MeOH, heated 1 hr. on the water bath, and extd. with Et2O gave 0.161 g. 7.alpha.-methyl-17.alpha.-ethynyl-19-nortestosterone (XXIV), m. 1979 2O-Skellysolve B), 240.5 m.mu.. XXIV (100 mg.) hydrogenated over 30 mg. prehydrogenated 1% Pd-C in 20 cc. dioxane until 2 equivs. H had been absorbed, filtered through Celite, and evapd., and the residue combined with the same product from 50 mg. XXIV, dissolved in CHCl3, and chromatographed on 50 g. Florisil gave the 17.alpha.-Et deriv. of XXI, m. 138-9.degree. (Skellysolve B-Et2O), .alpha. 241 m.mu. (.epsilon. 17,000). XXIII (2.75 g.) in 70 cc. tetrahydrofuran added with stirring under N to 25 cc. 2M MeMgBr in Et2O, the mixt. distd. to 55.degree. vapor temp., the residue refluxed 4 hrs. and worked up in the usual manner, and the crude product chromatographed on 100 g. Florisil gave the 17.alpha.-Me deriv. (XXV) of XXI. XXV (2 g.) in 20 cc. HCONMe2 added to 10 l. of a 24-hr. Rhizopus nigricans (ATCC 6227b) culture in 2% aq. corn steep liquor contg. 1% dextrose, incubated 72 hrs. and extd. with CH2Cl2, and the residue from the ext. chromatographed on Florisil gave 7.alpha., 17.alpha.-dimethyl-11.alpha.-hydroxy-19-nortestosterone (XXVI). XXV (0.2 g.) in 30 cc. EtOH added to 1 l. 48-hr. Cunninghamella blakesleeana culture incubated 48 hrs. and extd. with 3:1 CH2Cl2-EtOAc, and the residue chromatographed on Florisil yielded the 11.beta.-epimer of XXVI. XXVI (1.5 g.) in 80 cc. AcOH treated 5 hrs. at room temp. with 0.74 g. CrO3 in 4 cc. H2O and 80 cc. AcOH and worked up in the usual manner yielded the cryst. 7.alpha.,17.alpha.-dimethyl-11- oxo-19-nortestosterone. 7.alpha.-

Methyl-11.beta.-hydroxy-19-nortestosterone (1.6 g.) in 35 cc. MePh and 15 cc. cyclohexanone heated to remove about 10 cc. solvent, treated with 1.5 g. (Me3CO)3Al, refluxed until the reaction was complete, treated with excess satd. aq. NaK tartrate, and steam distd. to remove the solvents, the distn. residue extd. with CH2Cl2, and the residue from the ext. chromatographed on Florisil yielded 7.alpha.-methyl-11.beta.-hydroxy-19-norandrostene-3,17-dione (XXVII). XXIV (1 g.), 20 cc. Ac2O, and 1 cc. C5H5N heated 1 hr. with stirring under N at 140.degree., cooled to room temp., stirred 2 hrs. with 100 cc. H2O, and filtered gave a mixt. of the 17-acetate (XXVIII) of XXIV and the corresponding 3-enol 3,17-diacetate; the mixt. refluxed 1 hr. with 100 cc. MeOH contg. 2 cc. concd. HCl, dild. with H2O, and extd. with Et2O, and the residue from the ext. chromatographed on Florisil gave the cryst. XXVIII. Na2Cr2O7.2H2O (20 g.) in 200 cc. AcOH treated with stirring and cooling with 20 g. 7.alpha.-methyltestosterone, kept several hrs. at room temp., poured into 1 l. H2O, and filtered gave 18.7 g. 7.alpha.-methylandrostene-3,17-dione (XXIX), m. 194-6.degree. (Me2CO-Skellysolve B), [.alpha.]D 196.degree. (CHCl3), .lambda. 241 m.mu. (.epsilon. 17,250). XXIX (15.6 g.) in the min. amt. boiling MeOH under N treated with 10 cc. pyrrolidine, cooled, and filtered gave the 3-pyrrolidinyl enamine (XXX) of XXIX, m. 199-205.degree. (decompn.), [.alpha.]D - 190.degree. (C5H5N), .lambda. 282 m.mu. (.epsilon. 29,900). C2HNa centrifuged from 25 cc. 20% suspension in xylene, resus pended in 160 cc. Me2SO, treated with the XXX in 100 cc. Me2SO, stirred 3 hrs. under N, treated with 30 cc. H2O and 50 cc. MeOH, heated 1 hr. at 50-60.degree., kept at room temp. overnight, dild. with H2O, and extd. with CH2Cl2, the ext. worked up, and the crude product (2 g.) combined with 3.9 g. product from the filtrate and chromatographed on DarcoCelite-Florisil gave 3.9 g. 7.alpha.-methyl-17.alpha.-ethynyltestosterone (XXXI), m. 191-3.degree. (EtOAc), [.alpha.]D 41.degree. (CHCl3), .lambda. 242 m.mu. (.epsilon. 16,550). XXXI (1 g.) hydrogenated over 0.2 g. prehydrogenated 1% Pd-C in 40 cc. dioxane yielded 0.8 g. 17.alpha.-Et analog (XXXII) of XXXI, m. 140.5-43.degree., .lambda. 242 m.mu. (e 16,350). XXXII (5 g.) in 20 cc. C5H5N and 5 cc. (EtCO)2O refluxed under N gave the 17-propionate of XXXII. XXXI (5 g.) in 20 cc. C5H5N and 5 cc. (EtCO)2O gave similarly the 17-propinnate of XXXI.

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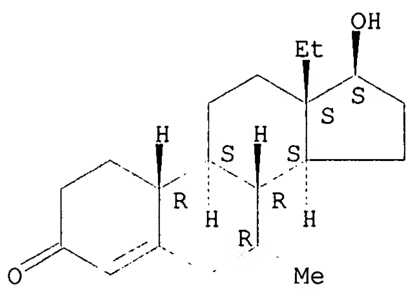
L29 ANSWER 1 OF 15 HCAOLD COPYRIGHT 2001 ACS
AN CA65:18650b CAOLD
TI totally synthetic steroid hormones - (X) (.+-.)-13.beta.-ethyl-7.alpha.-methylgonane derivs.
AU Buzby, George C., Jr.; Walk, C. R.; Smith, H.
IT 793-54-4 793-55-5 797-58-0 1235-15-0 1509-41-7 4354-20-5

4354-21-6 4354-23-8 4354-24-9 4659-65-8 **6532-99-6**
6533-00-2 10204-71-4 10204-72-5 10204-73-6 10204-74-7 10262-41-6
10262-42-7 18112-23-7 18112-24-8 18112-30-6 18434-56-5 18559-50-7
24041-43-8 **24276-08-2**

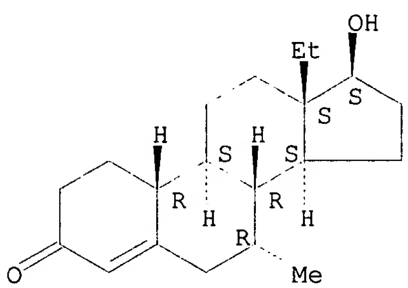
IT **6532-99-6** **24276-08-2**
RN 6532-99-6 HCAOLD
CN Gon-4-en-3-one, 13-ethyl-17-hydroxy-7-methyl-, (7.alpha.,17.beta.)-(.+-.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



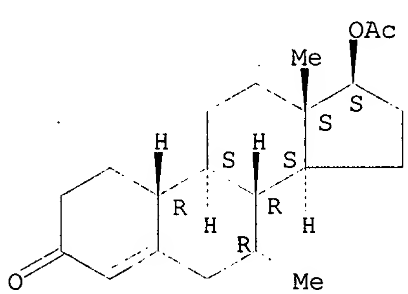
RN 24276-08-2 HCAOLD
CN Gon-4-en-3-one, 13-ethyl-17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L29 ANSWER 2 OF 15 HCAOLD COPYRIGHT 2001 ACS
AN CA65:11211e CAOLD
TI pharmacologic dose-response studies of 7.alpha.-methyl-19-nortestosterone in patients
AU O'Bryan, R. M.; Talley, R. W.
IT **6157-87-5**
IT **6157-87-5**
RN 6157-87-5 HCAOLD
CN Estr-4-en-3-one, 17-(acetyloxy)-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

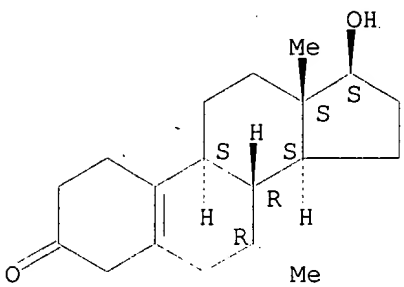


L29 ANSWER 3 OF 15 HCAOLD COPYRIGHT 2001 ACS
AN CA64:12759a CAOLD
TI 7-methylestrenes
PA N. V. Organon
DT Patent

	PATENT NO.	KIND	DATE
PI	NL 6406797		
	BE 665514		

IT 5210-22-0 5210-23-1 **5210-24-2** 5210-25-3 5630-53-5
IT **5210-24-2**
RN 5210-24-2 HCAOLD
CN Estr-5(10)-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

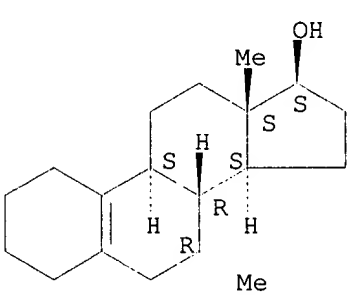


L29 ANSWER 4 OF 15 HCAOLD COPYRIGHT 2001 ACS
AN CA64:12758h CAOLD
TI 5(10)-3-deoxo steroids
PA N. V. Organon
DT Patent

	PATENT NO.	KIND	DATE
PI	NL 6406849		
	BE 665515		

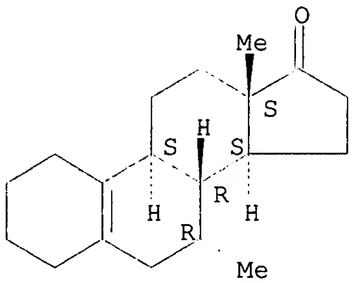
IT **5210-19-5** 5210-20-8 **5210-21-9**
IT **5210-19-5** **5210-21-9**
RN 5210-19-5 HCAOLD
CN Estr-5(10)-en-17.beta.-ol, 7.alpha.-methyl- (7CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.



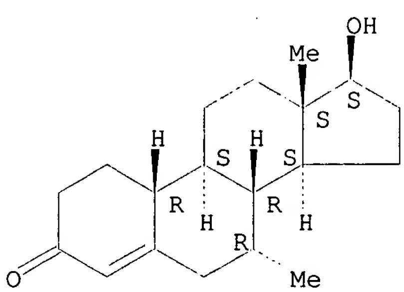
RN 5210-21-9 HCAOLD
CN Estr-5(10)-en-17-one, 7.alpha.-methyl- (7CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.



L29 ANSWER 5 OF 15 HCAOLD COPYRIGHT 2001 ACS
AN CA64:1412h CAOLD
TI relation between soln. rates and solubilities of numerous compds. representative of various chem. species
AU Hamlin, William E.; Northam, J. I.; Wagner, J. G.
IT 64-77-7 69-43-2 85-18-7 130-85-8 144-87-6 402-61-9
729-99-7 790-85-2 868-54-2 886-74-8 968-81-0 1034-82-8
1093-99-8 1156-19-0 1228-19-9 1443-94-3 1553-60-2 1605-89-6
2770-75-4 2919-66-6 3115-05-7 3168-04-5 **3764-87-2**
4551-95-5 5579-05-5 5591-49-1 6922-12-9 7236-83-1 7339-06-2
7339-10-8 7339-13-1 7339-14-2 7395-18-8 7438-12-2 7722-25-0
7757-05-3 7757-06-4 7784-61-4 10345-16-1 10555-20-1 27496-82-8
IT **3764-87-2**
RN 3764-87-2 HCAOLD
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



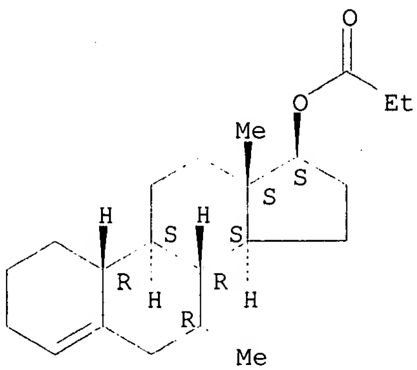
L29 ANSWER 6 OF 15 HCAOLD COPYRIGHT 2001 ACS
AN CA63:14942c CAOLD
TI 7.alpha.-methyl-17-oxo-4-estrene and other methyl estrenes
PA CIBA Ltd.
DT Patent

PATENT NO.	KIND	DATE
BE 640297		
FR 1403649		
FR M3137		
GB 1012493		
NL 300895		

IT 3662-44-0 **3662-45-1** **3662-46-2** 3662-47-3
3662-48-4 3662-49-5 3662-50-8 **3662-51-9**
3662-52-0 **3704-11-8** **3704-12-9** 3704-13-0
3704-14-1 3704-15-2 3901-74-4 21931-39-5 94841-06-2
IT **3662-45-1** **3662-46-2** **3662-48-4**
3662-51-9 **3704-11-8** **3704-12-9**
RN 3662-45-1 HCAOLD
CN Estr-4-en-17.beta.-ol, 7.alpha.-methyl-, propionate (7CI, 8CI) (CA INDEX

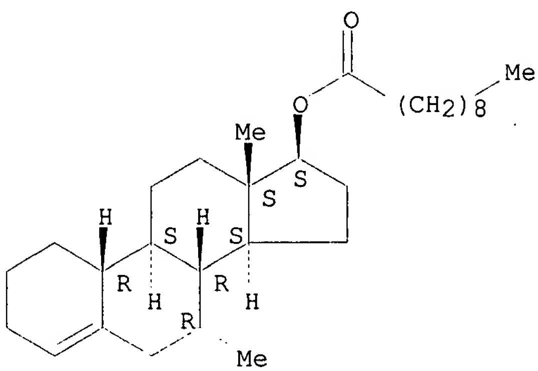
NAME)

Absolute stereochemistry.



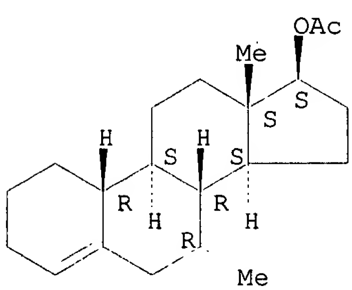
RN 3662-46-2 HCAOLD
CN Estr-4-en-17.beta.-ol, 7.alpha.-methyl-, decanoate (7CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.



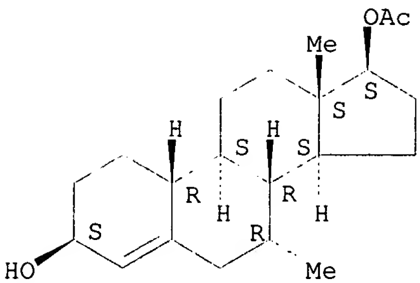
RN 3662-48-4 HCAOLD
CN Estr-4-en-17.beta.-ol, 7.alpha.-methyl-, acetate (7CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.



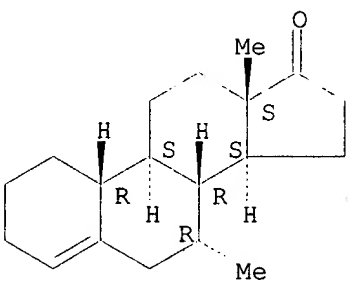
RN 3662-51-9 HCAOLD
CN Estr-4-ene-3.beta.,17.beta.-diol, 7.alpha.-methyl-, 17-acetate (7CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.



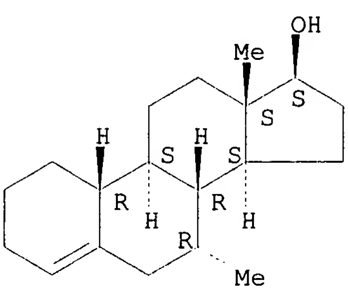
RN 3704-11-8 HCAOLD
CN Estr-4-en-17-one, 7.alpha.-methyl- (7CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.



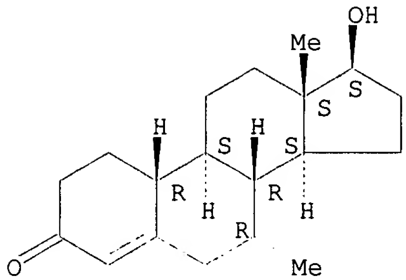
RN 3704-12-9 HCAOLD
CN Estr-4-en-17-ol, 7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L29 ANSWER 7 OF 15 HCAOLD COPYRIGHT 2001 ACS
AN CA63:10288d CAOLD
TI protection by various anabolic steroids against dihydrotachysterol induced calcinosis and catabolism
AU Selye, Hans; Tuchweber, B.; Jacqmin, M.
IT 52-78-8 53-39-4 72-63-9 76-43-7 128-23-4 302-23-8
302-96-5 303-42-4 339-02-6 357-09-5 382-45-6 434-05-9
434-07-1 566-78-9 965-90-2 2136-21-2 2668-66-8 2747-16-2
3090-78-6 3642-84-0 3642-85-1 3642-89-5 **3764-87-2**
28449-44-7
IT **3764-87-2**
RN 3764-87-2 HCAOLD
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

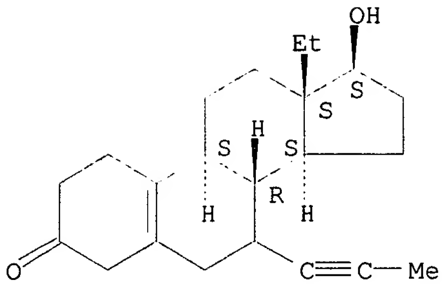
Absolute stereochemistry.



L29 ANSWER 8 OF 15 HCAOLD
AN CA62:1704c CAOLD
TI totally synthetic steroid hormones - (II) 13.beta.-alkylgona-1,3,5(10)-trienes, 13.beta.-alkygon-4-en-3-ones, and related compds.
AU Smith, Herchel; et al.
IT 791-39-9 793-55-5 795-50-6 797-58-0 797-86-4 797-89-7
797-90-0 797-92-2 799-42-8 799-68-8 799-71-3 801-42-3
801-43-4 801-69-4 802-77-7 803-07-6 804-97-7 806-09-7
807-23-8 808-27-5 808-89-9 808-90-2 810-07-1 810-60-6
823-36-9 824-19-1 824-26-0 825-30-9 825-31-0 827-03-2
829-34-5 845-78-3 845-79-4 848-04-4 850-72-6 850-74-8
850-75-9 850-76-0 850-77-1 850-78-2 850-79-3 850-92-0
851-14-9 851-15-0 852-01-7 852-74-4 852-76-6 852-77-7
852-78-8 852-81-3 852-83-5 852-97-1 852-99-3 854-62-6
854-63-7 854-64-8 854-65-9 854-68-2 854-69-3 854-70-6
856-79-1 859-74-5 860-84-4 863-45-6 896-47-9 898-62-4
898-64-6 898-65-7 901-16-6 901-17-7 901-20-2 901-21-3
901-22-4 902-93-2 904-88-1 904-89-2 904-90-5 904-92-7
906-62-7 911-04-6 912-31-2 913-96-2 913-97-3 974-57-2
974-58-3 1041-82-3 1041-83-4 1044-96-8 1045-40-5 1045-43-8
1060-34-0 1060-35-1 1061-47-8 1259-06-9 2322-76-1 2322-83-0
2322-85-2 2322-95-4 2322-96-5 2436-53-5 2627-87-4 2627-90-9
2753-85-7 3625-82-9 4207-75-4 4222-71-3 4222-94-0 4222-96-2
4548-29-2 4659-63-6 4927-24-6 6544-68-9 6544-69-0 7443-72-3
13563-49-0 14009-70-2 14115-37-8 14200-42-1 14507-49-4 14507-51-8
14531-93-2 15335-31-6 19104-76-8 19112-70-0 19873-51-9 19873-93-9
19882-50-9 19882-65-6 19882-68-9 19882-69-0 19882-75-8 19882-81-6
19882-92-9 19914-62-6 19914-67-1 19914-71-7 20051-79-0 20154-81-8
20154-82-9 20154-86-3 20154-87-4 20302-04-9 20799-05-7 20817-16-7
24041-43-8 24881-79-6 27509-90-6 32419-58-2 32695-91-3 35602-06-3
38393-52-1 39667-85-1 60023-93-0 76676-29-4 82950-84-3
95171-22-5 95366-79-3 **95366-80-6** 96762-87-7
99781-25-6 100302-00-9 101142-94-3 101142-95-4 102084-61-7 102281-22-1
103071-36-9 104098-55-7 104098-68-2 104153-75-5 104577-28-8 104600-97-7
104762-56-3 106842-66-4 107542-79-0
IT **95171-22-5** **95366-80-6**
RN 95171-22-5 HCAOLD
CN Gon-5(10)-en-3-one, 13-ethyl-17.beta.-hydroxy-7-(1-propynyl)- (7CI) (CA INDEX NAME)

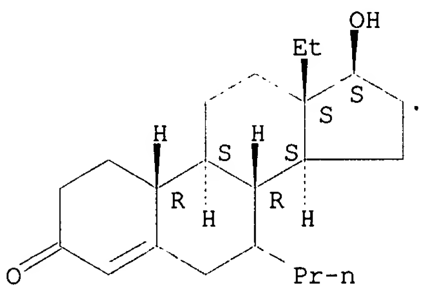
Absolute stereochemistry.

See St. on p. 127



RN 95366-80-6 HCAOLD
CN Gon-4-en-3-one, 13-ethyl-17.beta.-hydroxy-7-propyl- (7CI) (CA INDEX NAME)

Absolute stereochemistry.

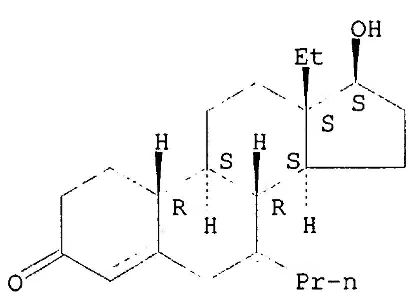


L29 ANSWER 9 OF 15 HCAOLD COPYRIGHT 2001 ACS
AN CA61:4426h CAOLD
TI steroidal ketones
AU Smith, Herchel
DT Patent

	PATENT NO.	KIND	DATE
PI	BE 623844		
	FR 1437364		
	FR M2796		

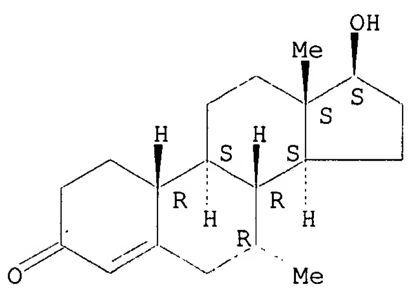
IT 797-58-0 801-42-3 801-43-4 852-77-7 854-62-6 854-64-8
854-65-9 901-16-6 904-88-1 904-89-2 1044-96-8 1879-73-8
1879-85-2 1880-18-8 1961-41-7 1995-16-0 4222-71-3 13506-60-0
13563-49-0 14115-37-8 19914-52-4 19914-67-1 19914-71-7 20154-86-3
20154-87-4 24041-43-8 34315-54-3 95292-26-5 **95366-80-6**
95436-56-9 96005-82-2 98131-43-2 100739-42-2 102031-80-1 102084-61-7
103071-32-5 104038-15-5 104038-16-6 104600-97-7
IT **95366-80-6**
RN 95366-80-6 HCAOLD
CN Gon-4-en-3-one, 13-ethyl-17.beta.-hydroxy-7-propyl- (7CI) (CA INDEX NAME)

Absolute stereochemistry.



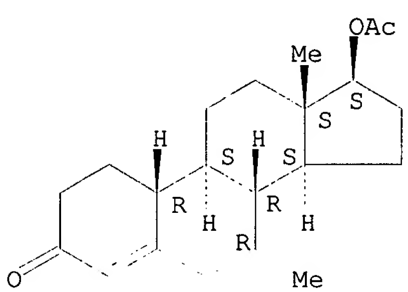
L29 ANSWER 10 OF 15 HCAOLD COPYRIGHT 2001 ACS
AN CA59:11838f CAOLD
TI anabolic, androgenic, and myotropic activities of derivs. of 7.alpha.-methyl-19-nortestosterone
AU Lyster, Stanley C.; Duncan, G. W.
IT 3704-09-4 **3764-87-2** **6157-87-5** 73891-79-9
IT **3764-87-2** **6157-87-5**
RN 3764-87-2 HCAOLD
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



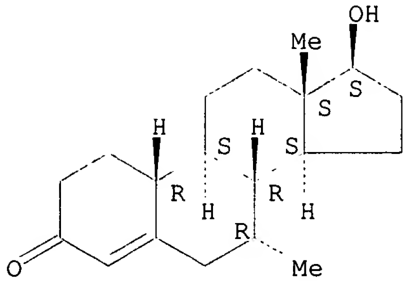
RN 6157-87-5 HCAOLD
CN Estr-4-en-3-one, 17-(acetyloxy)-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



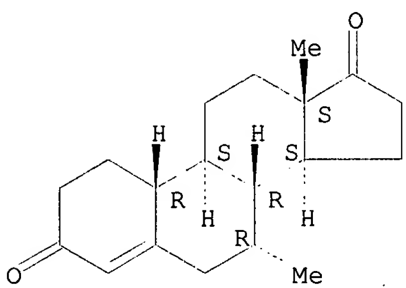
L29 ANSWER 11 OF 15 HCAOLD COPYRIGHT 2001 ACS
AN CA59:4212f CAOLD
TI sol. guinea pig liver triphosphopyridine nucleotide dependent 17.beta.-hydroxy steroid dehydrogenase-partial purification and substrate specificity
AU Joshi, Sharad G.; Duncan, E. L.; Engel, L. L.
IT 795-83-5 1852-58-0 1863-40-7 2398-99-4 3066-12-4
3764-87-2 4001-20-1 6304-74-1 7642-58-2 13251-86-0
13252-06-7 16484-71-2 95585-09-4
IT **3764-87-2**
RN 3764-87-2 HCAOLD
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



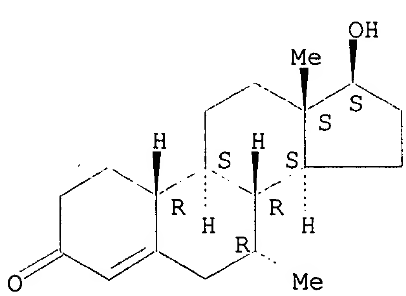
L29 ANSWER 12 OF 15 HCAOLD COPYRIGHT 2001 ACS
AN CA58:12841e CAOLD
TI D-thyroxine for atherosclerosis
AU Wenzel, Duane G.
TI effect of vagotomy and atropine on recovery from induced hypocalcemia
AU Morii, Hirotoshi; Fujita, T.; Okinaka, S.
IT 3704-09-4 **17000-78-1**
IT **17000-78-1**
RN 17000-78-1 HCAOLD
CN Estr-4-ene-3,17-dione, 7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



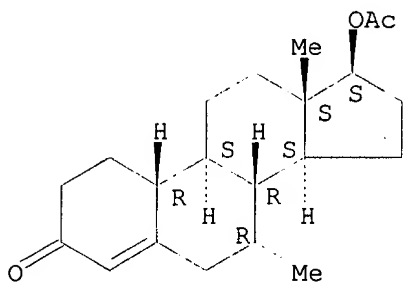
L29 ANSWER 13 OF 15 HCAOLD COPYRIGHT 2001 ACS
AN CA58:12841d CAOLD
TI enhanced local androgenic activity of 19-nor steroids and stabilization of their structure by 7.alpha.- and 17.alpha.-methyl substituents to highly potent androgens by any route of administration
AU Segaloff, Albert
IT 1425-10-1 1605-89-6 **3764-87-2** **6157-87-5**
7100-33-6 7642-58-2 17000-88-3
IT **3764-87-2** **6157-87-5**
RN 3764-87-2 HCAOLD
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



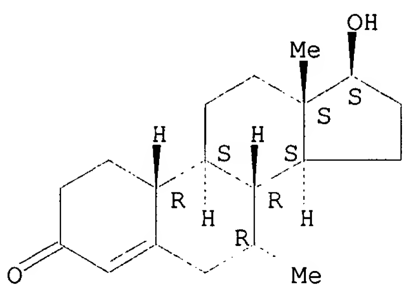
RN 6157-87-5 HCAOLD
CN Estr-4-en-3-one, 17-(acetyloxy)-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



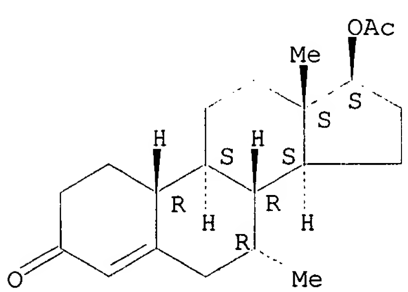
L29 ANSWER 14 OF 15 HCAOLD COPYRIGHT 2001 ACS
AN CA58:11643h CAOLD
TI 7.alpha.-methyl-19-norsteroids-class of potent anabolic and androgenic hormones
AU Campbell, J. Allan; Lyster, S. C.; Duncan, G. W.; Babcock, J. C.
IT **3764-87-2** **6157-87-5**
IT **3764-87-2** **6157-87-5**
RN 3764-87-2 HCAOLD
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 6157-87-5 HCAOLD
CN Estr-4-en-3-one, 17-(acetyloxy)-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



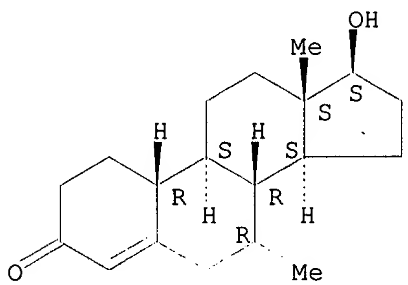
L29 ANSWER 15 OF 15 HCAOLD COPYRIGHT 2001 ACS
AN CA57:13832d CAOLD

TI 7-methyltestosterone and derivs.
PA Upjohn Co.
DT Patent

	PATENT NO.	KIND	DATE
PI	BE 610385		
	DE 1182229		
	GB 941634		

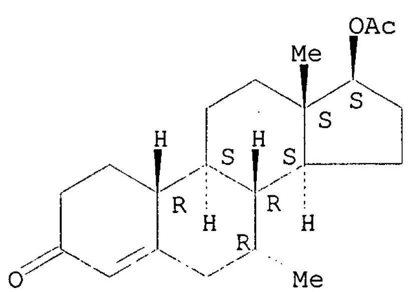
IT 1162-60-3 2708-44-3 3704-09-4 **3764-87-2** 3907-17-3
5446-39-9 5585-85-3 **6157-87-5** 7163-56-6 7642-52-6
10350-44-4 13611-32-0 13611-34-2 17000-56-5 17000-58-7 17000-71-4
17000-78-1 17000-87-2 17000-88-3 17000-89-4 17000-91-8
17021-22-6 96191-78-5 96273-62-0
IT **3764-87-2** **6157-87-5** **17000-78-1**
RN 3764-87-2 HCAOLD
CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



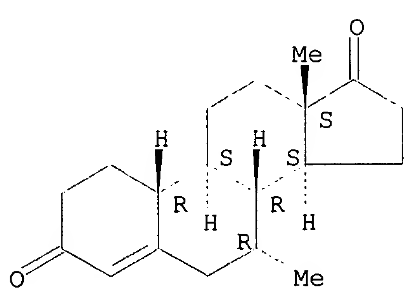
RN 6157-87-5 HCAOLD
CN Estr-4-en-3-one, 17-(acetyloxy)-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 17000-78-1 HCAOLD
CN Estr-4-ene-3,17-dione, 7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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=> d his

     (FILE 'REGISTRY' ENTERED AT 06:51:47 ON 17 SEP 1998)
                DEL HIS Y

     FILE 'REGISTRY' ENTERED AT 06:52:39 ON 17 SEP 1998
L1              STR
L2           25 S L1
L3          405 S L1 FUL
L4              STR L1
L5           19 S L4 SSS SAM SUB=L3

     FILE 'CAPLUS' ENTERED AT 06:54:32 ON 17 SEP 1998
L6           21 S L5

     FILE 'REGISTRY' ENTERED AT 06:54:38 ON 17 SEP 1998
                SAV L3 QAZI996/A
L7              STR L4
L8           14 S L7 SSS SAM SUB=L3
L9          278 S L7 SSS FUL SUB=L3

     FILE 'CAPLUS' ENTERED AT 07:47:53 ON 17 SEP 1998
L10          55 S L9

     FILE 'REGISTRY' ENTERED AT 07:50:16 ON 17 SEP 1998
L11          17 S L9 AND C8H6N2OS2

     FILE 'CAPLUS' ENTERED AT 07:51:28 ON 17 SEP 1998
L12          32 S L11
L13          32 S L10 AND L12
L14          23 S L10 NOT L13

     FILE 'CAOLD' ENTERED AT 08:01:15 ON 17 SEP 1998
L15           0 S L11
L16           0 S L9
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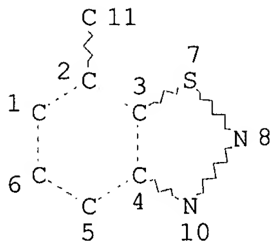
=> d que l9

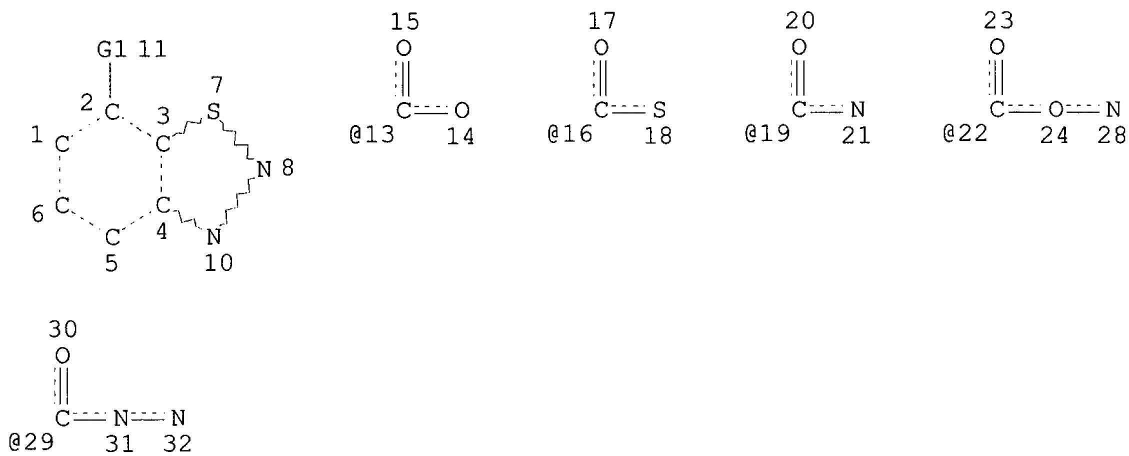
L1 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE
L3 405 SEA FILE=REGISTRY SSS FUL L1
L7 STR



VAR G1=CN/13/16/19/22/29
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NSPEC IS RC AT 21
NSPEC IS RC AT 28
NSPEC IS RC AT 32
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 10
NUMBER OF NODES IS 27

STEREO ATTRIBUTES: NONE
L9 278 SEA FILE=REGISTRY SUB=L3 SSS FUL L7

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=> d bib abs hitstr l13

L13  ANSWER 1 OF 32  CAPLUS  COPYRIGHT 1998 ACS
AN   1998:485165  CAPLUS
DN   129:120244
TI   Synergistic use of microbicides and strongly expressed systemic
     acquired resistance genes in increasing plant resistance to
     pathogens
IN   Ryals, John Andrew; Uknes, Scott Joseph; Molina, Fernandez Antonio;
     Friedrich, Leslie Bethards
PA   Novartis A.-G., Switz.
SO   PCT Int. Appl., 164 pp.
     CODEN: PIXXD2
PI   WO 9829537 A2  980709
DS   W:  AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
         DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
         KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
         NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
         UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
     RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB,
         GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG
AI   WO 97-EP7253  971223
PRAI US 96-34378  961227
     US 97-35024  970110
DT   Patent
LA   English
AB   A method of increasing plant resistance to pathogens using a
     combination of conventional microbicides and genes involved in
     systemic acquired resistance (SAR) is described.  SAR genes are
     expressed at a high level by chem. induction; by selective breeding
     of plants showing increased levels of SAR; or by introduction of SAR
     genes such as the NIM1 gene.  The concurrent use of a microbicide
     and increased expression of SAR genes unexpectedly synergistically
     increases the level of disease resistance.  A no. of fungicides were
     tested in pairwise combinations and shown to be synergistic.
     Arabidopsis mutants showing constitutive immunity (cim2 and cim3)
     were obtained and tested for synergism with fungicides.  Metalaxyl
     and benzo[1,2,3]thiadiazole-7-carbothioic acid-S-Me ester interacted
     synergistically with a cim3 mutation.  Overexpression of the NIM1
     gene for a plant I.kappa.B.alpha. homolog involved in SAR also
     increased the effectiveness of microbicides synergistically.
IT   135158-54-2, Benzo[1,2,3]thiadiazole-7-carbothioic
     acid-S-methyl ester
     RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
        (synergism with other agrochem. microbicides of; synergistic use
        of microbicides and strongly expressed systemic acquired
        resistance genes in increasing plant resistance to pathogens)
RN   135158-54-2  CAPLUS
CN   1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI)  (CA
     INDEX NAME)
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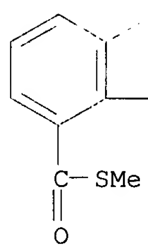
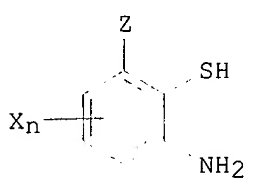


=> d bib abs hitstr l13 2

L13 ANSWER 2 OF 32 CAPLUS

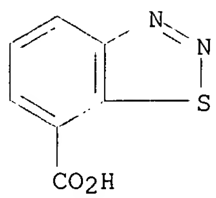
AN 1998:427813 CAPLUS
DN 129:81579
TI Processes for the preparation of 3-amino-2-mercaptobenzoic acid derivatives
IN Kunz, Walter; Jau, Beat
PA Novartis Corp., USA
SO U.S., 12 pp.
CODEN: USXXAM
PI US 5770758 A 980623
AI US 96-770353 961220
DT Patent
LA English
OS MARPAT 129:81579
GI



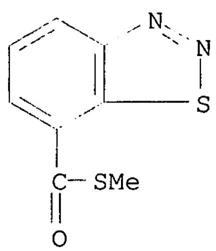
I

AB The title compds. I [X = halo; n = 0, 1, 2, 3; Z = CN, CO-A or CS-A, A = H halo, OR1, SR2, NR3R4 ; R1-R4 = H, substituted or unsubstituted, open-chain, satd. or unsatd. hydrocarbon radical contg. not more than 8 carbon atoms, a substituted or unsubstituted cyclic, satd. or unsatd. hydrocarbon radical contg. not more than 10 carbon atoms, substituted or unsubstituted benzyl or phenethyl, a substituted or unsubstituted alkanoyl group contg. not more than 8 carbon atoms, a substituted or unsubstituted benzoyl group or a substituted or unsubstituted heterocyclyl radical; or NR3R4 = 5- or 6-membered, substituted or unsubstituted heterocyclic radical having 1-3 heteroatoms O, S and/or N] were prepd. E.g., hydrogenation of Me benzo-1,2,3-thiadiazole-7-carboxylate over Pd on charcoal gave Me 3-amino-2-mercaptobenzoate.

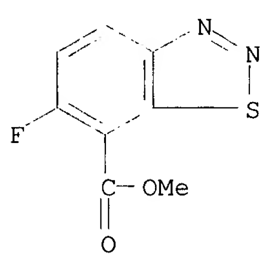
IT **35272-27-6P**, 1,2,3-Benzothiadiazole-7-carboxylic acid
135158-54-2P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of aminomercaptobenzoic acid derivs.)
RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)



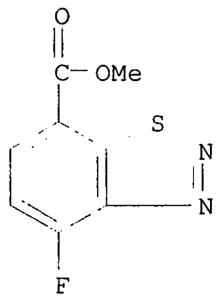
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



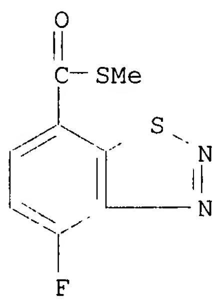
IT **124371-46-6P 192947-94-7P 192947-95-8P**
192947-96-9P 192947-97-0P 192947-98-1P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of aminomercaptobenzoic acid derivs.)
RN 124371-46-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 6-fluoro-, methyl ester (9CI) (CA INDEX NAME)



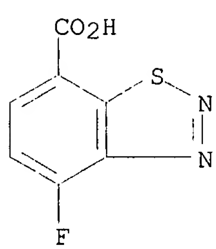
RN 192947-94-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 4-fluoro-, methyl ester (9CI) (CA INDEX NAME)



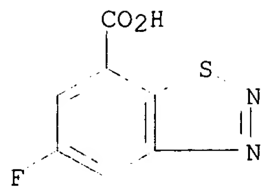
RN 192947-95-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, 4-fluoro-, S-methyl ester (9CI) (CA INDEX NAME)



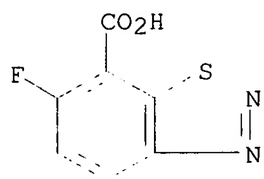
RN 192947-96-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 4-fluoro- (9CI) (CA INDEX NAME)



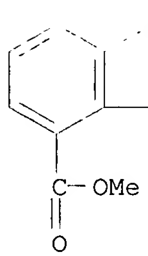
RN 192947-97-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 5-fluoro- (9CI) (CA INDEX NAME)



RN 192947-98-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 6-fluoro- (9CI) (CA INDEX NAME)



IT **23621-08-1**
RL: RCT (Reactant)
(prepn. of aminomercaptobenzoic acid derivs.)
RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI) (CA INDEX NAME)



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=> d bib abs hitstr l13 3

L13  ANSWER 3 OF 32  CAPLUS  COPYRIGHT 1998 ACS
AN   1998:424086  CAPLUS
DN   129:91734
TI   Synergistic fungicidal compositions based on benalaxyl
IN   Palla, Ottorino; Mirenna, Luigi; Colombo, Laura; Zini, Guido;
     Filippini, Lucio; Zanardi, Giampaolo
PA   Isagro S.p.A., Italy; Palla, Ottorino; Mirenna, Luigi; Colombo,
     Laura; Zini, Guido; Filippini, Lucio; Zanardi, Giampaolo
SO   PCT Int. Appl., 75 pp.
     CODEN: PIXXD2
PI   WO 9826654 A2  980625
DS   W:  AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
         DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR,
         KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,
         PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,
         US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
     RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB,
         GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG
AI   WO 97-EP6968  971206
PRAI IT 96-MI2660  961219
     IT 97-MI1198  970522
DT   Patent
LA   English
OS   MARPAT 129:91734
AB   The title compns. comprise benalaxyl, wherein >50 % consists of
     D-benalaxyl, and one or more known fungicides, such as mancozeb,
     fosetil, cymoxanil, propamocarb, chlorothalonil, copper salts, etc.
     The prepn. of D-benalaxyl is given.
IT   135158-54-2D, mixts. contg. D-benalaxyl and
     RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
        (synergistic fungicidal compns.)
RN   135158-54-2  CAPLUS
CN   1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI)  (CA
     INDEX NAME)
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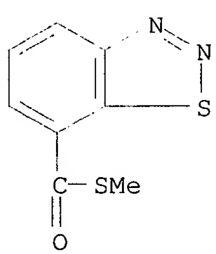


=> d bib abs hitstr l14 22

L14 ANSWER 22 OF 23 CAPLUS COPYRIGHT 1998 ACS
AN 1970:21695 CAPLUS
DN 72:21695
TI Biocidal 1,2,3-thiadiazole derivatives
IN Soloway, Samuel B.; Kirby, Peter; Haddock, Ernest
PA Shell Internationale Research Maatschappij N. V.
SO S. African, 48 pp.
CODEN: SFXXAB
PI ZA 6706357 690424
AI ZA 671024
DT Patent
LA Unavailable
GI For diagram(s), see printed CA Issue.
AB I were prepd. by heating an acid salt of an aryl amine with excess S2Cl2, cooling, and diazotizing the thiazathiolium salt by the addn. of an alkali metal nitrite. Thus, 6-chlorobenzothiazathiolium chloride was prepd. by adding 200 ml C6H6 to a mixt. of 52 g PhNH2.HCl and 375 g S2Cl2 which was stirred 4 hr at 65.degree., cooling, filtering, and washing with C6H6. An 80-g portion of the chloride was added to 400 ml 50% H2SO4 at 60.degree., the mixt. filtered through glass wool, cooled to 0.degree., and dild. with aq. NaNO2 (40 g in 40 ml H2O). The mixt. was stirred 1 hr at 0.degree., poured onto 2000 g ice, kept 2 hr, extd. with Et2O, and purified by chromatog. on a silica gel column using CH2Cl2 eluant to give yellow I (R = 6-chloro) (Ia), m. 74-5.degree.. A mixt. of 5 g Ia and 20 ml piperidine refluxed 72 hr and poured onto CHCl3 gave I (R = 6-piperidino), m. 49-50.degree.. A mixt. of 1 g Ia in 50 ml ethylene glycol monoethyl ether, 1 g KOH, 5 ml H2O, and 50 ml Me2SO was refluxed 3 hr, acidified, and extd. with Et2O to give I [R = 6-(2-ethoxyethoxy)], m. 58-60.degree.. The addn. of 50 g .alpha.-naphthylamine in 50 ml AcOH to 180 ml S2Cl2 gave the thiazathiolium salt which was dissolved in 500 ml 50% H2SO4, treate d with NaNO2 (45 g in 75 ml H2O) at 0.degree. to give 5-chloronaphtho[1,2-d] -1,2,3-thiadiazole, m. 121-2.degree.. Refluxing 1.7 g Ia in 50 ml Me2SO with 0.8 g NaSMe in 4 ml MeOH 4 hr gave I (R = 6-methylthio) (Ib), m. 85-7.degree.. Ib was oxidized with 20% H2O2 to give I (R = 6-methylsulfonyl), m. 181 -3.degree.. Nitration of 15 g Ia in 60 ml concd. H2SO4 and 13 g KNO3 at 100.degree. ga ve I (R = 6-chloro-7-nitro), m. 99-101.degree.. I (R = 6-hydroxy) refluxed with MeNCO and Et3N in CH2Cl2 gave 1, 2,3-benzothiadiazol-6-yl N-methylcarbamate. I (R = 6-acetoxy), m. 77.5-9.5.degree., was prepd. by adding 3 ml AcCl to a 0.degree. soln. of a 2.75 g I (R = 6-hydroxy) in 35 ml dry pyridine. The following I were prepd. using the methods above (R and m.p. given): 4-chloro, 96-8.degree.; 7-chloro, 75-7.degree.; 4,5-dichloro, 143-5.degree.; 4,6-dichloro, 84-6 ; 4,7-dichloro, 127-8.degree.; 5,6-dichloro, 119-20.degree.; 6,7-dichloro, 84-6.degree.; 4,5,6-trichloro, 125-7.degree.; 4,5,7-trichloro, 106-7.degree.; 4,6,7-trichloro, 108-9.degree.; 5,6,7-trichloro, 140-1.degree.; 4,5,6,7-tetrachloro, 171-3.degree.; 6-fluoro, 102-5.degree.; 4,7-dibromo, 149-51.degree.; 6-chloro-5-bromo, 127-9.degree.; 6-chloro-7-bromo, 102-3.degree.; 4,6-dichloro-7-bromo, 148-9.degree.; 6-chloro-4,7-dibromo, 152-3.degree.; 6-chloro-4-fluoro, 66.5.degree.; 6-chloro-5-fluoro, 97.degree.; 6-chloro-7-fluoro, 53-4.degree.; 6-chloro-4-methyl,

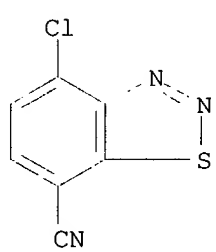
63.5-4.5.degree.; 6-chloro-5-methyl, 97-8.degree.;
6-chloro-7-methyl, 84.5-7.5.degree.; 6-chloro-4-ethyl,
34.5-7.degree.; 4-chloro-7-phenyl, 170-3.degree.;
4,6-dichloro-5-methyl, 103-7.degree.; 4,6-dichloro-7-methyl,
104.5-5.5.degree.; 4,7-dichloro-6-methyl, 115-17.degree.;
6,7-dichloro-4-methyl, 102-4.degree.; 5-chloro-6-hydroxy,
207-8.degree.; 5-bromo-6-hydroxy, 193-5.degree.; 6-chloro-4-hydroxy,
254-5.degree.; 6-chloro-5-hydroxy, 203.degree.; 5,7-dichloro-6-
hydroxy, -; 6-hydroxy-4-methyl, 198.degree.; 6-hydroxy-5-methyl,
217-18.degree.; 5-chloro-6-methoxy, 143-4.degree.;
6-chloro-4-methoxy, 111-12.degree.; 6-chloro-5-methoxy,
153.5-5.5.degree.; 5,7-dichloro-6-methoxy, 120-1.degree.;
4-(2-ethoxyethoxy), 60-1.degree.; 4,6-bis(2-ethoxyethoxy),
51-2.degree.; 6-(2-butoxyethoxy), liquid; 6-[2-(2-
butoxyethoxy)ethoxy], -; 6-methoxy-4-methyl, 84-5.degree.;
6-(2-ethoxyethoxy)-4-methyl, 38.5-9.5.degree.; 6-(2-ethoxyethoxy)-5-
methyl, 47-9.degree.; 7-bromo-6-methoxy-4-methyl, 154-6.degree.;
4-cyano, 167-8.degree.; 5-cyano, 194-6.degree.; 7-cyano,
116-18.degree.; 7-cyano-4-chloro, 110-11.degree.; 7-cyano-6-chloro,
115-17.degree.; 7-cyano-4,6-dibromo, 183-5.degree.;
7-cyano-4-dimethylamino, 155-6.degree.; 6-cyano-7-nitro,
178-9.degree.; 6-azido-5-fluoro, 91-3.degree.; 7-hydroxy-4-nitro,
>260.degree.; 7-hydroxy-6-nitro, 153-4.degree.; 6-hydroxy-5,7-
dinitro, 138-40.degree.; 6-chloro-5,7-dinitro, 112-13.degree.;
6-chloro-4-fluoro-7-nitro, 144-5.degree.; 4,6-dichloro-7-nitro,
132-4.degree.; 5,6-dichloro-7-nitro, 117-19.degree.;
6-fluoro-7-nitro, 93-5.degree.; 5-chloro-6-hydroxy-7-nitro,
147-9.degree.; 6-chloro-4-methyl-7-nitro, 159-61.degree.;
7-amino-4-chloro, 192-4.degree.; 7-amino-6-chloro, 157.degree.;
7-amino-6-fluoro, 123-4.degree.; 6-amino-5,7-dinitro,
199-200.degree.; 7-amino-6-chloro-4-methyl, 134-5.degree.;
7-amino-4-bromo-6-chloro, 168-70.degree.; 6-methylamino-7-nitro,
300.degree. (decompn.); 6-methylamino-2,5-dinitro, 192-3.degree.;
6-dimethylamino-5,7-dinitro, 155-6.degree.; 6-dimethylamino-7-nitro,
168-9.degree.; 6-dipropylamino-5,7-dinitro, 145-6.degree.;
6-dipropylamino-7-nitro, 74-5.degree.; 6-morpholino, 101-3.degree.;
5,6-bis(methylthio), 120-3.degree.; 4-methylthio-7-chloro,
122-3.degree.; 6-methylthio-7-amino, 93-4.degree.$
degree.; 6-methylthio-5-fluoro, 116.5-124.5.degree.;
6-methylthio-5-hydroxy, 200-2.degree.; 6-chloromethylthio,
80-2.5.degree.; 6-chloromethylthio-5-fluror, 105-7.degree.;
6-(4-tert-butylphenylthio), 88-90.degree.; 6-(4-fluorophenylthio),
82-5.5.degree.; 6-(4-chlorophenylthio)-5-fluoro, 134-6.degree.;
6-(p-chlorophenylthio), 85-7.degree.; 6-(m-tolylthio), -;
6-(o-tolylthio), 55.5-7.5.degree.; 6-(p-tolylthio), 54-5.5.degree.;
5-chloro-6-(p-tolylthio), 121.5-123.degree.; 6-mercapto,
75-7.degree.; 6-mercapto-5-fluoro, 98.5-100.5.degree.;
7-chloro-4-(methylsulfonyl), 118-20.degree.; 5,6-bis-
(methylsulfonyl), 238-40.degree.; 6-chloracetoxy, 69-71.5.degree.;
5-acetoxy, 110-11.degree.; 7-acetyl-6-hydroxy, -. These
1,2,3-benzothiadiazol-6-yl sulfones were prepd.: Ph, 152-3.degree.;
4-chlorophenyl, 155-7.degree.; 4-bromophenyl, 134-7.degree.;
4-fluorophenyl, 158-60.degree.; 2-isopropylphenyl, 70-2.degree.;
4-tert-butylphenyl, 173.5-176.degree.; 4-chlorophenyl, 5-chloro,
161-2.degree.; 4-chlorophenyl, 5-fluoro, 179-81.degree.;
4-methyl-4-chlorophenyl, 165.5-67.degree.; o-tolyl, 145-7.degree.;
m-tolyl, 187-89.5.degree.; p-tolyl, 169-71.degree.;
5-chloro-p-tolyl, 169-70.degree.; 5-fluoro-p-tolyl, 166-8.degree.;
5-fluoro-6-methyl, 149-52.degree.; and these 6-chloro-1,2,3-

benzothiadiazol-4-yl sulfones: 4-chlorophenyl, 183 -4.degree.; p-tolyl, 182-3.5.degree.. Also included are these 1,2,3-benzothiadiazol-6-yl sulfides: Ph, 37-41 .degree.; 4-bromophenyl, 77-79.5.degree.; 2-isopropylphenyl, 62-5.degree.; and 4-chlorophenyl -5-chloro, 164-5.degree.; as well as these 6-chloro-1,2,3-benzothiadiazol-4-yl sulfides: 4-chlorophenyl, 94-6.degree.; and p-tolyl, 110-14.degree.. Also claimed are Me 1,2,3-benzothiadiazole-7-carboxylate, 133-5.degree.; Me 6-chloro-1,2,-3-benzothiadiazole-5-carboxylate, 98.5-100.5.degree.; Me 1,2,3-benzothiadiazole-5-carboxylate 102-6.degree., and Et ester, 65-70.degree.; 1,2,3-benzothiadiazol-4-yl N-methylcarbamate, 128-30.degree.; 1,2,3-benzothiadiazol-7-yl N-methylcarbamate, -; 6-chloro-1,2,3-benzothiadiazol-5-yl N-methylcarbamate, 138-9.degree.; 5-(methylcarbamoyloxy)naphtho[1,2-d]-1,2,3-thiadiazole, 152-3.degree.; 5-hydroxynaphtho[1,2-d]-1,2,3-thiadiazole, >240.degree.; 1-(1,2,3-benzothiadiazol-6-yl)-1-methylpiperidinium iodide, 136-8.degree.; chrysanthe-mummonocarboxylic acid 1,2,3-benzothiadiazol-6-yl ester, -; chrysanthemummonocarboxylic acid 5-chloro-1,2,3-benzothiadiazol-6-yl ester, 72.degree., chrysanthemummonocarboxylic acid, 6-chloro-1,2,3-benzothiadiazol-5-yl ester, 87.degree. and acetaldehyde 1,2,3-benzothiadiazol-6-yl ethyl acetal. Extensive biol. testing of the I is reported. I (R = 6-methoxy) showed greatest activity as an insecticide, I (R = 7-cyano) as a herbicide, I (R = 5,6-dichloro-7-nitro) as a fungicide. I (R = 6-chloro, 5,6-dichloro, or 5-methoxy-6-chloro) acted as synergists when used with 3,4,5-trimethylphenyl N-methyl carbamate, I (R = 5-fluoro-6-chloro) with Isolan.

IT **23615-89-6P 23615-90-9P 23615-97-6P 23616-20-8P 23616-23-1P 23621-08-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

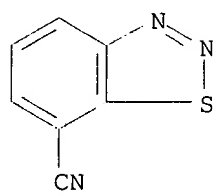
RN 23615-89-6 CAPLUS

CN 1,2,3-Benzothiadiazole-7-carbonitrile, 4-chloro- (8CI) (CA INDEX NAME)

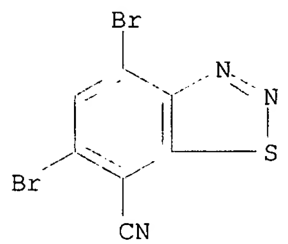


RN 23615-90-9 CAPLUS

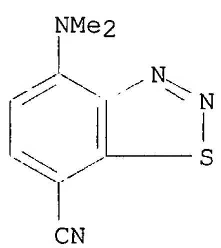
CN 1,2,3-Benzothiadiazole-7-carbonitrile (8CI, 9CI) (CA INDEX NAME)



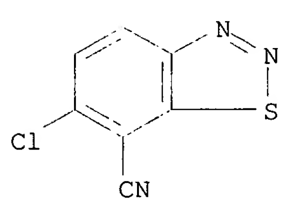
RN 23615-97-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile, 4,6-dibromo- (8CI) (CA INDEX NAME)



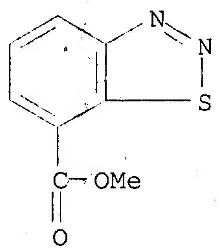
RN 23616-20-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile, 4-(dimethylamino)- (8CI) (CA INDEX NAME)



RN 23616-23-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile, 6-chloro- (8CI) (CA INDEX NAME)



RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI) (CA INDEX NAME)



=> d bib abs hitstr l14 23

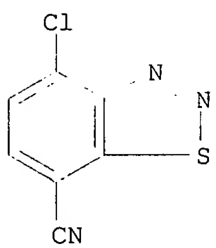
L14 ANSWER 23 OF 23 CAPLUS COPYRIGHT 1998 ACS
AN 1969:491485 CAPLUS
DN 71:91485
TI Biocidal benzothiadiazoles
PA Shell Internationale Research Maatschappij N. V.
SO Neth. Appl., 46 pp.
CODEN: NAXXAN
PI NL 6716077 690529
AI NL 671127
DT Patent
LA Dutch
GI For diagram(s), see printed CA Issue.
AB The title compds. (I) are useful as herbicides, fungicides and synergistic insecticides and are prepd. by known methods. Thus, a mixt. of 52 g. PhNH2.HCl and 375 g. S2Cl2 is stirred 4 hrs. at 65.degree. to yield 6-chlorobenzothiazathiolium chloride (II). To 400 ml. 50% H2SO4 is added at 60.degree. 80 g. II, a small amt. of tar is removed and the soln. is cooled to 0.degree.. To this soln. is added at 0.degree. with stirring a slon. of 40 g. NaNO2 in 40 ml. H2O, stirring is continued 1 hr. at 0.degree. and the mixt. is worked up to yield 6-chloro-1,2,3-benzothiadiazole (III), m. 74-5.degree.. A mixt. of 5 g. III and 20 ml. piperidine is refluxed 72 hrs. to yield 6-piperidino-1,2,3-benzothiadiazole, m. 49-50.degree., and the MeI salt, m. 136-8.degree.. A mixt. of 1 g. III, 50 ml. EtOCH2CH2OH, 1 g. KOH, 5 ml. H2O and 50 ml. Me2SO is refluxed 3 hrs. to yield 6-(2-ethoxyethoxy)-1,2,3-benzothiadiazole, m. 58-60.degree.. A soln of 50 g. .alpha.-naphthylamine in 50 ml. AcOH is added with stirring to 180 ml. S2Cl2 to yield the thiazathiolium salt, which is diazotized to yield 5-chloronaphtho[1,2-d]-1,2,3-thiadiazole, m. 121-2.degree.. To a soln. of 1.7 g. III in 50 ml. Me2SO is added a mixt. of 0.8 g. MeSNa and 4 ml. MeOH and the mixt. is refluxed 4 hrs. to yield I (R = 6-MeS) (IV), m. 85-7.degree.. A mixt. of 0.6 g. IV, 20 ml. AcOH and 4 ml. 20 vol. % H2O2 is heated 2 hrs. on a water bath, dild. with 50 ml. H2O and kept 16 hrs. at room temp. to yield I (R = 6-MeSO2), m. 181-3.degree.. To a soln. of 15 g. III in 60 ml. concd. H2O4 is added slowly at room temp. 13 g. KNO3, and the mixt. is heated 2 hrs. at 100.degree. to yield I [R = 6,7-Cl(O2N)], m. 99-101.degree.. From I (R = 6-OH) (V), Et3N and MeNCO is prepd. I (R = 6-O2CNHMe), m. 40.degree. (crude). To a soln. of 2.75 g. V in 35 ml. dry C5H5N is added dropwise with stirring at <0.degree. 3 ml. AcCl, and the mixt. is stirred 10 min. at <0.degree. to yield I (R = 6-AcO), m. 77.5-9.5.degree.. Prepd. are the following I (R and m.p. given): 6-F, 102-5.degree.; 5,6-HO(Cl), 203.degree.; 5,6-Me(Cl), 97-8.degree.; 4,6-Me(Cl), 63.5-4.5.degree.; 4,6-Et(Cl), 34.5-7.degree.; 5,6-MeO(Cl), 153.5-5.5.degree., 5,6-Br(Cl), 127-9.degree.; 4,6-F(Cl), 66.5.degree.; 5,6-F(Cl), 97.; 5,6,7-Cl3, 140-1.degree.; 4,6,7-Cl3, 108-9.degree.; 4,5,6-Cl3, 125-7.degree.; 4-EtOCH2CH2O, 60-1.degree.; 4,6-bis(2-ethoxyethoxy), 51-2.degree.; 6-(2-ethoxyethoxy)-5-methyl, 47-9.degree.; 6-(2-ethoxyethoxy),-4-methyl, 38.5-9.5.degree.; 6-BuOCH2CH2O, -; 6-(4-chlorophenylthio)-5-chloro, 164-5.degree.; 6-morpholino, 101-3.degree.; 5,6-Cl2, 119-20.degree.; 6-(4-chlorophenylsulfonyl), 155-7.degree.; 6-[2-(2-butoxyethoxy)ethoxy], -; 6-(p-tolysulfonyl), 169-71.degree.; 4,6,5-Cl2(Me), 103-7.degree.; 6,7-Cl(Me), 84.5-7.5.degree.;

4,6,7-Cl2(Me), 104.5-5.5.degree.; 4,6HO(Cl), 254-5.degree.; 4,6-Me(HO), 198.degree.; 4,5-Cl2, 143-5.degree.; 4-Cl, 96-8.degree.; 5,6-Cl(HO), 207-8.degree.; 4,5,6-(O2N)2(MeNH), 192-3.degree.; 6-(p-chlorophenylthio), 85-7.degree.; 6-(p-tolylthio), 54-5.5.degree.; 5-chloro-6-(4-chlorophenylsulfonyl), 161-2.degree.; 5-chloro-6-(p-tolylthio), 121.5-3.degree.; 5-chloro-6-(p-tolylsulfonyl), 169-70.degree.; 7-chloro-4-methylsulfonyl, 118-20.degree.; 6-(4-chlorophenylthio)-5-fluoro, 134-6.degree.; 6-(4-chlorophenylsulfonyl)-5-fluoro, 179-81.degree.; 5-fluoro-6-(p-tolylsulfonyl), 166-8.degree.; 6-(4-chlorophenylsulfonyl)-4-methyl, 165.5-7.degree.; 5,6-Me(HO), 217-18.degree.; 5,7,6-(O2N)2(NPr2), 145-6.degree.; 4,5,6,7-Cl4, 171-3.degree.; 4,6,7-Cl2(Br), 148-9.degree.; 7-CO2Me, 133-5.degree.; 5,7,6-(O2N)2(HO), 138-40.degree.; 5,7,6-Cl2(HO), -; 6-OCH(OEt)-Me, -; 5,6-MeO2C(Cl), 98.5-100.5.degree.; 5,6-F(MeS), 116.5-24.5.degree.; 5,6-HO(MeS), 200-2.degree.; 5,6-F(MeSO2), 149-52.degree.; 5,6-(MeS)2, 120-3.degree.; 5,6-(MeSO2)2, 238-40.degree.; 6,7-Cl(F), 53-4.degree.; 5,7,6-Cl2(OMe), 120-1.degree.; 5,7,6-(O2N2)2(Cl), 112-13.degree.; 5,7,6-(O2N)2(NH2), 199-200.degree.; 5,6-Cl(MeO), 143-4.degree.; 6,7-Cl, 157.degree.; 6,7-Cl, 84-6.degree.; 4,6,7-Br(Cl)(NH2), 168-70.degree.; 4,7-Cl(CN), 110-11.degree.; 7-CN, 116-18.degree.; 5,7,6-(O2N)2(NMe2), 155-6.degree.; 6-(4-fluorophenylthio), 82-5.5.degree.; 6-(4-fluorophenylsulfonyl), 158-60.degree.; 4-CN, 167-8.degree.; 6-PhS, 37-41.degree.; 6-PhSO2, 152-3.degree.; 4,6,7-Br2-(CN), 183-5.degree.; 6-(4-tert-butylphenylthio), 88-90.degree.; 6-(4-tert-butylphenylsulfonyl), 173.5-6.degree.; 6-(o-tolylthio), 55.5-7.5.degree.; 6-(o-tolylsulfonyl), 145-7.degree.; 6-(m-tolylthio), -; 6-(4-bromophenylthio), 77-9.5.degree.; 6-(4-bromophenylsulfonyl), 134-7.degree.; 6-(m-tolylsulfonyl), 187-9.5.degree.; 6-chloro-4-(p-tolylthio), 110-14.degree.; 6-chloro-4-(p-tolylsulfonyl), 182-3.5.degree.; 6,7-HO(Ac), -; 6-(2-isopropylphenylthio), 62-5.degree.; 6-chloro-4-(4-chlorophenylthio), 94-6.degree.; 6-chloro-4(4-chlorophenylsulfonyl), 183-4.degree.; 6-(2-iso-propylphenylsulfonyl), 70-2.degree.; 5-CN, 194-6.degree.; 4,7-Cl2, 127-8.degree.; 5-CO2Me, 102-6.degree.; 5-CO2Et, 65-70.degree.; 5,6-Br(HO), 193-5.degree.; 4,7-Cl(Ph), 170-3.degree.; 6,7-F(O2N), 93-5.degree.; 4,7-Me2N(CN), 155-6.degree.; 5,6,7-Cl2(O2N), 117-19.degree.; 5,6,7-Cl(OH)(O2N); 147-9.degree.; 6,7-Cl(Br), 102-3.degree.; 6,7-Cl(CN), 115-17.degree.; 6,7-F(H2N), 123-4.degree.; 4,7-Br2, 149-51.degree.; 4,6-Cl2, 84-6.degree.; 4,6,7-Cl2(O2N), 132-4.degree.; 4,6,7-Me(Cl)(O2N), 159-61.degree.; 6-HS, 75-7.degree.; 7-Cl, 75-7.degree.; 4,6,7-F(Cl)(O2N), 144-5.degree.; 4,7,6-Br2(Cl), 152-3.degree.; 4,5,7-Cl3, 106-7.degree.; 4,6,7-Me(Cl)(H2N), 134-5.degree.; 5,6-F(SH), 98.5-100.5.degree.; 6,7-MeS(NO2), 197-200.degree.; 6,7-MeS(H2N), 93-4.degree.; 4,7-MeS(Cl), 122-3.degree.; 4,6,7-Me(Cl2), 102-4.degree.; 4,7,6-Cl2(Me), 115-17.degree.; 4,7-Cl(H2N), 192-4.degree.; 4,6,7-M3(MeO)(Br), 154-6.degree.; 6,7-NHMe-(O2N), 300.degree.; 6-ClCH2S, 80-2.5.degree.; 5,6-F(ClH2CS), 105-7.degree.; 6,7-Me2N(O2N), 168-9.degree.; 6,7-Pr2N(O2N), 74-5.degree.; 6,7-CN-(NO2), 178-9.degree.; 5,6-F(N3), 91-3.degree.; 4,7-O2N(HO), >260.degree.; 6,7-O2N(HO), 153-4.degree.; 4,6-Me(MeO), 84-5.degree.; 4,6-MeO(Cl), 111-12.degree.; 5-AcO, 110-11.degree.; 6-chloroacetoxy, 69-71.5.degree.; 7-CO2NH-Me, -; 6,5-Cl(CO2NHMe), 138-9.degree.; 4-CO2NHMe, 128-30.degree.. Also prepd. are 5-hydroxynaphtho[1,2-d]-1,2,3-thiadiazole, m. >240.degree.; 5-methylcarbamoyloxynaphtho[1,2-d]-1,2,3-thiadiazole, m. 152-3.degree.; 1,2,3-benzothiadiazol-6-yl

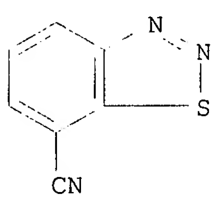
chrysanthemummono-carboxylate, -; 5-chloro-1,2,3-benzothiadiazol-6-yl chrysanthe-mummonocarboxylate, 72.degree.; and 6-chloro-1,2,3-benzothiadiazol-5-yl chrysanthemummonocarboxylate, 87.degree.. Detailed results of tests of the insecticide action are given. Three formulations are also given.

IT **23615-89-6P 23615-90-9P 23615-97-6P**
23616-20-8P 23616-23-1P 23621-08-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

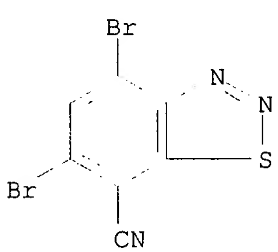
RN 23615-89-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile, 4-chloro- (8CI) (CA INDEX NAME)



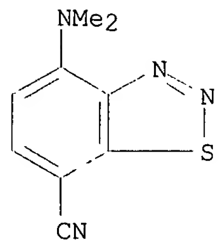
RN 23615-90-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile (8CI, 9CI) (CA INDEX NAME)



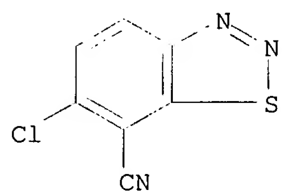
RN 23615-97-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile, 4,6-dibromo- (8CI) (CA INDEX NAME)



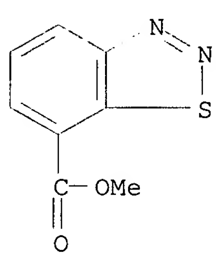
RN 23616-20-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile, 4-(dimethylamino)- (8CI) (CA INDEX NAME)



RN 23616-23-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile, 6-chloro- (8CI) (CA INDEX NAME)

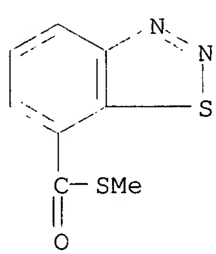


RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI) (CA INDEX NAME)



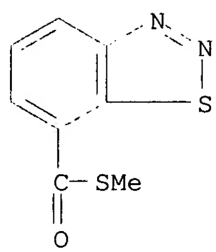
=> d bib abs hitstr l13 4

L13 ANSWER 4 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1998:414085 CAPLUS
DN 129:133733
TI Induced resistance responses in maize
AU Morris, Shericca W.; Vernooij, Bernard; Titatarn, Somkiat; Starrett, Mark; Thomas, Steve; Wiltse, Curtis C.; Frederiksen, Richard A.; Bhandhufalck, Amornrut; Hulbert, Scot; Uknes, Scott
CS Seeds Biotechnology Research Unit, Novartis Inc., Research Triangle Park, NC, 27709, USA
SO Mol. Plant-Microbe Interact. (1998), 11(7), 643-658
CODEN: MPMIEL; ISSN: 0894-0282
PB APS Press
DT Journal
LA English
AB Systemic acquired resistance (SAR) is a widely distributed plant defense system that confers broad-spectrum disease resistance and is accompanied by coordinate expression of the so-called SAR genes. This type of resistance and SAR gene expression can be mimicked with chem. inducers of resistance. It is reported that chem. inducers of resistance are active in maize. Chem. induction increases resistance to downy mildew and activates expression of the maize PR-1 and PR-5 genes. These genes are also coordinately activated by pathogen infection and function as indicators of the defense reaction. Specifically, after pathogen infection, the PR-1 and PR-5 genes are induced more rapidly and more strongly in an incompatible than in a compatible interaction. In addn., monocot lesion mimic plants also express these defense-related genes and have increased levels of salicylic acid after lesions develop, similar to pathogen-infected maize plants. The existence of chem. inducible disease resistance and PR-1 and PR-5 gene expression in maize is similar to dicots in many aspects of induced resistance. This reinforces the notion of an ancient plant-inducible defense pathway against pathogen attack that is shared between monocots and dicots.
IT **135158-54-2**, BTH
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(chem.-induced resistance responses in maize)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



=> d bib abs hitstr l13 5

AN 1998:406088 CAPLUS
DN 129:93054
TI Use of alleles of the NIM1 gene of Arabidopsis to improve levels of disease resistance in plants
IN Ryals, John Andrew; Lawton, Kay Ann; Uknes, Scott Joseph; Steiner, Henry-York; Hunt, Michelle Denise; Friedrich, Leslie Bethards; et al.
PA Novartis A.-G., Switz.; Ryals, John Andrew; Lawton, Kay Ann; Uknes, Scott Joseph; Steiner, Henry-York
SO PCT Int. Appl., 206 pp.
CODEN: PIXXD2
PI WO 9826082 A1 980618
DS W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG
AI WO 97-EP7012 971212
PRAI US 96-33177 961213
US 96-34379 961227
US 96-34382 961227
US 97-34730 970110
US 97-35022 970110
US 97-35021 970110
US 97-880179 970620
DT Patent
LA English
AB A key gene in the SAR (systemic acquired resistance) pathway of Arabidopsis thaliana, the NIM1 (noninducible immunity 1) gene is cloned and characterized for use in increasing the strength of a broad spectrum response to plant disease. The NIM1 gene product is a structural homolog of the mammalian signal transduction factor I.kappa.B subclass .alpha.. Alleles of the gene that encode dominant-neg. regulators of the systemic acquired resistance (SAR) signal transduction pathway are described. These alleles confer a phenotype opposite to that of the nim1 mutant, i.e. the transgenic plants exhibit constitutive SAR gene expression and a constitutive immunity (CIM) phenotype. The gene was mapped to a region of chromosome 1 between the nga111 gene and the SSLP marker ATHGENEA. Cosmids covering this region were used to further map the gene and to clone a wild-type allele by complementation. Progeny of Arabidopsis plants transformed with the cloned gene showed increased resistance to fungal pathogens.
IT **135158-54-2**, Benzo(1,2,3)thiadiazole-7-carbothioic acid S-methyl ester
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BIOL (Biological study); PROC (Process)
(NIM1 gene and plant responses to; use of alleles of NIM1 gene of Arabidopsis to improve levels of disease resistance in plants)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)

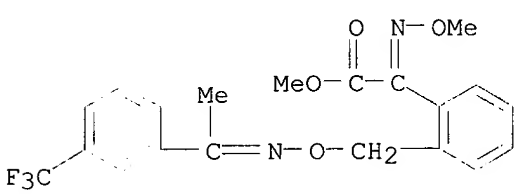


=> d bib abs hitstr l13 6

L13 ANSWER 6 OF 32 CAPLUS
AN 1998:402265 CAPLUS
DN 129:64295
TI Synergistic microbicidal mixtures for plants
IN Margot, Paul; Knauf-Beiter, Gertrude
PA Novartis A.-G., Switz.; Margot, Paul; Knauf-Beiter, Gertrude
SO PCT Int. Appl., 19 pp.
CODEN: PIXXD2
PI WO 9825459 A1 980618
DS W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG
AI WO 97-EP6935 971211
PRAI CH 96-3072 961213
CH 97-1229 970526
DT Patent
LA English
AB The title compns. comprise 2-[.alpha.-{[(.alpha.-methyl-3-trifluoromethylbenzyl)imino]oxy}- o-tolyl]glyoxylic acid Me ester O-Me oxime mixed with quinoxyfen, cyprodinil, acibenzolar-S-Me, famoxadone, sprioxamin, fludioxonil, fenpiclonil, fenhexamid, azoxystrobin and/or kresoxim-Me.
IT **208939-60-0**
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(synergistic microbicidal mixt. for plants)
RN 208939-60-0 CAPLUS
CN Benzeneacetic acid, .alpha.-(methoxyimino)-2-[[[[1-[3-(trifluoromethyl)phenyl]ethylidene]amino]oxy]methyl]-, methyl ester, mixt. with S-methyl 1,2,3-benzothiadiazole-7-carbothioate (9CI) (CA INDEX NAME)

CM 1

CRN 139485-98-6
CMF C20 H19 F3 N2 O4

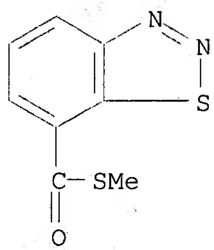


CM 2

CRN 135158-54-2
CMF C8 H6 N2 O S2

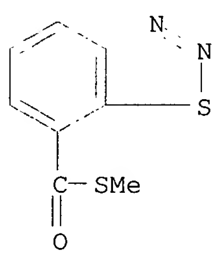
=> d bib abs hitstr l13 7

L13 ANSWER 7 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1998:350497 CAPLUS
DN 129:93040
TI Suppression of tobacco basic chitinase gene expression in response to colonization by the arbuscular mycorrhizal fungus Glomus intraradices
AU David, Rakefet; Itzhaki, Hanan; Ginzberg, Idit; Gafni, Yedidya; Galili, Gad; Kapulnik, Yoram
CS The Volcani Center, Institute of Field and Garden Crops, ARO, Bet Dagan, 50250, Israel
SO Mol. Plant-Microbe Interact. (1998), 11(6), 489-497
CODEN: MPMIEL; ISSN: 0894-0282
PB APS Press
DT Journal
LA English
AB A differentially displayed cDNA clone (MD17) was isolated from tobacco roots (Nicotiana tabacum cv. Xanthi-nc) infected with the arbuscular mycorrhizal (AM) fungus Glomus intraradices. The isolated DNA fragment exhibited a reduced level of expression in response to AM establishment and 90% identity with the 3' noncoding sequence of two basic chitinases (EC 3.2.1.14) from N. tabacum. Northern (RNA) blots and Western blots (immunoblots), probed with tobacco basic chitinase gene-specific probe and polyclonal antibodies raised against the chitinase enzyme, yielded hybridization patterns similar to those of MD17. Moreover, the up-regulation of the 32-kDa basic chitinase gene expression in tobacco roots by (1,2,3)-thiadiazole-7-carbothioic acid S-Me ester (BTH) was less effective in mycorrhizal roots than in nonmycorrhizal controls. Suppression of endogenous basic chitinase (32-kDa) expression was also obsd. in transgenic mycorrhizal plants that constitutively express the 34-kDa basic chitinase A isoform. When plants were grown with an increased phosphate supply, no suppression 32-kDa basic chitinase was obtained. These findings indicate that during the colonization and establishment of G. intraradices in tobacco roots, expression of the basic chitinase gene is down-regulated at the mRNA level.
IT **135158-54-2**
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(Glomus intraradices colonization represses gene expression induction by; Glomus intraradices colonization in roots transcriptionally suppresses tobacco basic chitinase gene expression)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



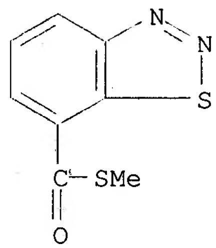
=> d bib abs hitstr l13 8

L13 ANSWER 8 OF 32 CAPLUS
AN 1998:345153 CAPLUS
DN 129:119031
TI Development of new agricultural chemicals using plant disease resistance
AU Iwata, Michiaki
CS Plant Def. Syst. Lab. Co., Ltd., Japan
SO Shokubutsu Saibo Kogaku Shirizu (1997), 8(Bunshi Reberu kara Mita Shokubutsu no Taibyosei), 141-144
CODEN: SSKSFR
PB Shujunsha
DT Journal; General Review
LA Japanese
AB A review and discussion with 24 refs. on the action mechanism of probenazole and BTH related to the information transmission system in plant disease resistance.
IT **135158-54-2**, BTH
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BIOL (Biological study); USES (Uses)
(development of new agrochems. using plant disease resistance)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



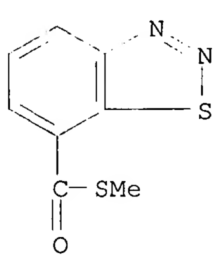
=> d bib abs hitstr l13 9

L13 ANSWER 9 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1998:309113 CAPLUS
DN 129:50772
TI Induction of systemic resistance to Pythium damping-off in cucumber plants by benzothiadiazole: ultrastructure and cytochemistry of the host response
AU Benhamou, Nicole; Belanger, Richard R.
CS Recherche en Sciences de la vie et de la sante, Pavillon Charles-Eugene, Universite Laval, Sainte-Foy, PQ, G1K 7P4, Can.
SO Plant J. (1998), 14(1), 13-21
CODEN: PLJUED; ISSN: 0960-7412
PB Blackwell Science Ltd.
DT Journal
LA English
AB Benzo(1,2,3)thiadiazole-7-carbothioic acid S-Me ester (BTH, CGA 245704), a non-toxic, synthetic chem., was applied as a foliar spray to cucumber plants and evaluated for its potential to induce defense mechanisms in root tissues infected by the soilborne pathogen, Pythium ultimum Trow. In non-treated cucumber plants, fungal colonization was intense and paralleled marked host tissue damage, whereas in BTH-treated plants, pathogen ingress towards the vascular stele was apparently halted by the massive deposition of a phenolic-enriched material which occluded a large no. of cortical and vascular parenchyma cells. This considerable increase in the accumulation of phenolics was accompanied by cytol. disorders of the invading pathogen at a time when the wall-bound cellulose component was preserved. In addn. to phenolic compds., the occluding material contained large amts. of .beta.-glucoside residues. These residues gradually decreased in the areas neighboring fungal cells whereas phenolic deposition appeared to be more uniformly distributed throughout the occluded host cells. Pathogen penetration in non-occluded cucumber root cells coincided with other changes, mainly characterized by both the deposition onto the inner surface of the cell walls of some heterogeneous wall appositions and the coating of some intercellular spaces with an electron-opaque material. Evidence is provided in this study that BTH has the ability to induce SAR in cucumber. Exogenous, foliar applications of the chem. sensitize susceptible cucumber plants to react more rapidly and more efficiently to P. ultimum attack, mainly through the massive accumulation of phenolic compds. at sites of attempted pathogen penetration.
IT **135158-54-2**, CGA 245704
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BIOL (Biological study); USES (Uses)
(ultrastructure and cytochem. of cucumber response to systemic induced resistance to Pythium damping-off by benzothiadiazole)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



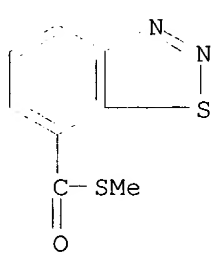
=> d bib abs hitstr l13 15

L13 ANSWER 15 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1998:69033 CAPLUS
DN 128:111832
TI Chemically induced resistance in green bean against bacterial and fungal pathogens
AU Siegrist, J.; Glenewinkel, Dagmar; Kolle, Carmen; Schmidtke, Margit
CS Inst. Phytomedicine, Univ. Hohenheim, Stuttgart, D-70593, Germany
SO Z. Pflanzenkrankh. Pflanzenschutz (1997), 104(6), 599-610
CODEN: ZPFPAA; ISSN: 0340-8159
PB Verlag Eugen Ulmer GmbH & Co.
DT Journal
LA English
AB With the help of the chem. agent benzo[1,2,3]thiadiazole-7-carbothionic acid-S-Me ester (BTH), the active ingredient of the plant activator Bion, induction of systemic acquired resistance (SAR) was achieved in green bean (Phaseolus vulgaris) against different fungi and one bacterial pathogen of agricultural importance. To induced SAR, bean leaves were either sprayed directly with BTH or as a new developed form of application, bean seeds were allowed to germinate in the inducer soln. A minimal period of 4 days was necessary to obtain resistance against the biotrophic rust fungus Uromyces appendiculatus, the perthotrophic soil-borne pathogen Rhizoctonia solani, the causal agent of anthracnose Colletotrichum lindemuthianum and bacterial common blight caused by Xanthomonas campestris pv. phaseoli. No induction of resistance was found against bacterial halo blight caused by Pseudomonas syringae pv. phaseolicola. In chem. activated plants, enhanced activities of the dependence-related enzymes chitinase, .beta.-(1,3)-glucanase and peroxidase were detected which are well known biochem. markers for SAR.
IT **135158-54-2**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BIOL (Biological study); USES (Uses)
(chem. induced resistance in green bean against bacterial and fungal pathogens)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



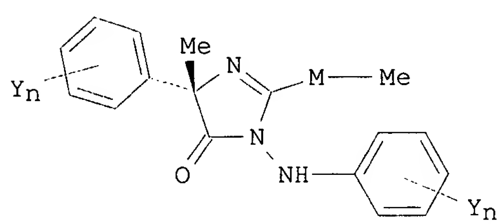
=> d bib abs hitstr l13 10

L13 ANSWER 10 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1998:248071 CAPLUS
DN 128:291428
TI Effect of copper bactericides on copper-resistant and-sensitive strains of Pseudomonas syringae pv. syringae
AU Scheck, Heather J.; Pscheidt, Jay W.
CS Dep. Botany and Plant Pathology, Oregon State Univ., Corvallis, 97331-2902, USA
SO Plant Dis. (1998), 82(4), 397-406
CODEN: PLDIDE; ISSN: 0191-2917
PB American Phytopathological Society
DT Journal
LA English
AB Fourteen formulations of copper-based bactericides were evaluated for their efficacy in reducing populations of copper-resistant and -sensitive strains of Pseudomonas syringae pv. syringae growing on tissue-cultured lilac and of copper-sensitive strains of this pathogen on field-growth lilac. The amt. of free cupric ions (Cu2+) in soln. was the only predictor of formulation efficacy, but this variable could not be estd. from the metallic copper content on the product. Relative to nontreated controls, all copper-based bactericides reduced the population size of copper-sensitive strains by 50%, but only cupric hydroxide mixed with mancozeb or ferric chloride reduced the population size of copper-resistant strains by an equiv. amt. Several noncopper bactericides, including streptomycin-sulfate, caused only small redns. in bacterial populations on tissue-cultured or field-grown lilacs. In the field, two applications of cupric hydroxide (wettable powder) when plant growth stages were at dormant (mid-Feb.) and delayed dormant (late Feb.) provided better control than either one or no treatments.
IT **135158-54-2**, Actigard
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BIOL (Biological study); USES (Uses)
(Pseudomonas syringae on lilac control by)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



=> d bib abs hitstr l13 11

L13 ANSWER 11 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1998:227811 CAPLUS
DN 128:227303
TI Synergistic fungicidal composition containing imidazolinone derivatives
IN Chazalet, Maurice; Latorse, Marie Pascale
PA Rhone Poulenc Agrochimie, Fr.
SO Fr. Demande, 19 pp.
CODEN: FRXXBL
PI FR 2751845 A1 980206
AI FR 96-9839 960730
DT Patent
LA French
OS MARPAT 128:227303
GI



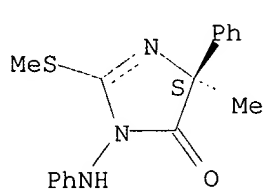
I

AB Synergistic fungicidal compn. contg. imidazolinone derivs. I (M = O or S; n = 0 or 1; Y = F, Cl or Me) mixed with propamocarb, S-Me 1,2,3-benzothiazole-7-carbothioate, cyprodinil or salicylic acid or its esters or salts.
IT **204444-71-3**
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(synergistic fungicidal compn.)
RN 204444-71-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester, mixt. with (S)-3,5-dihydro-5-methyl-2-(methylthio)-5-phenyl-3-(phenylamino)-4H-imidazol-4-one (9CI) (CA INDEX NAME)

CM 1

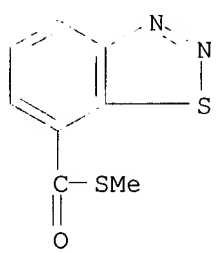
CRN 161326-34-7
CMF C17 H17 N3 O S
CDES 1:S

Absolute stereochemistry.

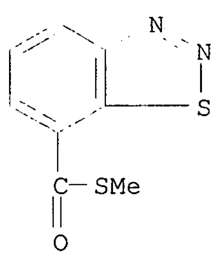


CM 2

CRN 135158-54-2
CMF C8 H6 N2 O S2

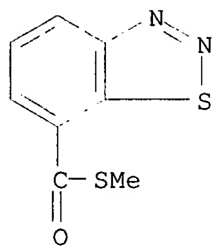


IT **135158-54-2D**, mixts. with imidazolinone derivs.
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(synergistic fungicidal compns.)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



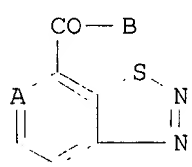
=> d bib abs hitstr l13 12

L13 ANSWER 12 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1998:218806 CAPLUS
DN 128:280901
TI Chitinase in cucumber hypocotyls is induced by germinating fungal spores and by fungal elicitor in synergism with inducers of acquired resistance
AU Kastner, Barbel; Tenhaken, Raimund; Kauss, Heinrich
CS Fachbereich Biologie, Universitat Kaiserslautern, Kaiserslautern, D-67653, Germany
SO Plant J. (1998), 13(4), 447-454
CODEN: PLJUED; ISSN: 0960-7412
PB Blackwell Science Ltd.
DT Journal
LA English
AB After root pretreatment with 2,6-dichloroisonicotinic acid (DCIA or INA), hypocotyls of etiolated cucumber seedlings acquired resistance to infection by Colletotrichum lagenarium caused by the failure of the fungus to penetrate epidermal cell walls. The hypocotyls contained only low levels of class III chitinase and its mRNA prior to infection. This pathogenesis-related (PR) gene was expressed strongly upon infection but only in resistant hypocotyls and soon after germination of the fungal spores. Chitinase was also induced early by an albino mutant strain of C. lagenarium that can barely penetrate the epidermis. Thus, early recognition of the fungus implies signal compds. able to pass, or being generated in, the hydrophobic epidermal surface. As the apoplastic chitinase accumulates timely at the site of a subsequent attack, it may contribute to disease resistance. The mechanism behind the enhanced responsiveness of epidermal cells was studied by gently abrading the cuticle of susceptible hypocotyls to allow permeation of a water-sol. polymeric fungal elicitor. Induction of chitinase occurred only when the elicitor was applied simultaneously with a resistance inducer such as DCIA, salicylic acid (SA) or a benzothiadiazole (BTH). In addn., long-term root pretreatment with DCIA conditioned the hypocotyls for enhanced elicitor responses. These results demonstrate that the above inducers of acquired resistance can affect expression of the cucumber chitinase gene not only as direct inducers. They can also act synergistically with fungal elicitors and, in addn., condition the hypocotyls in a developmental manner for potentiated elicitation.
IT **135158-54-2**, Benzothiadiazole
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(chitinase in cucumber hypocotyls is induced by germinating fungal spores and by fungal elicitor in synergism with inducers of acquired resistance)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



=> d bib abs hitstr l13 13

L13 ANSWER 13 OF 32 CAPLUS
AN 1998:192759 CAPLUS
DN 128:189497
TI Synergistic fungicidal compositions containing a triazole derivative.
IN Duvert, Patrice; Axiotis, Stella; Gillet, Andre
PA Rhone Poulenc Agrochimie, Fr.
SO Fr. Demande, 17 pp.
CODEN: FRXXBL
PI FR 2751172 A1 980123
AI FR 96-9263 960718
DT Patent
LA French
OS MARPAT 128:189497
GI

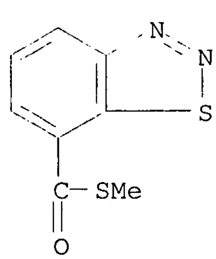


I

AB The title compns. comprise a triazole deriv. I (A = N or CH; B = SMe or NEt2) and triticonazole, flutriafol, difenoconazole and/or triadimenol.
IT **203508-19-4**
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(synergistic fungicidal compn.)
RN 203508-19-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester, mixt. with 5-[(4-chlorophenyl)methylene]-2,2-dimethyl-1-(1H-1,2,4-triazol-1-ylmethyl)cyclopentanol (9CI) (CA INDEX NAME)

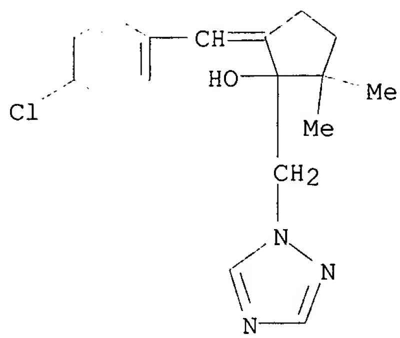
CM 1

CRN 135158-54-2
CMF C8 H6 N2 O S2



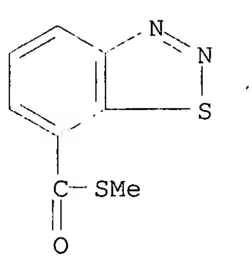
CM 2

CRN 131983-72-7
CMF C17 H20 Cl N3 O



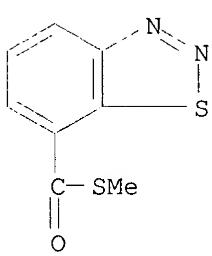
=> d bib abs hitstr l13 14

L13 ANSWER 14 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1998:131643 CAPLUS
DN 128:214355
TI Protection of Brassica seedlings against downy mildew and damping-off by seed treatment with CGA 245704, an activator of systemic acquired resistance
AU Jensen, Brita D.; Latunde-Dada, A. Olumide; Hudson, Donna; Lucas, John A.
CS IACR-Long Ashton Res. Stn., Dep Agric. Sci., Univ. Bristol, Long Ashton/Bristol, BS18 9AF, UK
SO Pestic. Sci. (1998), 52(1), 63-69
CODEN: PSSCBG; ISSN: 0031-613X
PB John Wiley & Sons Ltd.
DT Journal
LA English
AB CGA 245704, a chem. activator of systemic acquired resistance, was tested as a seed treatment against two Brassica diseases with contrasting infection biologies, the airborne downy mildew pathogen, Peronospora parasitica, and the soilborne fungus, Rhizoctonia solani. Seeds of two Brassica spp. were either imbibed with various concns. of the compd. or imbibed and then dried. Both the imbibition treatment alone and the imbibition treatment followed by seed drying had a significant effect on the sporulation intensity of P. parasitica provided some control of damping-off caused by R. solani, with the degree of control being highly dependent on the concn. applied to the seed. Seed treatment with the plant activator CGA 245704 might therefore simultaneously control several seedling diseases, thereby providing a novel option for management of these diseases.
IT **135158-54-2**, CGA 245704
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(protection of Brassica seedlings against downy mildew and damping-off by seed treatment with CGA 245704)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



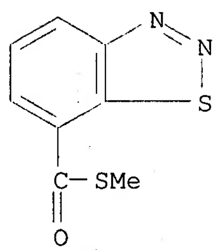
=> d bib abs hitstr l13 16

L13 ANSWER 16 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1998:61470 CAPLUS
DN 128:190497
TI Benzothiadiazole, an inducer of plant defenses, inhibits catalase and ascorbate peroxidase
AU Wendehenne, David; Durner, Jorg; Chen, Zhixiang; Klessig, Daniel F.
CS Waksman Institute and Department of Molecular Biology and Biochemistry, Rutgers, The State University of New Jersey, Piscataway, NJ, 08854, USA
SO Phytochemistry (1997), Volume Date 1998, 47(4), 651-657
CODEN: PYTCAS; ISSN: 0031-9422
PB Elsevier Science Ltd.
DT Journal
LA English
AB Benzothiadiazole (BTH) is a recently described synthetic inducer of plant defenses. Mol. and genetic studies have suggested that it acts as a functional analog of the endogenous defense signaling mol. salicylic acid (SA). Here we demonstrate that BTH inhibits catalase and ascorbate peroxidase, two potential targets through which SA has been proposed to act. BTH was found to be a considerably better inhibitor of catalase than SA. This is consistent with its greater potency for inducing the expression of defense-related genes, such as the acidic PR-1, PR-2 and PR-3 genes. In addn., induction of PR-1 gene expression by either BTH or SA was suppressed by antioxidants. These results suggest that changes in H2O2 levels or the cellular redox status may be involved in the BTH/SA-mediated activation of certain defense responses.
IT **135158-54-2**, Benzothiadiazole
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(catalase and ascorbate peroxidase inhibition by)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



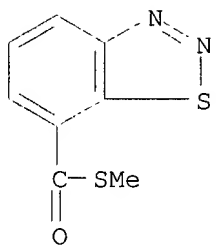
=> d bib abs hitstr l13 17

L13 ANSWER 17 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1998:54770 CAPLUS
DN 128:177201
TI Elicitors of plant defensive systems reduce insect densities and disease incidence
AU Inbar, Moshe; Doostdar, Hamed; Sonoda, Ronald M.; Leibee, Gary L.; Mayer, Richard T.
CS USDA, ARS, US Horticultural Research Laboratory, Orlando, FL, 32803-1419, USA
SO J. Chem. Ecol. (1998), 24(1), 135-149
CODEN: JCECD8; ISSN: 0098-0331
PB Plenum Publishing Corp.
DT Journal
LA English
AB Some elicitors of plant defensive systems can induce biochem. changes that enable the plant to reduce disease incidence; however, little is known about the effect of these induced responses on insect herbivores. The authors approached this problem by using exogenous field applications of several abiotic elicitors of defensive systems in tomatoes (Lycopersicon esculentum), and evaluated the ability of the elicitors [benzo(1,2,3)thiadiazole-7-carbothioic acid (S)-Me ester (BTH, Actigard); Probenazole; chitosan; salicylic acid; KeyPlex 350; KeyPlex DP2; and KeyPlex DP3] to reduce pest densities and to provide cross-resistance against various insect herbivores and pathogens. Only BTH provided cross-resistance and significantly reduced the incidence of bacterial spot (Xanthomonas campestris pv. vesicatoria), early blight (Alternaria solani), leaf mold (Fulvia fulva), and leaf miner larval densities (Liriomyza spp.). The effects on leaf miner larval densities were more pronounced during the early stages of plant development. A trend of reduced densities of whiteflies (Bemisia argentifolii) and powdery mildew (Oidium sp.), although not significant, was also found on the BTH-treated plants. Other elicitors had no significant effect on insect populations, but Probenazole and KeyPlex 350 significantly reduced bacterial spot and early blight incidence. The antiherbivore effects of BTH on leaf miners was confirmed in a lab. two-choice expt. Adult leaf miners preferred untreated plants to the BTH-treated tomatoes as ovipositioning host plants, generally corresponding with larval performance. BTH induced high levels of pathogenesis-related proteins in tomato plants including peroxidase, lysozymes, chitinase, and .beta.-1,3-glucanases. The possible cross-resistance role of these proteins is discussed. The demonstration that exogenous induction of plant defensive systems in the field can result in lower damage caused by various pathogens and insects, supports the hypothesis that plant defensive systems may be general.
IT **135158-54-2**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BIOL (Biological study); USES (Uses)
(elicitors of plant defensive systems effect on insect densities and disease incidence in tomato)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



=> d bib abs hitstr l13 18

L13 ANSWER 18 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1997:768765 CAPLUS
DN 128:85699
TI Characterization and expression of caffeoyl-coenzyme a 3-O-methyltransferase proposed for the induced resistance response of Vitis vinifera L
AU Busam, Gunther; Junghanns, Kay Teja; Kneusel, Richard Edward; Kassemeyer, Hanns-Heinz; Matern, Ulrich
CS Institut fur Biologie II, Lehrstuhl fur Biochemie der Pflanzen, Universitat Freiburg, Freiburg, D-79104, Germany
SO Plant Physiol. (1997), 115(3), 1039-1048
CODEN: PLPHAY; ISSN: 0032-0889
PB American Society of Plant Physiologists
DT Journal
LA English
AB Cell-suspension cultures of Vitis vinifera L. cv Pinot Noir accumulated resveratrol upon fungal elicitation, and the activity of S-adenosyl-L-methionine:trans-caffeoyl-CoA 3-O-methyl-transferase (CCoAOMT), yielding feruloyl-CoA, increased to a transient max. at 12 to 15 h. CCoAOMT cDNA was cloned from the elicited cells and was shown to encode a polypeptide highly homologous to CCoAOMTs from cells of Petroselinum species or Zinnia species. The expression of the cDNA in Escherichia coli revealed that grapevine CCoAOMT methylates both caffeoyl- and 5-hydroxyferuloyl-CoA and is probably involved in phenolic esterification and lignification. Com. plant activators induce the disease-resistance response of test plants and are considered to mimic the action of salicylic acid. Among these chems., 2,6-dichloroisonicotinic acid and benzo(1,2,3)-thiadiazole-7-carbothioic acid S-Me ester provoke systemic acquired resistance (SAR) and were also shown to induce the expression of class III chitinase in grapevine. The SAR response is classified by an unchanged phenotype of tissues, but the mechanistic basis is unknown. Treatment of the cultured V. vinifera cells with either fungal elicitor or low concns. of salicylic acid and 2,6-dichloroisonicotinic acid, resp., raised the CCoAOMT or stilbene synthase transcript abundance, suggesting that grapevine is capable of the SAR response, whereas benzo(1,2,3)-thiadiazole-7-carbothioic acid S-Me ester was ineffective. The data imply for the first time (to our knowledge) that the expression of phenyl-propanoid genes in grapevine is induced by SAR activators without phenotypic consequences and suggest a role for CCoAOMT and stilbene synthase in the disease-resistance response leading beyond the level of pathogenesis-related proteins as markers of the SAR.
IT **135158-54-2**, Benzo(1,2,3)thiadiazole-7-carbothioic acid S-methyl ester
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(activator of plant systemic acquired resistance; cDNA sequence and characterization of expressed caffeoyl-CoA 3-O-methyltransferase (CCoAOMT) from Vitis vinifera and its role in induced resistance response)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)

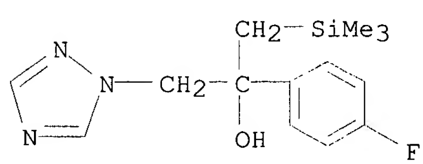


=> d bib abs hitstr l13 19

L13 ANSWER 19 OF 32 CAPLUS
AN 1997:739398 CAPLUS
DN 128:31444
TI Fungicidal compositions containing (fluorophenyl)triazolyl(trimethyl silyl)propanol for use in agriculture and horticulture
IN Kato, Shigehiro; Ota, Hiroshi; Takahi, Yukiyoshi
PA Sankyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
PI JP 09291006 A2 971111 Heisei
AI JP 97-36015 970220
PRAI JP 96-42815 960229
DT Patent
LA Japanese
AB Synergistic antimicrobial compns. that give superior, simultaneous control of rice blast disease and sheath blight disease contains 2-(4-fluorophenyl)-1-(1H-1,2,4-triazol-1-yl)-3-trimethylsilyl-2-propanol (I) and a compd. such as (E)-2-methoxyimino-N-methyl-2-(2-phenoxyphenyl)acetamide as active components. The compns. may also be used to control diseases of vegetables, fruit trees, and flowering plants. Thus, I + N-[1-(4-chlorophenyl)ethyl]-2-cyano-3,3-dimethylbutanamide (50 + 50 g/10 are) synergistically controlled Pyricularia oryzae.
IT **199743-18-5**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BIOL (Biological study); USES (Uses)
(synergistic fungicide for use in agriculture and horticulture)
RN 199743-18-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester, mixt. with .alpha.-(4-fluorophenyl)-.alpha.-[(trimethylsilyl)methyl]-1H-1,2,4-triazole-1-ethanol (9CI) (CA INDEX NAME)

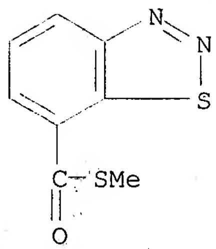
CM 1

CRN 149508-90-7
CMF C14 H20 F N3 O Si



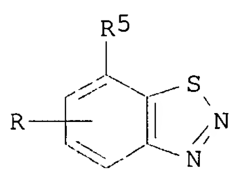
CM 2

CRN 135158-54-2
CMF C8 H6 N2 O S2



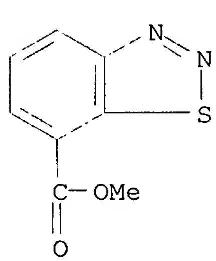
=> d bib abs hitstr l13 20

L13 ANSWER 20 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1997:528533 CAPLUS
DN 127:135803
TI Preparation of benzo-1,2,3-thiadiazole-7-carboxylates
IN Kunz, Walter; Jau, Beat
PA Novartis Ag, Switz.
SO Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
PI EP 780372 A2 970625
DS R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
AI EP 96-810865 961212
PRAI CH 95-3637 951221
DT Patent
LA English
OS MARPAT 127:135803
GI



I

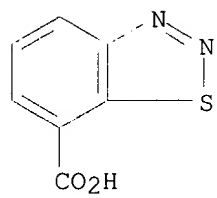
AB Title compds. (I; R = 1-3 of H or halo; R5 = cyano, CO2R1, COSR2, CONR3R4, etc.; R1-R4 = H, hydrocarbyl,CH2Ph, alkanoyl, etc.; NR3R4 = heterocyclyl) were prepd. Thus, 3-(H2N)C6H4CO2Me was condensed with NaSCN and the resulting thiourea treated with Br to give Me 2-aminobenzothiazole-5- and -7-carboxylate the latter of which was treated with KOH to give I (R = H, R5 = CO2H).
IT **23621-08-1**
RL: RCT (Reactant)
(prepn. of benzo-1,2,3-thiadiazole-7-carboxylates)
RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI) (CA INDEX NAME)



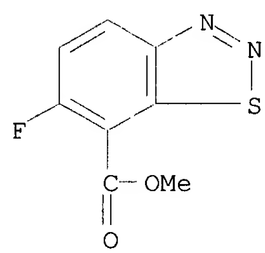
IT **35272-27-6P**, 1,2,3-Benzothiadiazole-7-carboxylic acid
124371-46-6P **135158-54-2P** **192947-94-7P**

192947-95-8P 192947-96-9P 192947-97-0P
192947-98-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of benzo-1,2,3-thiadiazole-7-carboxylates)

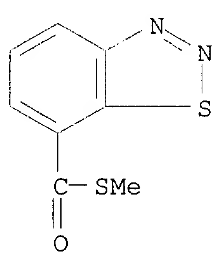
RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)



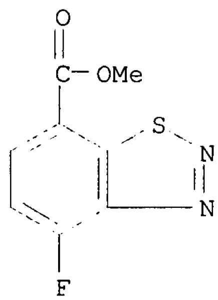
RN 124371-46-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 6-fluoro-, methyl ester (9CI) (CA INDEX NAME)



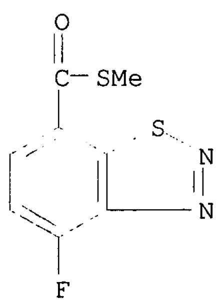
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



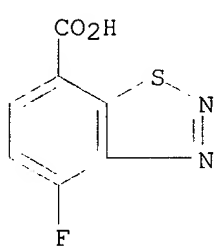
RN 192947-94-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 4-fluoro-, methyl ester (9CI) (CA INDEX NAME)



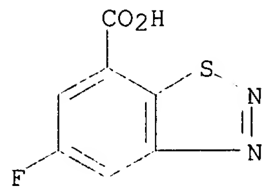
RN 192947-95-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, 4-fluoro-, S-methyl ester (9CI) (CA INDEX NAME)



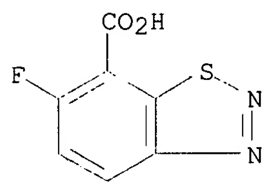
RN 192947-96-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 4-fluoro- (9CI) (CA INDEX NAME)



RN 192947-97-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 5-fluoro- (9CI) (CA INDEX NAME)

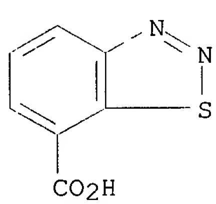


RN 192947-98-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 6-fluoro- (9CI) (CA INDEX NAME)

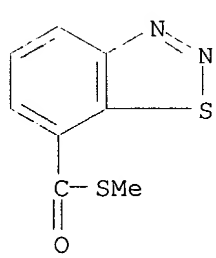


=> d bib abs hitstr l13 21

L13 ANSWER 21 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1997:519013 CAPLUS
DN 127:157873
TI The chemistry of benzothiadiazole plant activators
AU Kunz, Walter; Schurter, Rolf; Maetzke, Thomas
CS R&D Crop Protection, Ciba-Geigy AG, Basel, 4002, Switz.
SO Pestic. Sci. (1997), 50(4), 275-282
CODEN: PSSCBG; ISSN: 0031-613X
PB Wiley
DT Journal; General Review
LA English
AB A review with 28 refs. Systemic Acquired Resistance (SAR) is an inducible resistance mechanism in plants that, together with other defense mechanisms, provides broad-spectrum and long-lasting disease control. With novel screening techniques the benzo[1,2,3]thiadiazole-7-carboxylic acid derivs. have been identified as a new class of chems. which stimulate the plant's own defense mechanisms. The synthesis and biol. activities of various benzo[1,2,3]thiadiazoles and related structures are described. S-Methylbenzo[1,2,3]thiadiazole-7-carbothioate is the first synthetic chem. 'plant activator' that has been developed for this novel disease control concept.
IT **35272-27-6D**, Benzo[1,2,3]thiadiazole-7-carboxylic acid, derivs. **135158-54-2**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(benzothiadiazole plant activators)
RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)

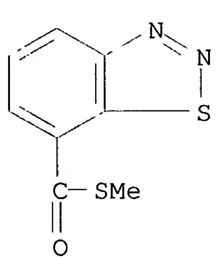


RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



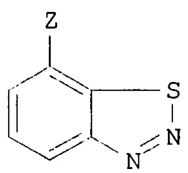
=> d bib abs hitstr l13 22

L13 ANSWER 22 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1997:493951 CAPLUS
DN 127:203074
TI Evidence for different signaling pathways activated by inducers of acquired resistance in wheat
AU Schaffrath, Ulrich; Freydi, Ernst; Dudler, Robert
CS Institute for Plant Biology, University of Zurich, Zurich, CH-8008, Switz.
SO Mol. Plant-Microbe Interact. (1997), 10(6), 779-783
CODEN: MPMIEL; ISSN: 0894-0282
PB American Phytopathological Society
DT Journal
LA English
AB Acquired resistance (AR) of wheat (Triticum aestivum) to the powdery mildew fungus Erysiphe graminis f. sp. tritici can be induced either by inoculation with the nonhost pathogen E. graminis f. sp. hordei or by treatment with chem. substances such as benzo(1,2,3)thiodiazole-7-carbothioic acid S-Me ester (BTH). In the dicotyledonous plants tobacco and Arabidopsis, induction of AR by pathogens and BTH is accompanied by the expression of a characteristic set of genes. In wheat, BTH treatment failed to activate genes whose transcripts accumulate after AR induction by nonhost pathogens, whereas BTH-inducible genes were not activated by an appropriate pathogen inoculation. This suggests that at least two different pathways exist for the induction of AR in monocots.
IT **135158-54-2**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(signaling pathways activated by inducers of acquired resistance in wheat)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



=> d bib abs hitstr l13 23

L13 ANSWER 23 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1997:467725 CAPLUS
DN 127:77355
TI Synergistic microbicides comprising a plant immunizer
IN Ruess, Wilhelm
PA Novartis Ag, Switz.
SO Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
PI EP 779030 A1 970618
DS R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
AI EP 96-810844 961203
PRAI CH 95-3495 951211
DT Patent
LA German
OS MARPAT 127:77355
GI

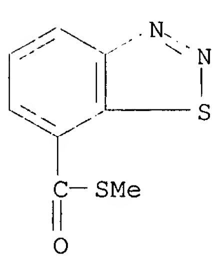


I

AB Synergistic microbicides comprise a plant immunizer I (Z = CN, CO2H, alkoxycarbonyl, etc.) and dimethomorph, tricyclazole or probenazole.
IT **191789-31-8**
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(synergistic plant microbicide)
RN 191789-31-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester, mixt. with 4-[3-(4-chlorophenyl)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]morpholine (9CI) (CA INDEX NAME)

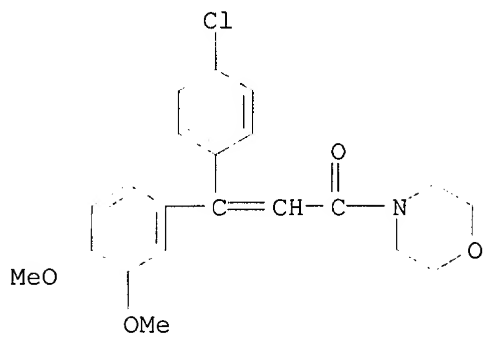
CM 1

CRN 135158-54-2
CMF C8 H6 N2 O S2

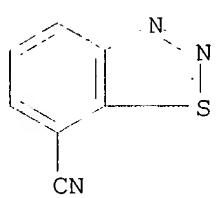


CM 2

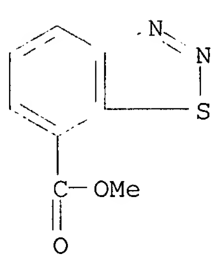
CRN 110488-70-5
CMF C21 H22 Cl N O4



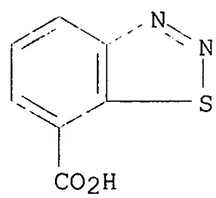
IT **23615-90-9D**, 1,2,3-Benzothiadiazole-7-carbonitrile, mixts. contg. **23621-08-1D**, mixts. contg. **35272-27-6D**, 1,2,3-Benzothiadiazole-7-carboxylic acid, mixts. contg. **135158-54-2D**, mixts. contg.
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(synergistic plant microbicides)
RN 23615-90-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile (8CI, 9CI) (CA INDEX NAME)



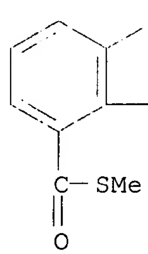
RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI) (CA INDEX NAME)



RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)

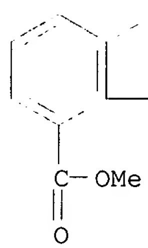


RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)

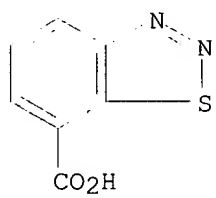


=> d bib abs hitstr l13 24

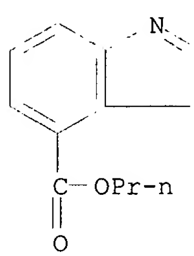
L13 ANSWER 24 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1997:231336 CAPLUS
DN 126:303834
TI Chemically regulated promoters and pathogenesis-related genes and their use in increasing plant pathogen resistance
IN Ryals, John A.; Alexander, Danny C.; Beck, James J.; Duesing, John H.; et al.
PA Ciba-Geigy Corp., USA
SO U.S., 175 pp. Cont.-in-part of U.S. Ser. No. 93,301, abandoned. CODEN: USXXAM
PI US 5614395 A 970325
AI US 94-181271 940113
PRAI US 88-165667 880308
US 88-165667 880308
US 89-305566 890206
US 89-329018 890324
US 89-368672 890620
US 89-425504 891020
US 89-425504 891020
US 90-580431 900907
US 90-632441 901221
US 91-678378 910401
US 91-768122 910927
US 92-848506 920306
US 92-973197 921106
US 93-42847 930406
US 93-45957 930412
US 93-93301 930716
DT Patent
LA English
AB Plant promoters that can be induced by an exogenous chem., specifically a safener, are described for use in driving the expression of genes in transgenic plants. These promoters are derived from genes encoding pathogenesis-related (PR) proteins and methods of identifying these genes are described. The promoters can be used to regulate the expression of foreign genes in plants. CDNAs for PR proteins are cloned for use in increasing the resistance of plants to disease. A novel signal peptide and the corresponding DNA are also provided. Cloning and expression of a large no. of pathogenesis-related protein genes is reported. The prepn. of expression constructs and the successful transformation of a range of monocots and dicots with safener induction of gene expression is reported.
IT **23621-08-1** **35272-27-6**, Benzo-1,2,3-thiadiazole-7-carboxylic acid **124370-16-7** **124370-26-9** **124371-34-2** **135158-54-2**, Benzo-1,2,3-thiadiazole-7-carbothioic acid S-methyl ester
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BIOL (Biological study); USES (Uses)
(as inducer of gene expression; chem. regulated promoters and pathogenesis-related genes and their use in increasing plant pathogen resistance)
RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI) (CA INDEX NAME)



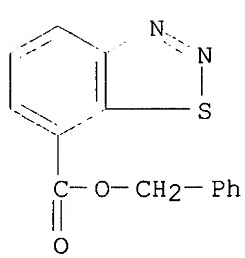
RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)



RN 124370-16-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, propyl ester (9CI) (CA INDEX NAME)

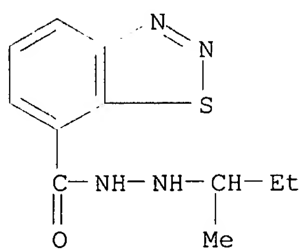


RN 124370-26-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, phenylmethyl ester (9CI) (CA INDEX NAME)

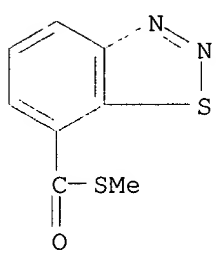


RN 124371-34-2 CAPLUS

CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-(1-methylpropyl)hydrazide (9CI) (CA INDEX NAME)

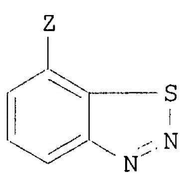


RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



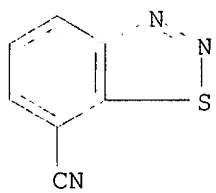
=> d bib abs hitstr l13 25

L13 ANSWER 25 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1997:127621 CAPLUS
DN 126:140987
TI Synergistic composition for crop protection against plant diseases
IN Ruess, Wilhelm; Knauf-Beiter, Gertrude; Kueng, Ruth Beatrice; Kessmann, Helmut; Oostendorp, Michael
PA Ciba-Geigy A.-G., Switz.; Ruess, Wilhelm; Knauf-Beiter, Gertrude; Kueng, Ruth, Beatrice; Kessmann, Helmut; Oostendorp, Michael
SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2
PI WO 9701277 A1 970116
DS W: AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG
AI WO 96-EP2672 960620
PRAI CH 95-1910 950629
DT Patent
LA English
OS MARPAT 126:140987
GI

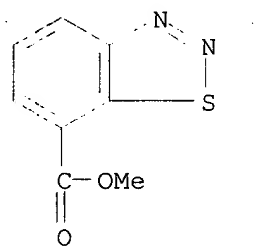


I

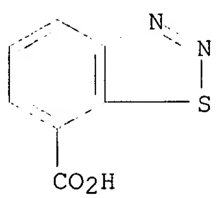
AB THe title compn. comprises a plant-immunizing benzothiadiazole deriv. I (Z = CN, COOH or a salt thereof, COOC1-4alkyl or COSC1-4alkyl) and a microbicide, such as tebuconazole, epoxyconazole cyproconazole, metconazole, tetraconazole, ICI A 5504 (azoxystrobin), BAS 490F (cresoxime methyl), 2-(2-phenoxyphenyl)-(E)-2-methoximino-N-methylacetamide, [2-(2,5-dimethylphenoxymethyl)-phenyl]-(E)-2-methoximino-N-methylacetamide, (1R,3S/1S,3R)-2,2-dichloro-N-[(R)-1-(4-chlorophenyl)ethyl]-1-ethyl-3-methylcyclopropanecarboxamide, and mancozeb.
IT **23615-90-9**, 1,2,3-Benzothiadiazole-7-carbonitrile
23621-08-1 **35272-27-6D**, 1,2,3-Benzothiadiazole-7-carboxylic acid, mixts. with microbicides **135158-54-2** **186448-36-2** **186448-37-3** **186448-38-4** **186448-39-5** **186448-40-8** **186448-41-9** **186448-42-0** **186448-43-1** **186457-80-7**
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(synergistic compns. for crop protection against plant diseases)
RN 23615-90-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile (8CI, 9CI) (CA INDEX NAME)



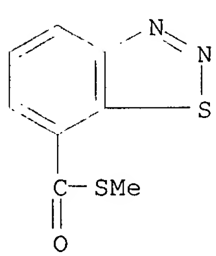
RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI)
(CA INDEX NAME)



RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)



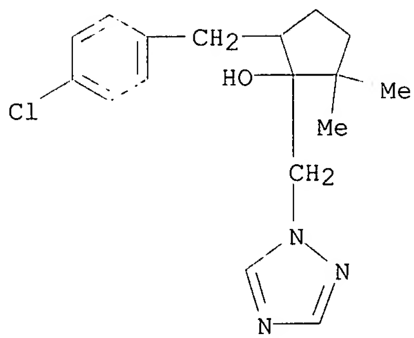
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA
INDEX NAME)



RN 186448-36-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, mixt. with
5-[(4-chlorophenyl)methyl]-2,2-dimethyl-1-(1H-1,2,4-triazol-1-
ylmethyl)cyclopentanol (9CI) (CA INDEX NAME)

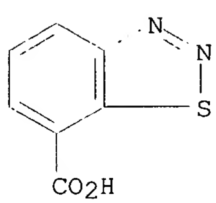
CM 1

CRN 125116-23-6
CMF C17 H22 Cl N3 O



CM 2

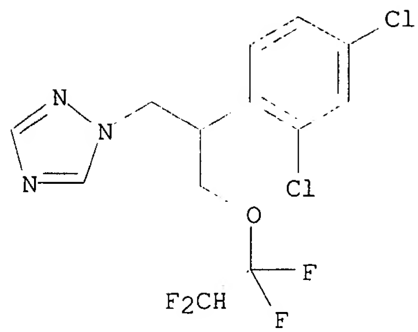
CRN 35272-27-6
CMF C7 H4 N2 O2 S



RN 186448-37-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, mixt. with (.+-.)-1-[2-(2,4-dichlorophenyl)-3-(1,1,2,2-tetrafluoroethoxy)propyl]-1H-1,2,4-triazole (9CI) (CA INDEX NAME)

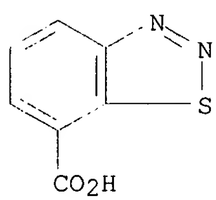
CM 1

CRN 112281-77-3
CMF C13 H11 Cl2 F4 N3 O



CM 2

CRN 35272-27-6
CMF C7 H4 N2 O2 S

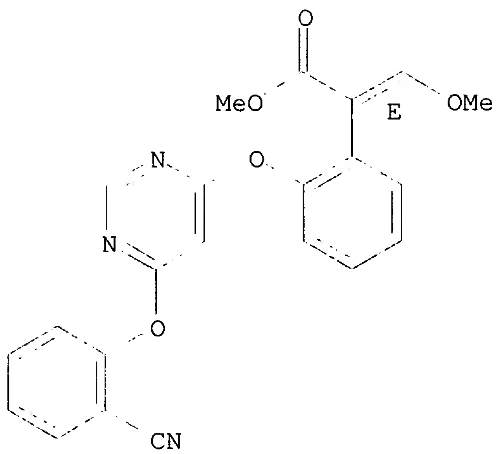


RN 186448-38-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, mixt. with (E)-methyl 2-[[6-(2-cyanophenoxy)-4-pyrimidinyl]oxy]-.alpha.-(methoxymethylene)benzeneacetate (9CI) (CA INDEX NAME)

CM 1

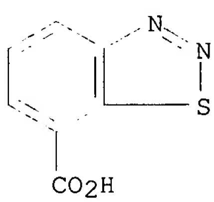
CRN 131860-33-8
CMF C22 H17 N3 O5
CDES 2:E

Double bond geometry as shown.



CM 2

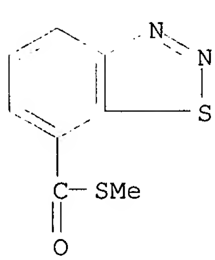
CRN 35272-27-6
CMF C7 H4 N2 O2 S



RN 186448-39-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester, mixt. with (.+-.)-.alpha.-[2-(4-chlorophenyl)ethyl]-.alpha.-(1,1-dimethylethyl)-1H-1,2,4-triazole-1-ethanol (9CI) (CA INDEX NAME)

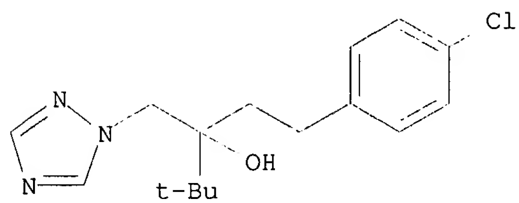
CM 1

CRN 135158-54-2
CMF C8 H6 N2 O S2



CM 2

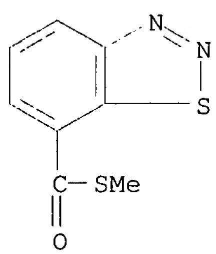
CRN 107534-96-3
CMF C16 H22 Cl N3 O



RN 186448-40-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester, mixt. with .alpha.-(4-chlorophenyl)-.alpha.-(1-cyclopropylethyl)-1H-1,2,4-triazole-1-ethanol (9CI) (CA INDEX NAME)

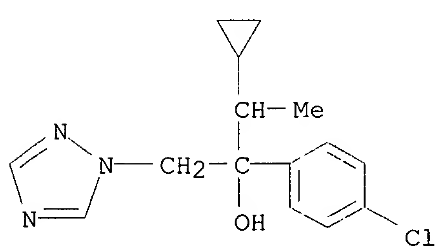
CM 1

CRN 135158-54-2
CMF C8 H6 N2 O S2



CM 2

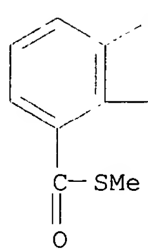
CRN 94361-06-5
CMF C15 H18 Cl N3 O



RN 186448-41-9 CAPLUS
CN Benzeneacetic acid, 2-[[6-(2-cyanophenoxy)-4-pyrimidinyl]oxy]-.alpha.-(methoxymethylene)-, methyl ester, (E)-, mixt. with S-methyl 1,2,3-benzothiadiazole-7-carbothioate (9CI) (CA INDEX NAME)

CM 1

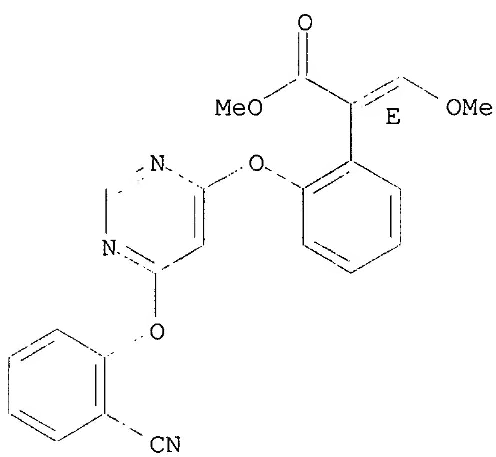
CRN 135158-54-2
CMF C8 H6 N2 O S2



CM 2

CRN 131860-33-8
CMF C22 H17 N3 O5
CDES 2:E

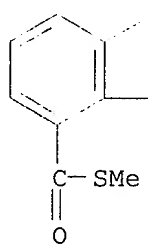
Double bond geometry as shown.



RN 186448-42-0 CAPLUS
CN Manganese, [[2-[(dithiocarboxy)amino]ethyl]carbamodithioato(2-)-.kappa.S,.kappa.S']-, mixt. with [[2-[(dithiocarboxy)amino]ethyl]carbamodithioato(2-)-.kappa.S,.kappa.S']zinc and S-methyl 1,2,3-benzothiadiazole-7-carbothioate (9CI) (CA INDEX NAME)

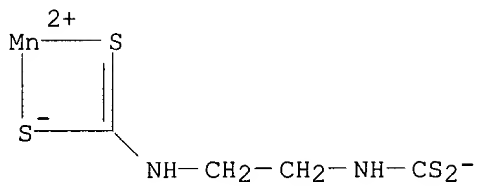
CM 1

CRN 135158-54-2
CMF C8 H6 N2 O S2



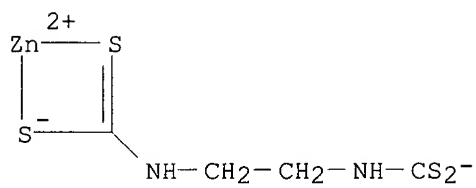
CM 2

CRN 12427-38-2
CMF C4 H6 Mn N2 S4
CCI CCS



CM 3

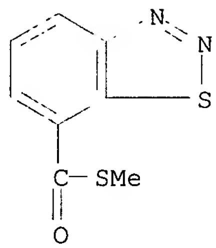
CRN 12122-67-7
CMF C4 H6 N2 S4 Zn
CCI CCS



RN 186448-43-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester, mixt. with 2,2-dichloro-N-[1-(4-chlorophenyl)ethyl]-1-ethyl-3-methylcyclopropanecarboxamide (9CI) (CA INDEX NAME)

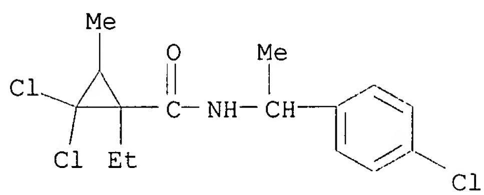
CM 1

CRN 135158-54-2
CMF C8 H6 N2 O S2



CM 2

CRN 104030-54-8
CMF C15 H18 Cl3 N O

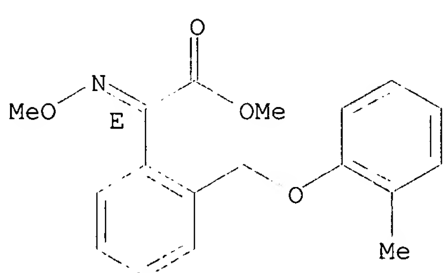


RN 186457-80-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, mixt. with (E)-methyl .alpha.-(methoxyimino)-2-[(2-methylphenoxy)methyl]benzeneacetate (9CI) (CA INDEX NAME)

CM 1

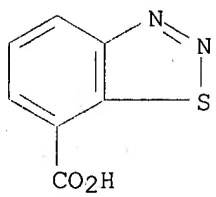
CRN 143390-89-0
CMF C18 H19 N O4
CDES 2:E

Double bond geometry as shown.



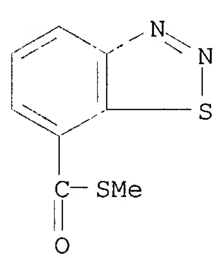
CM 2

CRN 35272-27-6
CMF C7 H4 N2 O2 S


N
N
S
CO2H

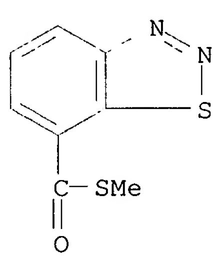
=> d bib abs hitstr l13 26

L13 ANSWER 26 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1997:60219 CAPLUS
DN 126:86005
TI CGA 245704: Mode of action of a new plant activator
AU Kessmann, H.; Oostendorp, M.; Staub, T.; Goerlach, J.; Friedrich, L.; Lawton, K.; Ryals, J.
CS CIBA - GEIGY Limited, Basel, 4002, Switz.
SO Brighton Crop Prot. Conf.--Pests Dis. (1996), (Vol. 3), 961-966
CODEN: BCPDED; ISSN: 0955-1506
PB British Crop Protection Council
DT Journal; General Review
LA English
AB A review with 20 refs. Plants, when locally infected with a necrotizing pathogen or a non-pathogen, often develop a long lasting, broad-spectrum "immunity" against subsequent infection. This natural phenomenon - called "systemic activated (or acquired) resistance" (SAR) - has been known for almost a century. However, naturally induced SAR is not predictable in timing and level of expression and therefore it could not be used for agricultural practice. Using special screening procedures, we were able to discover small mols. which activate the SAR response ("plant activators"). CGA 245704 (benzo[1,2,3]thiadiazole-7-carbothioic acid S-Me ester) was developed for com. use in a wide range of crops. Plant activators protect the plant against the same spectrum of diseases as the natural response after localized infections. They do not exhibit direct activity against pathogens but instead cause the same biochem. changes in the plant as obsd. after biol. activation. Salicylic acid plays a central role in the SAR signaling pathway upon biol. activation. Plant activators like CGA 245704 activate the SAR response by acting as functional analogs of salicylic acid in the pathway leading to SAR.
IT **135158-54-2**, CGA 245704
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(action mechanism in relation to induction of "system activated resistance" in plants)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



=> d bib abs hitstr l13 27

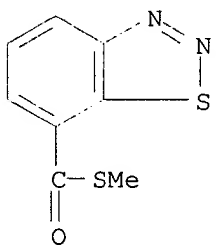
L13 ANSWER 27 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1997:47164 CAPLUS
DN 126:71518
TI Plant activator CGA 245704: An innovative approach for disease control in cereals and tobacco
AU Ruess, W.; Mueller, K.; Knauf-Beiter, G.; Kunz, W.; Staub, T.
CS Ciba-Geigy Ltd, Basel, 4002, Switz.
SO Brighton Crop Prot. Conf.--Pests Dis. (1996), (Vol. 1), 53-60
CODEN: BCPDED; ISSN: 0955-1506
PB British Crop Protection Council
DT Journal
LA English
AB CGA 245704 is the first compd. of a new generation of crop protection agents which activate plant defense mechanism called "systemic activated resistance" (SAR). This particular form of plant resistance can be activated by biotic and abiotic agents and results in a systemic protection of the entire plant against a spectrum of diseases caused by fungi and bacteria. CGA 245704 copies this natural biol. phenomenon and provides reliable and com. acceptable protection in several crops against a no. of diseases. In cereals, CGA 245704 at 30 g/ha provides a long lasting protection against Erysiphe graminis with a single application at GS 25-32. Partial protection against Septoria spp. and Puccinia spp. can be achieved. Good protection of tobacco against Peronospora hyoscyami tabacina is obtained with 12 g/ha and repeated applications. Mixts. with conventional fungicides are proposed to control established disease infections and to extend the spectrum of activity. With its new technol., CGA 245704 offers an addnl., new way in crop protection.
IT **135158-54-2**, CGA 245704 **180995-59-9** **180995-60-2** **185392-65-8** **185392-66-9**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BIOL (Biological study); USES (Uses)
(plant activator CGA 245704 for disease control in cereals and tobacco)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



RN 180995-59-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester, mixt. with 4-cyclopropyl-6-methyl-N-phenyl-2-pyrimidinamine (9CI) (CA INDEX NAME)

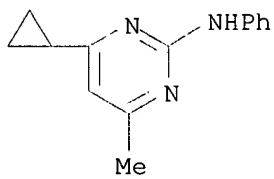
CM 1

CRN 135158-54-2
CMF C8 H6 N2 O S2



CM 2

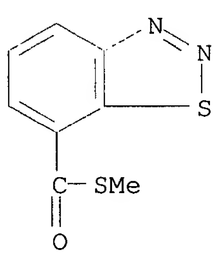
CRN 121552-61-2
CMF C14 H15 N3



RN 180995-60-2 CAPLUS
CN Alanine, N-(2,6-dimethylphenyl)-N-(methoxyacetyl)-, methyl ester, mixt. with S-methyl 1,2,3-benzothiadiazole-7-carbothioate (9CI) (CA INDEX NAME)

CM 1

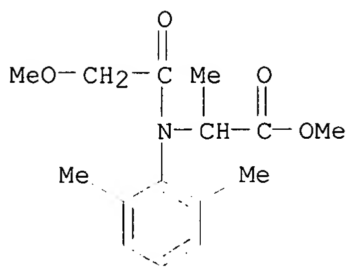
CRN 135158-54-2
CMF C8 H6 N2 O S2



CM 2

CRN 57837-19-1

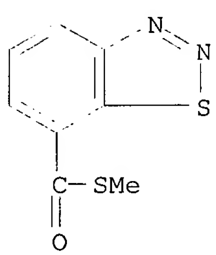
CMF C15 H21 N O4



RN 185392-65-8 CAPLUS
CN D-Alanine, N-(2,6-dimethylphenyl)-N-(methoxyacetyl)-, methyl ester, mixt. with S-methyl 1,2,3-benzothiadiazole-7-carbothioate (9CI) (CA INDEX NAME)

CM 1

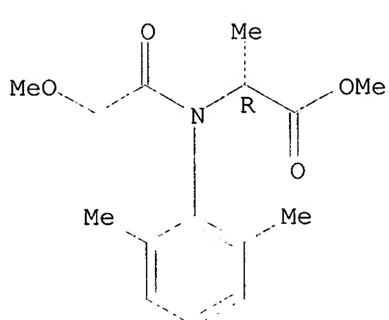
CRN 135158-54-2
CMF C8 H6 N2 O S2



CM 2

CRN 70630-17-0
CMF C15 H21 N O4
CDES 5:D

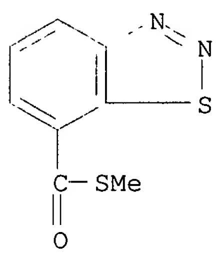
Absolute stereochemistry. Rotation (-).



RN 185392-66-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester, mixt. with 1-[3-[4-(1,1-dimethylethyl)phenyl]-2-methylpropyl]piperidine (9CI) (CA INDEX NAME)

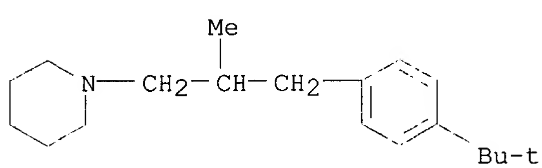
CM 1

CRN 135158-54-2
CMF C8 H6 N2 O S2



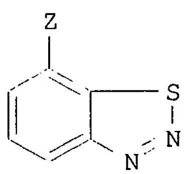
CM 2

CRN 67306-00-7
CMF C19 H31 N



=> d bib abs hitstr 113 28

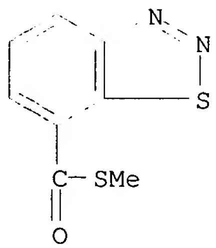
L13 ANSWER 28 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1996:555002 CAPLUS
DN 125:188323
TI Synergistic crop protection agents against fungal diseases
IN Ruess, Wilhelm; Knauf-Beiter, Gertrude; Kueng, Ruth Beatrice; Kessmann, Helmut
PA Ciba-Geigy A.-G., Switz.
SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2
PI WO 9622690 A1 960801
DS W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AZ, BY, KZ, RU, TJ, TM
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG
AI WO 96-EP96 960111
PRAI CH 95-179 950123
DT Patent
LA English
OS MARPAT 125:188323
GI


I

AB The title compn. comprises a plant-immunizing benzothiadiazole deriv. I (Z = CN, CO2H or a salt thereof, CO2-C1-4 alkyl or COS-C1-4 alkyl) and a 2nd component selected from propiconazole, difenoconazole, penconazole, fenpropimorph, fenpropidine, cyprodinil, metalaxyl, (R)-metalaxyl and pyroquilone.
IT **180995-58-8 180995-59-9 180995-60-2**
180995-61-3 180995-62-4 180995-63-5
180995-64-6 180995-65-7
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(synergistic crop protection agent against fungal diseases)
RN 180995-58-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester, mixt. with 1-[[2-(2,4-dichlorophenyl)-4-propyl-1,3-dioxolan-2-yl]methyl]-1H-1,2,4-triazole (9CI) (CA INDEX NAME)

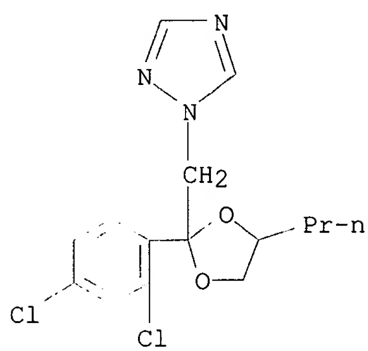
CM 1

CRN 135158-54-2
CMF C8 H6 N2 O S2



CM 2

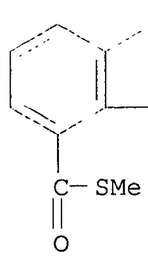
CRN 60207-90-1
CMF C15 H17 Cl2 N3 O2



RN 180995-59-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester, mixt. with 4-cyclopropyl-6-methyl-N-phenyl-2-pyrimidinamine (9CI) (CA INDEX NAME)

CM 1

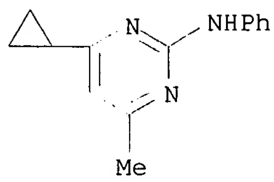
CRN 135158-54-2
CMF C8 H6 N2 O S2



CM 2

CRN 121552-61-2

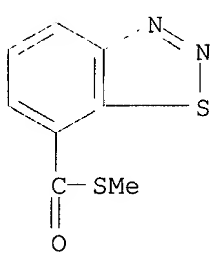
CMF C14 H15 N3



RN 180995-60-2 CAPLUS
CN Alanine, N-(2,6-dimethylphenyl)-N-(methoxyacetyl)-, methyl ester, mixt. with S-methyl 1,2,3-benzothiadiazole-7-carbothioate (9CI) (CA INDEX NAME)

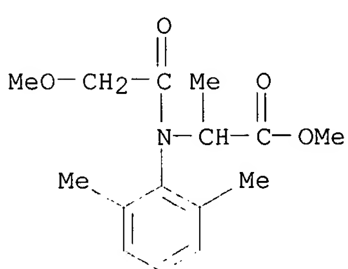
CM 1

CRN 135158-54-2
CMF C8 H6 N2 O S2



CM 2

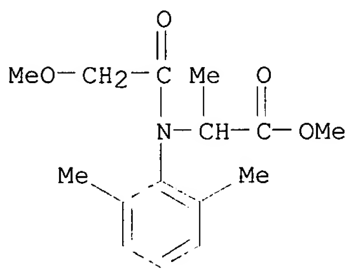
CRN 57837-19-1
CMF C15 H21 N O4



RN 180995-61-3 CAPLUS
CN Alanine, N-(2,6-dimethylphenyl)-N-(methoxyacetyl)-, methyl ester, mixt. with 1,2,3-benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)

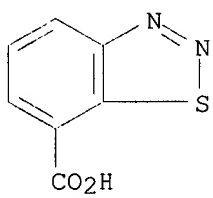
CM 1

CRN 57837-19-1
CMF C15 H21 N O4



CM 2

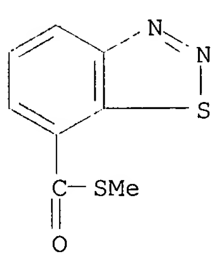
CRN 35272-27-6
CMF C7 H4 N2 O2 S



RN 180995-62-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester, mixt. with 1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (9CI) (CA INDEX NAME)

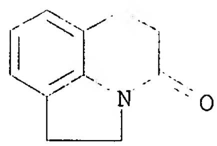
CM 1

CRN 135158-54-2
CMF C8 H6 N2 O S2



CM 2

CRN 57369-32-1
CMF C11 H11 N O

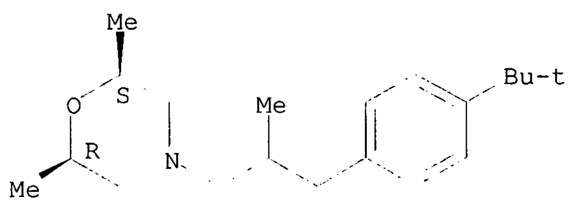


RN 180995-63-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, mixt. with rel-(2R,6S)-4-[3-[4-(1,1-dimethylethyl)phenyl]-2-methylpropyl]-2,6-dimethylmorpholine (9CI) (CA INDEX NAME)

CM 1

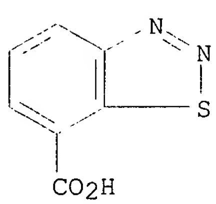
CRN 67564-91-4
CMF C20 H33 N O
CDES 2:CIS

Relative stereochemistry.



CM 2

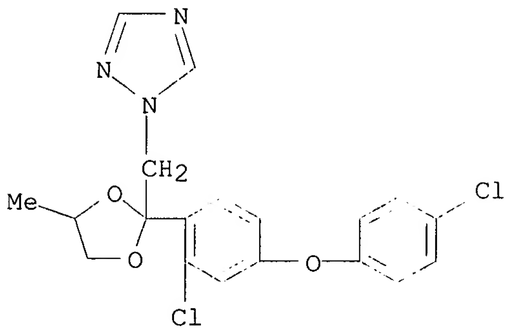
CRN 35272-27-6
CMF C7 H4 N2 O2 S



RN 180995-64-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, mixt. with 1-[[2-[2-chloro-4-(4-chlorophenoxy)phenyl]-4-methyl-1,3-dioxolan-2-yl]methyl]-1H-1,2,4-triazole (9CI) (CA INDEX NAME)

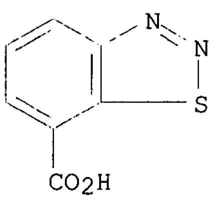
CM 1

CRN 119446-68-3
CMF C19 H17 Cl2 N3 O3



CM 2

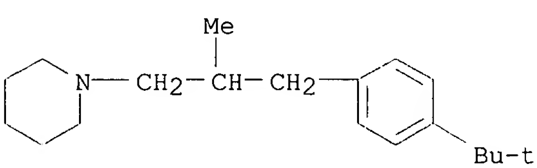
CRN 35272-27-6
CMF C7 H4 N2 O2 S



RN 180995-65-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, mixt. with 1-[3-[4-(1,1-dimethylethyl)phenyl]-2-methylpropyl]piperidine (9CI) (CA INDEX NAME)

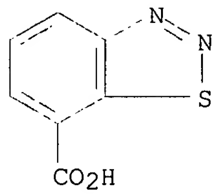
CM 1

CRN 67306-00-7
CMF C19 H31 N

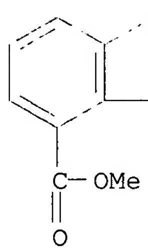


CM 2

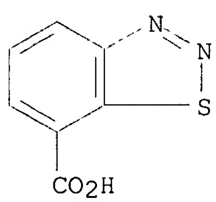
CRN 35272-27-6
CMF C7 H4 N2 O2 S



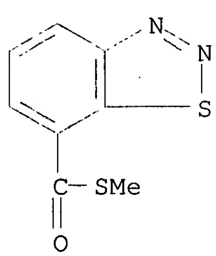
IT **23621-08-1D**, mixts. contg. **35272-27-6D**,
1,2,3-Benzothiadiazole-7-carboxylic acid, mixts. contg.
135158-54-2D, mixts. contg.
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(synergistic crop protection agents against fungal diseases)
RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI)
(CA INDEX NAME)



RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)

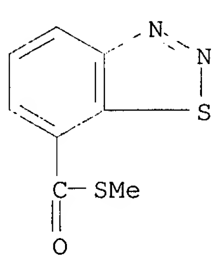


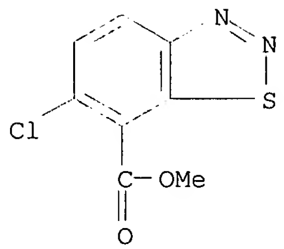
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA
INDEX NAME)



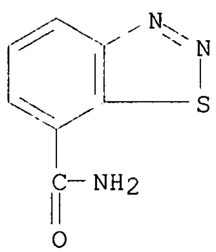
=> d bib abs hitstr l13 29

L13 ANSWER 29 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1996:502540 CAPLUS
DN 125:163480
TI Benzothiadiazole induces disease resistance in Arabidopsis by activation of the systemic acquired resistance signal transduction pathway
AU Lawton, Kay A.; Friedrich, Leslie; Hunt, Michelle; Weymann, Kris; Delaney, Terrance; Kessmann, Helmut; Staub, Theodor; Ryals, John
CS Ciba-Geigy Agricultural Biotechnology, Research Triangle Park, NC, 27709-2257, USA
SO Plant J. (1996), 10(1), 71-82
CODEN: PLJUED; ISSN: 0960-7412
DT Journal
LA English
AB Benzothiadiazole (BTH) is a novel chem. activator of disease resistance in tobacco, wheat and other important agricultural plants. In this report, it is shown that BTH works by activating SAR in Arabidopsis thaliana. BTH-treated plants were resistant to infection by turnip crinkle virus, Pseudomonas syringae pv "tomato" DC3000 and Peronospora parasitica. Chem. treatment induced accumulation of mRNAs from the SAR-assocd. genes, PR-1, PR-2 and PR-5. BTH treatment induced both PR-1 mRNA accumulation and resistance against P. parasitica in the ethylene response mutants, etr1 and ein2, and in the Me jasmonate-insensitive mutant, jar1, suggesting that BTH action is independent of these plant hormones. BTH treatment also induced both PR-1 mRNA accumulation and P. parasitica resistance in transgenic Arabidopsis plants expressing the nahG gene, suggesting that BTH action does not require salicylic acid accumulation. However, because BTH-treatment failed to induce either PR-1 mRNA accumulation or P. parasitica resistance in the non-inducible immunity mutant, nim1, it appears that BTH activates the SAR signal transduction pathway.
IT **135158-54-2**, Benzothiadiazole
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(induces disease resistance in Arabidopsis by activation of the systemic acquired resistance signal transduction pathway)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)

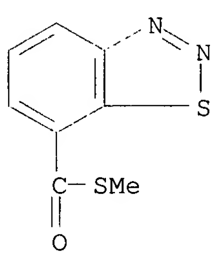




IT **124370-91-8**, 1,2,3-Benzothiadiazole-7-carboxamide
135158-54-2
RL: RCT (Reactant)
(sulfuration of)
RN 124370-91-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide (9CI) (CA INDEX NAME)

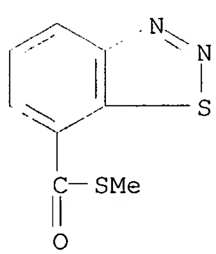


RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



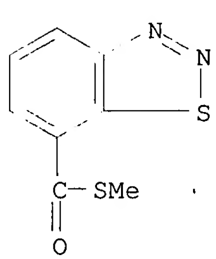
=> d bib abs hitstr l13 30

L13 ANSWER 30 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1996:502539 CAPLUS
DN 125:163479
TI A benzothiadiazole derivative induces systemic acquired resistance in tobacco
AU Friedrich, Leslie; Lawton, Kay; Ruess, Wilhelm; Masner, Peter; Specker, Nicole; Rella, Manuela Gut; Meier, Beatrice; Dincher, Sandra; Staub, Theodor; et al.
CS Ciba-Geigy Agricultural Biotechnology, Research Triangle Park, NC, 27709-2257, USA
SO Plant J. (1996), 10(1), 61-70
CODEN: PLJUED; ISSN: 0960-7412
DT Journal
LA English
AB Systemic acquired resistance (SAR) is a pathogen-induced disease resistance response in plants that is characterized by broad spectrum disease control and an assocd. coordinate expression of a set of SAR genes. Benzol(1,2,3)-thiadiazole-7-carbothioic acid S-Me ester (BTH) is a novel synthetic chem. capable of inducing disease resistance in a no. of dicotyledonous and monocotyledonous plant species. In this report, the response of tobacco plants to BTH treatment is characterized and the fact that it controls disease by activating SAR is demonstrated. BTH does not cause an accumulation of salicylic acid (SA), an intermediate in the SAR signal transduction pathway. As BTH also induces systemic acquired resistance and gene expression in transgenic plants expressing the nahG gene, it appears to activate the SAR signal transduction pathway at the site of or downstream of SA accumulation. BTH, SA and TMV induce the PR-1a promoter using similar cis-acting elements and gene expression is blocked by cycloheximide treatment. Thus, BTH induces SAR based on all of the physiol. and biochem. criteria that define SAR in tobacco.
IT **135158-54-2**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(systemic acquired resistance in tobacco induced by benzothiadiazole deriv.)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



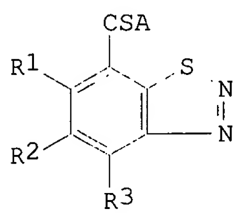
=> d bib abs hitstr l13 31

L13 ANSWER 31 OF 32 CAPLUS COPYRIGHT 1998 ACS
AN 1996:265844 CAPLUS
DN 125:5648
TI Benzothiadiazole, a novel class of inducers of systemic acquired resistance, activates gene expression and disease resistance in wheat
AU Goerlach, Joern; Volrath, Sandra; Knauf-Beiter, Gertrud; Hengy, Georges; Beckhove, Uli; Kogel, Karl-Heinz; Oostendorp, Michael; Staub, Theo; Ward, Eric; et al.
CS Ciba-Geigy Agricultural Biotechnology Research Unit, Research Triangle Park, NC, 27709-2257, USA
SO Plant Cell (1996), 8(4), 629-43
CODEN: PLCEEW; ISSN: 1040-4651
DT Journal
LA English
AB Systemic acquired resistance is an important component of the disease resistance repertoire of plants. In this study, a novel synthetic chem., benzo(1,2,3)thiadiazole-7-carbothioic acid S-Me ester (BTH), was shown to induce acquired resistance in wheat. BTH protected wheat systemically against powdery mildew infection by affecting multiple steps in the life cycle of the pathogen. The onset of resistance was accompanied by the induction of a no. of newly described wheat chem. induced (WCI) genes, including genes encoding a lipoxygenase and a sulfur-rich protein. With respect to both time and effectiveness, a tight correlation existed between the onset of resistance and the induction of the WCI genes. Compared with other plant activators, such as 2,6-dichloroisonicotinic acid and salicylic acid, BTH was the most potent inducer of both resistance and gene induction. BTH is being developed com. as a novel type of plant protection compd. that works by inducing the plant's inherent disease resistance mechanisms.
IT **135158-54-2**, Benzo(1,2,3)thiadiazole-7-carbothioic acid S-methyl ester
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(benzothiadiazole class of inducers of systemic acquired resistance act by inducing gene expression and disease resistance in wheat)
RN 135158-54-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-methyl ester (9CI) (CA INDEX NAME)



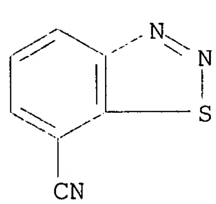
=> d bib abs hitstr l13 32

L13 ANSWER 32 OF 32 CAPLUS
AN 1991:471613 CAPLUS
DN 115:71613
TI Preparation of 1,2,3-benzothiadiazole derivatives and their use for protecting plants against diseases
IN Kunz, Walter; Schurter, Rolf
PA Ciba-Geigy A.-G., Switz.
SO Eur. Pat. Appl., 44 pp.
CODEN: EPXXDW
PI EP 420803 A2 910403
DS R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
AI EP 90-810705 900917
PRAI CH 89-3480 890926
CH 90-2483 900725
DT Patent
LA German
OS MARPAT 115:71613
GI



I

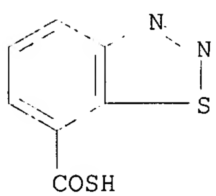
AB Title compds. I (R1, R2, R3 = H, halo, Me, MeO, MeS; A = R4O, R4S, R4 = H, metal ion, C1-18 alkyl, etc., R6R5N, R5, R6 = H, C1-18 alkyl, cyano, substituted C1-6 alkyl, alkoxyalkyl, C3-6 alkenyl, C3-6 alkynyl, etc., R6R5NNR7, R7 = H, C1-4 alkyl, R9R8C:NO, R8, R9 = H, C1-6 alkyl, NC, H2NCO, R7NHCONHCO, etc., R10ONR7, R10 = H, C1-6 alkyl, C3-6 alkenyl, C5-7 cycloalkyl, Ph, PhCH2, substituted benzothiadiazolyl) useful as plant fungicides, bactericides and virucides, are prepd. I, where R1 = R2 = R3 = H, A = OEt, at 0.02-0.06% controlled Puccinia graminis on wheat 80-100%.
IT **23615-90-9**, 1,2,3-Benzothiadiazole-7-carbonitrile
RL: RCT (Reactant)
(alcoholysis of)
RN 23615-90-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile (8CI, 9CI) (CA INDEX NAME)



IT **135158-34-8P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as pesticide)
RN 135158-34-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

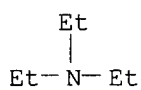
CM 1

CRN 126448-41-7
CMF C7 H4 N2 O S2

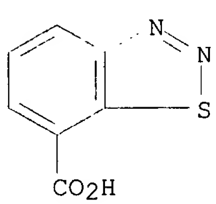


CM 2

CRN 121-44-8
CMF C6 H15 N



IT **35272-27-6**, 1,2,3-Benzothiadiazole-7-carboxylic acid **124371-45-5**
RL: RCT (Reactant)
(redn. of)
RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)

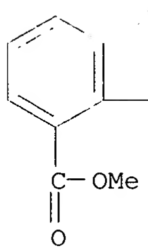


RN 124371-45-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 6-chloro-, methyl ester (9CI) (CA INDEX NAME)

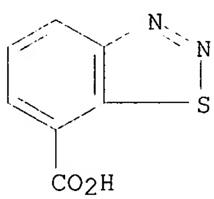
=> d bib abs hitstr l14

L14 ANSWER 1 OF 23 CAPLUS COPYRIGHT 1998 ACS
AN 1998:545369 CAPLUS
TI Promoters of plant pathogenesis-related proteins and chemical-induction of gene expression in transgenic plants
IN Ryals, John A.; Friedrich, Leslie B.; Uknes, Scott J.; Ward, Eric R.
PA Novartis Finance Corp., USA
SO U.S., 174 pp., Cont.-in-part of U. S. Ser. No. 42,847, abandoned.
CODEN: USXXAM
PI US 5789214 A 980804
AI US 95-455244 950531
PRAI US 88-165667 880308
US 88-165667 880308
US 89-305566 890206
US 89-329018 890324
US 89-368672 890620
US 89-425504 891020
US 89-425504 891020
US 90-580431 900907
US 90-632441 901221
US 91-678378 910401
US 91-768122 910927
US 92-848506 920306
US 92-973197 921106
US 93-42847 930406
US 93-45957 930412
US 93-93301 930716
US 94-181271 940113
DT Patent
LA English
AB The present invention provides chem. regulatable DNA sequences capable of regulating transcription of an assocd. DNA sequence in plants or plant tissues. The chem. regulatable DNA sequences of the invention are derived from the 5' region of genes encoding pathogenesis-related (PR) proteins. A method for exogenous regulation of gene expression in transgenic plants using said chem. regulatable DNA sequence is disclosed. Many pathogenesis-related genes or cDNAs from tobacco, Arabidopsis, cucumber and wheat were cloned and sequenced. Transgenic tobacco expressing these nucleic acids in sense and antisense orientations were created and analyzed for disease resistance. Transgenic tobacco expressing the Psuedomonas putida nahG gene, which converts salicylic acid to catechol, and transgenic tobacco expressing a chimeric PR-1a protein gene promoter-Bacillus thuringiensis .delta.-endotoxin gene were crossed. The resultant transgenic tobacco plants produced the .delta.-endotoxin in response to benzo-2,3-thiodiazole-7-carboxylic acid but not salicylic acid.
IT **23621-08-1 35272-27-6**, Benzo-1,2,3-thiadiazole-7-carboxylic acid **124370-16-7 124370-26-9 124371-34-2**
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(PR protein gene inducer; promoters of plant pathogenesis-related proteins and chem.-induction of gene expression in transgenic plants)
RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI)

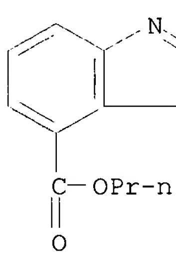
(CA INDEX NAME)



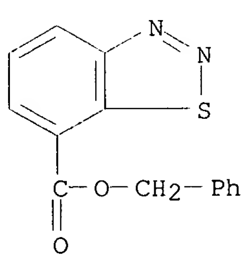
RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)



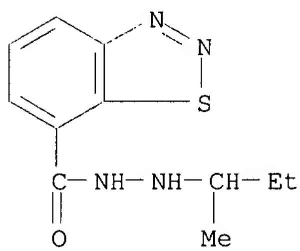
RN 124370-16-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, propyl ester (9CI) (CA INDEX NAME)



RN 124370-26-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 124371-34-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-(1-methylpropyl)hydrazide (9CI) (CA INDEX NAME)



=> d bib abs hitstr l14 2

```
L14  ANSWER 2 OF 23  CAPLUS  COPYRIGHT 1998 ACS
AN   1998:87754  CAPLUS
DN   128:137192
TI   Chemically-inducible Arabidopsis PR-1 promoter
IN   Lebel, Edouard Guillaume; Ryals, John Andrew; Thorne, Leigh; Uknes,
     Scott Joseph; Ward, Eric Russell
PA   Novartis Corp., USA; Lebel, Edouard Guillaume; Ryals, John Andrew;
     Thorne, Leigh; Uknes, Scott Joseph; Ward, Eric Russell
SO   PCT Int. Appl., 61 pp.
     CODEN: PIXXD2
PI   WO 9803536 A1  980129
DS   W: AU, BA, BB, BG, BR, CA, CN, CU, CZ, FI, GE, GH, HU, JP, KG, KR,
         KZ, LC, LK, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, TJ, UA,
         US, UZ, VN
     RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB,
         GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG
AI   WO 97-US12626  970718
PRAI US 96-27228  960723
DT   Patent
LA   English
AB   The nucleic acid sequence of the full-length, chem. inducible
     Arabidopsis PR-1 promoter has been discovered and is disclosed
     herein.  Furthermore, cis-acting regulatory elements in the
     Arabidopsis PR-1 promoter involved in chem. induction have been
     characterized using deletion and linker-scanning mutagenesis and in
     vivo footprinting.  At least a portion of the region of promoter
     between positions -698 and -621 (relative to the transcription start
     site of the PR-1 gene) is required for induction of gene expression
     by chems.  Two 10-bp linker-scanning mutations centered at 640-bp
     and 610-bp upstream from the transcription start site abolish the
     inducibility of the promoter while another 10-bp mutation centered
     at -670 bp results in av. induced expression levels 4-fold higher
     than the unmutated promoter.  Addnl., inducible in vivo footprints
     are located at positions -629 and -628 and at position -604 on the
     coding strand and at position -641 on the non-coding strand.  The
     use of chem. inducible Arabidopsis PR-1 promoter fragments to
     regulate gene expression in plants in the presence of inducing
     chems. such as salicylic acid (SA), 2,6-dichloroisonicotinic acid
     (INA) and benzothiadiazole (BTH) is disclosed, as well as the use of
     these elements for the isolation of transcriptional regulatory
     proteins involved in the promoter regulation and for the
     construction of inducible hybrid promoters.
IT   23615-90-9, 1,2,3-Benzothiadiazole-7-carbonitrile
     23621-08-1 35272-27-6, 1,2,3-Benzothiadiazole-7-
     carboxylic acid 124370-16-7 124370-26-9
     124370-91-8, 1,2,3-Benzothiadiazole-7-carboxamide
     124371-34-2 124371-39-7 126448-41-7
     RL: BAC (Biological activity or effector, except adverse); BIOL
     (Biological study)
        (promoter inducible by; chem.-inducible Arabidopsis PR-1 promoter
        for gene regulation)
RN   23615-90-9  CAPLUS
CN   1,2,3-Benzothiadiazole-7-carbonitrile (8CI, 9CI)  (CA INDEX NAME)
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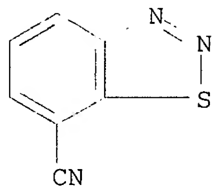
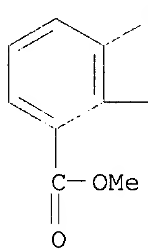
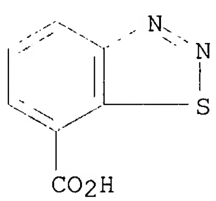


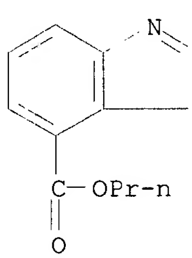
RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI) (CA INDEX NAME)



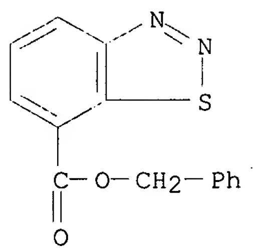
RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)



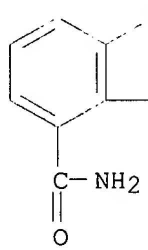
RN 124370-16-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, propyl ester (9CI) (CA INDEX NAME)



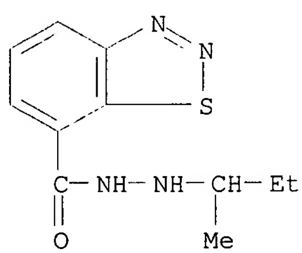
RN 124370-26-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, phenylmethyl ester (9CI) (CA INDEX NAME)



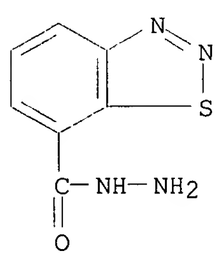
RN 124370-91-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide (9CI) (CA INDEX NAME)



RN 124371-34-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-(1-methylpropyl)hydrazide (9CI) (CA INDEX NAME)

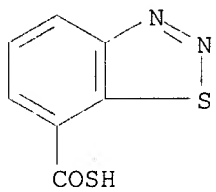


RN 124371-39-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, hydrazide (9CI) (CA INDEX NAME)



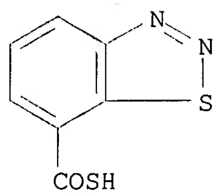
RN 126448-41-7 CAPLUS

CN 1,2,3-Benzothiadiazole-7-carbothioic acid (9CI) (CA INDEX NAME)



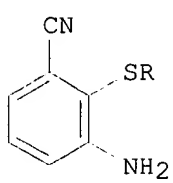
=> d bib abs hitstr l14 3

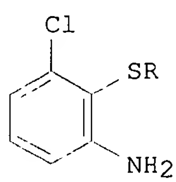
L14 ANSWER 3 OF 23 CAPLUS COPYRIGHT 1998 ACS
AN 1997:768764 CAPLUS
DN 128:45969
TI Differential expression of chitinases in Vitis vinifera L. responding to systemic acquired resistance activators or fungal challenge
AU Busam, Gunther; Kassemeyer, Hanns-Heinz; Matern, Ulrich
CS Institut fur Biologie II, Lehrstuhl fur Biochemie der Pflanzen, Universitat Freiburg, Freiburg, D-79104, Germany
SO Plant Physiol. (1997), 115(3), 1029-1038
CODEN: PLPHAY; ISSN: 0032-0889
PB American Society of Plant Physiologists
DT Journal
LA English
AB The concept of systemic acquired resistance (SAR) enables a novel approach to crop protection, and particular pathogenesis-related proteins, i.e. an acidic chitinase, have been classified as markers of the SAR response. Basic class I (VCHIT1b) and a class III (VCH3) chitinase cDNAs were cloned from cultured Vitis vinifera L. cv Pinot Noir cells and used to probe the induction response of grapevine cells to salicylic acid or yeast elicitor. Furthermore, the cells were treated with the com. SAR activators 2,6-dichloroiso-nicotinic acid or benzo(1,2,3)-thiadiazole-7-carbothioic acid S-Me ester. Elicitor or salicylic acid induced both VCHIT1b and VCH3 transcript abundances, whereas 2,6-dichloroiso-nicotinic acid or benzo(1,2,3)-thiadiazole-7-carbothioic acid S-Me ester enhanced exclusively the expression of VCH3. To assess the systemic sensation of chitinase expression, single leaves of Vitis vinifera L. cv Pinot Noir or Vitis rupestris plants were inoculated with Plasmopara viticola spore suspensions, and the VCH3 and VCHIT1b mRNA amts. in the infected vs. the adjacent, healthy leaf were monitored. Two VCH3 mRNA maxima were obsd. 2 and 6 d postinoculation in the infected, susceptible V. vinifera tissue, whereas in the healthy leaf the transcript increased from low levels d 2 postinoculation to prominent levels d 6 to 8 postinoculation. The level of VCH3 mRNA increased also over 4 d in the inoculated, resistant V. rupestris tissue. However, necrotic spots rapidly limited the infection, and the VCH3 transcript was undetectable in the upper-stage, healthy leaf. The expression of VCHIT1b remained negligible under either exptl. condition. Thus, the selective expression of VCH3 might be a reliable indicator of the SAR response in V. vinifera L.
IT **126448-41-7D**, Benzo(1,2,3)-thiadiazole-7-carbothioic acid, esters
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(expression of chitinases in Vitis vinifera responding to systemic acquired resistance activators or fungal challenge)
RN 126448-41-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid (9CI) (CA INDEX NAME)



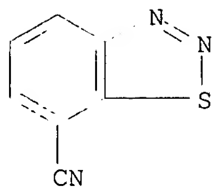
=> d bib abs hitstr l14 4

L14 ANSWER 4 OF 23 CAPLUS COPYRIGHT 1998 ACS
AN 1996:457767 CAPLUS
DN 125:114319
TI Process for the cyanation preparation of 3-amino-2-(organothio)benzonitriles from 3-chloro-2-(organothio)anilines
IN Breitschuh, Richard; Pugin, Benoit; Indolese, Adriano; Gisin, Verena
PA Ciba-Geigy A.-G., Switz.
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
PI WO 9611906 A1 960425
DS W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
AI WO 95-EP3936 951005
PRAI CH 94-3121 941017
CH 95-1743 950613
CH 95-2156 950721
DT Patent
LA English
OS MARPAT 125:114319
GI

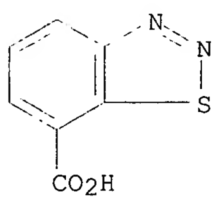

I


II

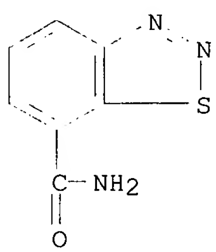
AB The title compds. [I; R = H, (un)substituted C1-12 alkyl, C3-8 cycloalkyl, COR1, etc; R1 = C1-8 alkyl, C3-8 cycloalkyl, Ph] [e.g., 3-amino-2-(isopropylthio)benzonitrile, m.p. 82.degree.] are prepd. by reacting a 3-chloro-2-(organothio)aniline [II; 3-chloro-2-(isopropylthio)aniline] with a cyano-donating reagent (e.g., a CuCN-and-pyridine complex). I are intermediates in the prepn. of benzothiadiazole-7-carboxylic acid, which is obtained by diazotizing and hydrolyzing I in any desired sequence.
IT **23615-90-9P**, 1,2,3-Benzothiadiazole-7-carbonitrile
35272-27-6P, 1,2,3-Benzothiadiazole-7-carboxylic acid
124370-91-8P, 1,2,3-Benzothiadiazole-7-carboxamide
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for the cyanation prepn. of 3-amino-2-(organothio)benzonitriles from 3-chloro-2-(organothio)anilines)
RN 23615-90-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile (8CI, 9CI) (CA INDEX NAME)



RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)

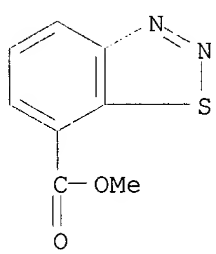


RN 124370-91-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide (9CI) (CA INDEX NAME)



=> d bib abs hitstr l14 5

L14 ANSWER 5 OF 23 CAPLUS
AN 1995:863579 CAPLUS
DN 123:251744
TI Systemic acquired resistance genes under the control of chemically-regulated promoters and their use in the development of pathogen resistant plants
IN Ryals, John A.; Alexander, Danny C.; Uknes, Scott J.; Ward, Eric R.
PA Ciba-Geigy A.-G., Switz.
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
PI WO 9519443 A2 950720
DS W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG
AI WO 95-IB2 950103
PRAI US 94-181271 940113
DT Patent
LA English
AB Plant SAR (systemic acquired resistance) genes under control of a chem.-regulated plant promoter are described for use in the construction of transgenic plants with an increased resistance to plant pathogens. Chem. inducible wheat genes, Arabidopsis chitinase IV, maize PR-1mz, and maize thaumatin PR-5mz are constructed and described. Differential screening methods for cloning SAR genes and chem. induced genes are also described. These genes include a no. that are transcribed in the absence of continuing protein synthesis. The preferred chem. regulatable promoter is from the Arabidopsis Pr-1 gene. A pair of genes for products that interact synergistically may be used to greatly increase the resistance of a transgenic plant to a pest.
IT **23621-08-1**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(SAR genes induced by; SAR genes under control of chem.-regulated promoters and their use in development of pathogen resistant plants)
RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI) (CA INDEX NAME)



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=> d bib abs hitstr l14 6

L14  ANSWER 6 OF 23  CAPLUS  COPYRIGHT 1998 ACS
AN   1995:382652  CAPLUS
DN   122:153405
TI   Exogenous regulation of gene expression in plants by the elimination
     of a signal transduction pathway
IN   Ryals, John A.; Friedrich, Leslie B.; Ward, Eric R.; Uknes, Scott
     J.; Gaffney, Thomas D.; Kessmann, Helmut; Vernooij, Bernard
PA   Ciba-Geigy A.-G., Switz.
SO   PCT Int. Appl., 28 pp.
     CODEN: PIXXD2
PI   WO 9424295 A1  941027
DS   W:  AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ,
         LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT,
         UA, US, UZ, VN
     RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR,
         IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG
AI   WO 94-US3933  940411
PRAI US 93-45957  930412
DT   Patent
LA   English
AB   A method is presented for the exogenous regulation of gene
     expression in plants, which comprises obtaining a plant incapable of
     regulating at least one gene or gene family, or at least one
     heterologous gene, due to the deactivation of at least one
     endogenous signal transduction cascade which regulates the gene in
     the plant, and applying a chem. regulator to the plant at a time
     when expression of the gene is desired.  Also disclosed is a method
     of assaying for chems. capable of exogenously regulating gene
     expression, as well as modified plants, plant tissue and plant cells
     incapable of regulating at least one gene, gene family or
     heterologous gene due to at least one deactivated signal
     transduction cascade.  This system was applied to the salicylic acid
     signal transduction system in which treatment of a plant by a
     necrogenic pathogen leads to accumulation of salicylic acid when
     then activates the coordinate induction of a set of .gtoreq.9
     systemic acquired resistance (SAR) gene families.  A DNA fragment
     encoding the Pseudomonas putida nahG gene for salicylate hydroxylase
     was cloned into the plant expression vector pCIB200 under the
     control of the cauliflower mosaic virus 35S promoter and then stably
     integrated into Nicotiana tabacum cv. Xanthi-nc via Agrobacterium
     tumefaciens-mediated transformation.  Expression of the nahG gene
     resulted in a significant block in salicylic acid accumulation in
     tobacco mosaic virus-treated transgenic plants, as well as
     elimination of the SAR transduction pathway.  SAR transduction can
     then be exogenously induced by benzo-1,2,3-thiodiazole-7-carboxylic
     acid, which acts downstream relative to salicylic acid in the signal
     transduction pathway.  The nahG-expression plant lines can be used
     in disease testing, assessment of the utility of transgenes for
     disease resistance, a tool in understanding plant-pathogen
     interactions, and use in fungicide screening.
IT   35272-27-6, 1,2,3-Benzothiadiazole-7-carboxylic acid
     RL: BAC (Biological activity or effector, except adverse); BIOL
     (Biological study)
        (exogenous regulation of disease resistance gene expression in
        plants by elimination of salicylate signal transduction pathway)
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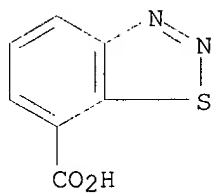
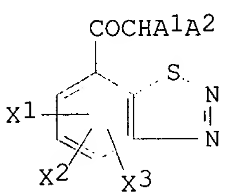
RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)



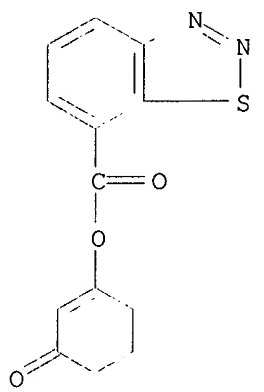
=> d bib abs hitstr l14 7

L14 ANSWER 7 OF 23 CAPLUS COPYRIGHT 1998 ACS
AN 1993:169110 CAPLUS
DN 118:169110
TI Preparation of benzo-1,2,3-thiadiazoles as agrochemical fungicides
IN Brunner, Hans Georg; Kunz, Walter; Schurter, Rolf
PA Ciba-Geigy A.-G., Switz.
SO Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
PI EP 517660 A1 921209
DS R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE
AI EP 92-810403 920526
PRAI CH 91-1668 910605
DT Patent
LA German
OS MARPAT 118:169110
GI

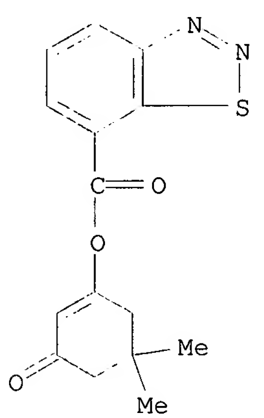


I

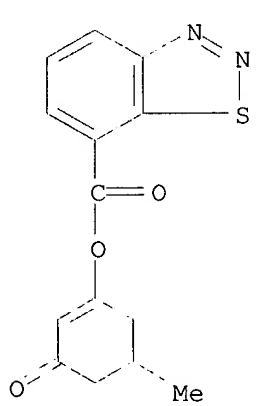
AB Title compds. I [A1, A2 = COC1-4 alkyl, CO2C1-4 alkyl, COCF3, CONR2, cyano or A1A2 = (substituted) CO(X)n-C1-3 alkylene-(X)nCO, CONRCONRCO; R = H, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl; X = O, S, NMe; X1-X3 = H, halo, Me, SMe, OMe, NO2; n = 0,1] and their salts were prepd. as pesticides, esp. agrochem. fungicides. Thus, a suspension of benzo-1,2,3-thiadiazole-7-carbonyl chloride in MeCN was added to a cooled soln. of MgCl2, Et3N and (EtO2C)2CH2 in MeCN. The soln. was stirred 1 h at 0.degree. then overnight at room temp. to give title compd. I [X1-X3 = H; A1 = A2 = CO2Et] (II) in 83.2% yield. A liq. spray contg. 0.02% II gave sp-100% control of Phytosphthora infestans on tomato plants.
IT **146421-29-6P 146421-30-9P 146421-31-0P**
146421-32-1P 146421-33-2P 146421-34-3P
146421-35-4P 146421-36-5P 146421-37-6P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as agrochem. fungicide)
RN 146421-29-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 3-oxo-1-cyclohexen-1-yl ester (9CI) (CA INDEX NAME)



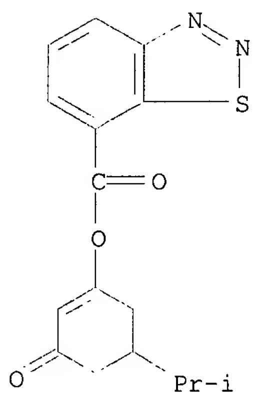
RN 146421-30-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 5,5-dimethyl-3-oxo-1-cyclohexen-1-yl ester (9CI) (CA INDEX NAME)



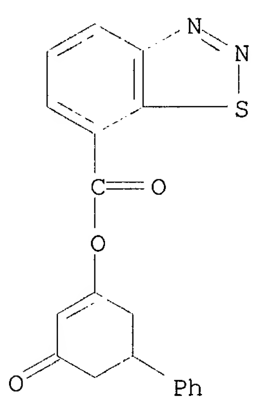
RN 146421-31-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 5-methyl-3-oxo-1-cyclohexen-1-yl ester (9CI) (CA INDEX NAME)



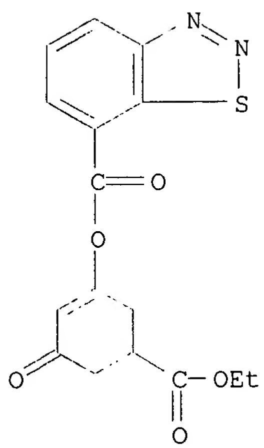
RN 146421-32-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 5-(1-methylethyl)-3-oxo-1-cyclohexen-1-yl ester (9CI) (CA INDEX NAME)



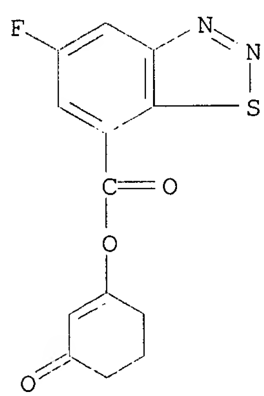
RN 146421-33-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 3-oxo-5-phenyl-1-cyclohexen-1-yl ester (9CI) (CA INDEX NAME)



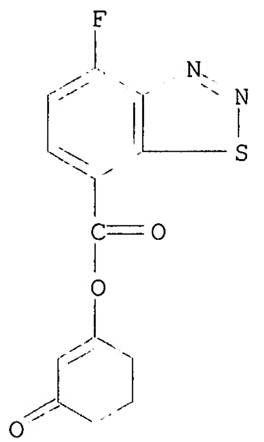
RN 146421-34-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 5-(ethoxycarbonyl)-3-oxo-1-cyclohexen-1-yl ester (9CI) (CA INDEX NAME)



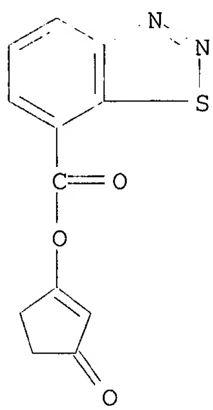
RN 146421-35-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 5-fluoro-,
3-oxo-1-cyclohexen-1-yl ester (9CI) (CA INDEX NAME)



RN 146421-36-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 4-fluoro-,
3-oxo-1-cyclohexen-1-yl ester (9CI) (CA INDEX NAME)

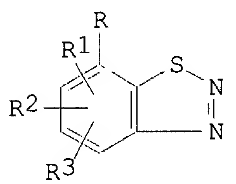


RN 146421-37-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 3-oxo-1-cyclopenten-1-yl
ester (9CI) (CA INDEX NAME)



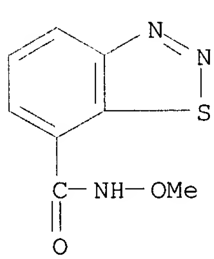
=> d bib abs hitstr l14 8

L14 ANSWER 8 OF 23 CAPLUS COPYRIGHT 1998 ACS
AN 1993:6978 CAPLUS
DN 118:6978
TI Preparation of 1,2,3-benzothiadiazoles as agrochemical microbicides
IN Kunz, Walter; Schurter, Rolf
PA Ciba-Geigy A.-G., Switz.
SO Eur. Pat. Appl., 54 pp.
CODEN: EPXXDW
PI EP 502473 A1 920909
DS R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE
AI EP 92-103607 920303
PRAI CH 91-666 910306
DT Patent
LA German
OS MARPAT 118:6978
GI



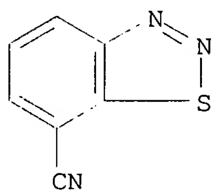
I

AB Title compds. [I; R = haloalkyl, acyl(methyl), CHO, CH:NNH2, etc.; R1-R3 = H, Me, OMe, SMe, halo, NO2] were prepd. Thus, I (R1-R3 = H) (II; R = CH2OH) was oxidized to II (R = CHO) which gave .gtoreq. 80% control of Colletotrichum lagenarium on cucumber plants when sprayed at 200 ppm.
IT **144581-05-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as agrochem. microbicide)
RN 144581-05-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-methoxy- (9CI) (CA INDEX NAME)

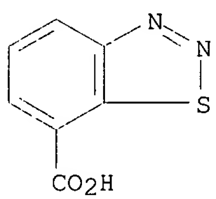


IT **23615-90-9**, 1,2,3-Benzothiadiazole-7-carbonitrile
35272-27-6, 1,2,3-Benzothiadiazole-7-carboxylic acid
124371-11-5 **124371-45-5**
RL: RCT (Reactant)

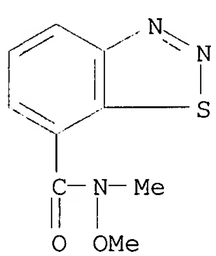
(reaction of, in prepn. of agrochem. microbicides)
RN 23615-90-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile (8CI, 9CI) (CA INDEX NAME)



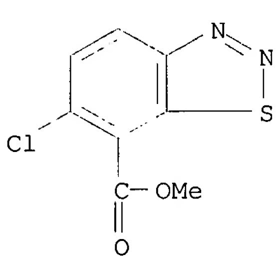
RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)



RN 124371-11-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-methoxy-N-methyl- (9CI) (CA INDEX NAME)

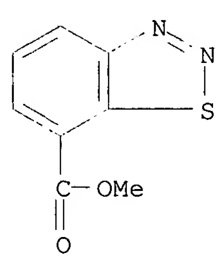


RN 124371-45-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 6-chloro-, methyl ester (9CI) (CA INDEX NAME)



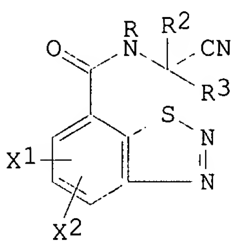
=> d bib abs hitstr l14 9

L14 ANSWER 9 OF 23 CAPLUS COPYRIGHT 1998 ACS
AN 1991:628558 CAPLUS
DN 115:228558
TI Systemic resistance to downy mildew and appearance of acid soluble proteins in cucumber leaves treated with biotic and abiotic inducers
AU Okuno, Tetsuro; Nakayama, Masaharu; Okajima, Nobuyuki; Furusawa, Iwao
CS Agro Div., Takeda Chem. Ind., Ltd., Kyoto, 606-01, Japan
SO Nippon Shokubutsu Byori Gakkaiho (1991), 57(2), 203-11
CODEN: NSBGAM; ISSN: 0031-9473
DT Journal
LA English
AB Spraying cucumber leaves with salicylic acid (SA), 7-methoxycarbonyl benzo-1,2,3-thiadiazol and ethephon (abiotic inducers) reduced the diseased area caused by Pseudoperonospora cubensis by more than 50% in the sprayed 1st leaves and also in the upper 2nd leaves provided challenge inoculation was made 3 to 6 days but not 1 to 24 h after treatment. Localized infection of cotyledons with P. cubensis (biotic inducer) also reduced the diseased area caused by the same pathogen by more than 50% in the upper leaves challenge-inoculated 6 days after inducer inoculation. Plants acquired systemic resistance when inoculated cotyledons remained attached for at least 3 days after inoculation. Protection by both abiotic and biotic inducers was more prominent in the 2nd leaves which expanded after induction than in the 1st leaves which expanded before induction. Thus, systemic resistance induced in cucumber plants by either biotic or abiotic inducers was effective in controlling infection by P. cubensis whose cell walls contain no chitin. Electrophoretic anal. of extd. proteins on polyacrylamide gel showed that both the SA treatment and localized infection with P. cubensis induced several novel acid sol. proteins in the treated and the upper untreated leaves in correlation with induced resistance.
IT **23621-08-1**
RL: BIOL (Biological study)
(systemic resistance to downy mildew induction by, in cucumber leaves, acid sol. protein in relation to)
RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI) (CA INDEX NAME)

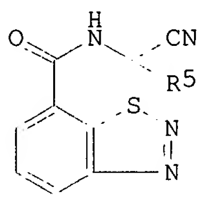


=> d bib abs hitstr l14 10

L14 ANSWER 10 OF 23 CAPLUS COPYRIGHT 1998 ACS
AN 1991:122374 CAPLUS
DN 114:122374
TI Preparation of 7-N-(cyanomethyl)carbamoyl]-1,2,3-benzothiadiazoles as agrochemical microbiocides
IN Kunz, Walter; Schurter, Rolf; Nyfeler, Robert
PA Ciba-Geigy A.-G., Switz.
SO Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
PI EP 387195 A1 900912
DS R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
AI EP 90-810151 900227
PRAI CH 89-864 890308
DT Patent
LA German
OS MARPAT 114:122374
GI

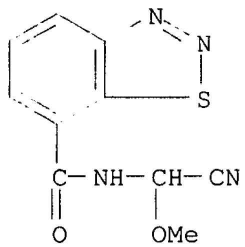


I

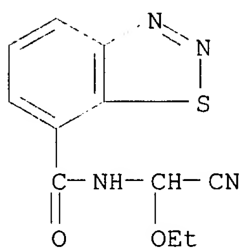


II

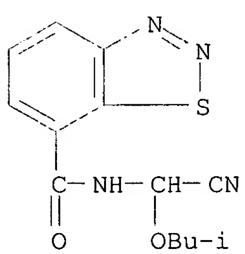
AB The title compds. [I; X1, X2 = H, halo; R = H, alkyl, alkenyl, SR1; R1 = fluoro- or chloroalkyl; R2 = (halo-) (O-interrupted) alkyl, alkylthio, (substituted) alkoxy, (halo)furyl, thienyl; R3 = H, alkyl], were prepd. Thus, cyanomethyl deriv. II in THF/EtOAc was treated with HBr/Br at 40.degree., after 1h the mixt. was cooled to .apprx.40.degree., treated with EtOH and Et3N in EtOAc, and warmed to room temp. to give II (R5 = OEt). The latter as a 0.02% spray gave 80-100% control of Phytophthora infestans on tomato plants.
IT **132391-94-7P 132391-95-8P 132391-96-9P**
132391-97-0P 132391-98-1P 132391-99-2P
132392-00-8P 132392-01-9P 132392-02-0P
132392-03-1P 132392-04-2P 132392-06-4P
132392-07-5P 132392-08-6P 132392-09-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as agrochem. microbiocide)
RN 132391-94-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(cyanomethoxymethyl)- (9CI) (CA INDEX NAME)



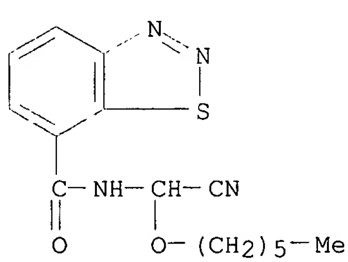
RN 132391-95-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(cyanoethoxymethyl)- (9CI) (CA INDEX NAME)



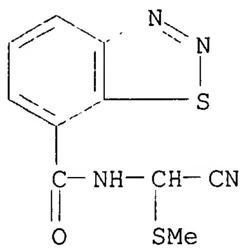
RN 132391-96-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[cyano(2-methylpropoxy)methyl]- (9CI) (CA INDEX NAME)



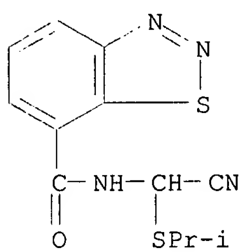
RN 132391-97-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[cyano(hexyloxy)methyl]- (9CI) (CA INDEX NAME)



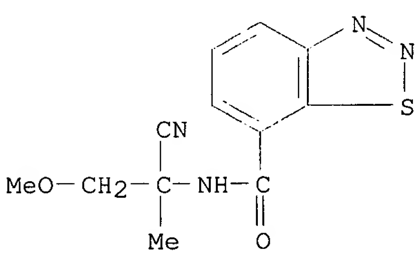
RN 132391-98-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[cyano(methylthio)methyl]- (9CI) (CA INDEX NAME)



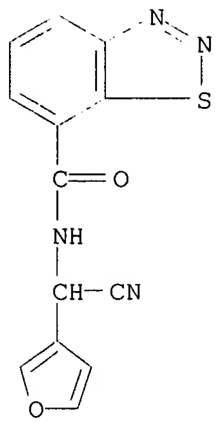
RN 132391-99-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[cyano[(1-methylethyl)thio]methyl]- (9CI) (CA INDEX NAME)



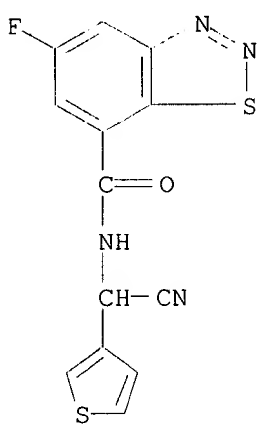
RN 132392-00-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(1-cyano-2-methoxy-1-methylethyl)- (9CI) (CA INDEX NAME)



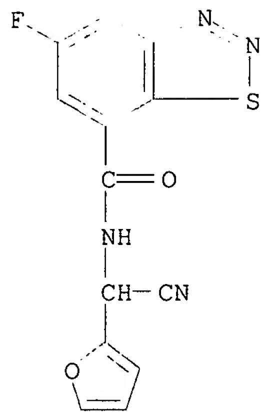
RN 132392-01-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(cyano-3-furanylmethyl)- (9CI) (CA INDEX NAME)



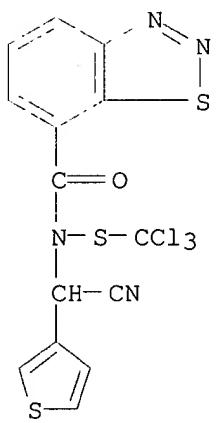
RN 132392-02-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(cyano-3-thienylmethyl)-5-fluoro- (9CI) (CA INDEX NAME)



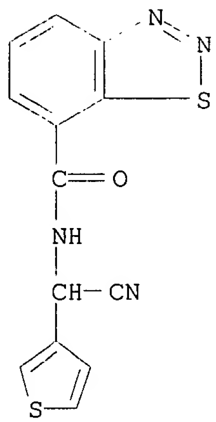
RN 132392-03-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(cyano-2-furanylmethyl)-5-fluoro- (9CI) (CA INDEX NAME)



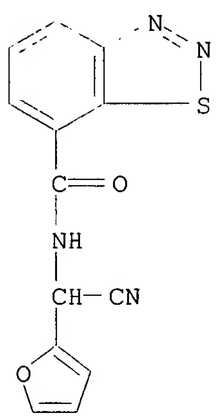
RN 132392-04-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(cyano-3-thienylmethyl)-N-[(trichloromethyl)thio]- (9CI) (CA INDEX NAME)



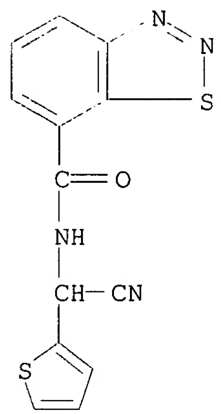
RN 132392-06-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(cyano-3-thienylmethyl)- (9CI) (CA INDEX NAME)



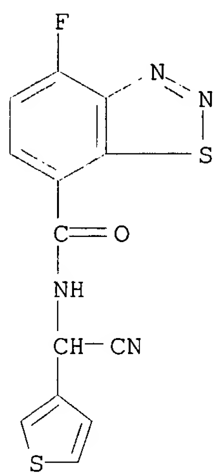
RN 132392-07-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(cyano-2-furanylmethyl)-
(9CI) (CA INDEX NAME)



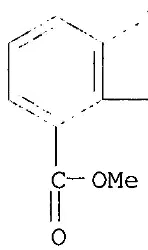
RN 132392-08-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(cyano-2-thienylmethyl)-
(9CI) (CA INDEX NAME)



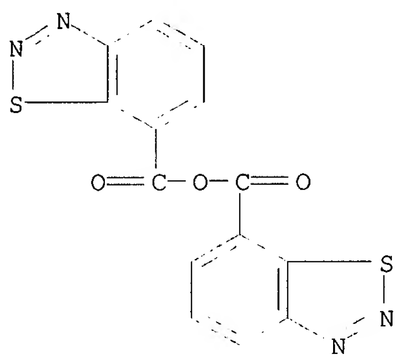
RN 132392-09-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(cyano-3-thienylmethyl)-4-fluoro- (9CI) (CA INDEX NAME)



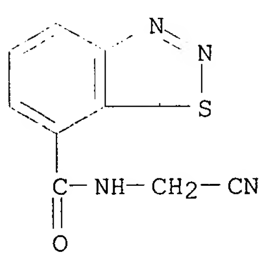
IT **23621-08-1P 124371-50-2P**, 1,2,3-Benzothiadiazole 7-carboxylic acid anhydride
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for agrochem. microbiocide)
RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI) (CA INDEX NAME)



RN 124371-50-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, anhydride (9CI) (CA INDEX NAME)

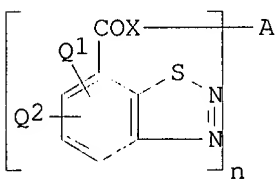


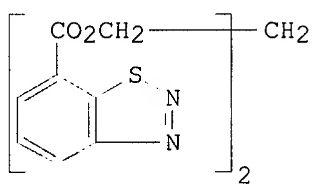
IT **132392-05-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., bromination, and ethoxylation of, in prepn. of agrochem. microbiocide)
RN 132392-05-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(cyanomethyl)- (9CI) (CA INDEX NAME)



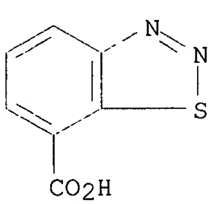
=> d bib abs hitstr l14 11

L14 ANSWER 11 OF 23 CAPLUS COPYRIGHT 1998 ACS
AN 1991:122373 CAPLUS
DN 114:122373
TI Preparation of acylbenzothiadiazoles as agrochemical microbiocides
IN Schurter, Rolf; Kunz, Walter
PA Ciba-Geigy A.-G., Switz.
SO Ger. Offen., 21 pp.
CODEN: GWXXBX
PI DE 4005175 A1 900823
AI DE 90-4005175 900219
PRAI CH 89-616 890221
DT Patent
LA German
OS MARPAT 114:122373
GI


I

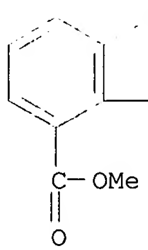

II

AB The title compds. [I; Q1, Q2 = H, halo; X = O, S, (substituted) imino; n = 2-6; A = (O-, S-, CO- or imino-interrupted) (substituted) alkylene, cycloalkylene, phenylene, alkenylene, alkynylene], were prepd. Thus, 1,2,3-benzothiadiazole-7-carbonyl chloride in PhMe was added to HO(CH2)3OH and Et3N in PhMe at 20.degree. and the mixt. was stirred 16 h to give 90% title compd. II. II at 200 ppm gave 80-100% control of Colletotrichum lagenarium on Cucumis sativus.
IT **35272-27-6**, 1,2,3-Benzothiadiazole-7-carboxylic acid
RL: RCT (Reactant)
(condensation of, with alkylene dihalides, in prepn. of agrochem. microbiocides)
RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)

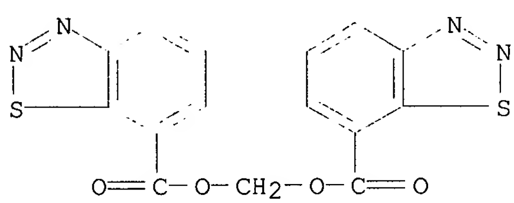


IT **23621-08-1**
RL: PROC (Process)
(conversion of, to hydrazide, in prepn. of agrochem. microbiocide)

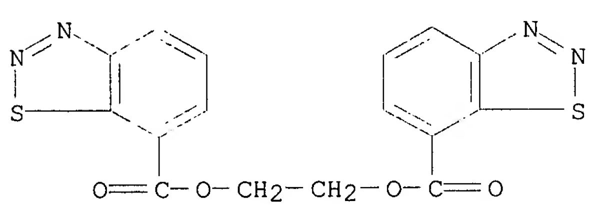
RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI)
(CA INDEX NAME)



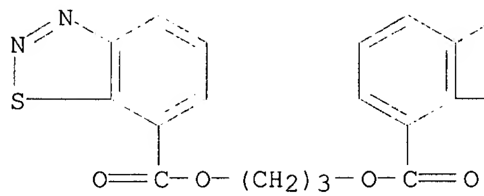
IT **131817-57-7P 131817-58-8P 131817-59-9P**
131817-60-2P 131817-61-3P 131817-62-4P
131817-63-5P 131817-64-6P 131817-65-7P
131817-66-8P 131817-67-9P 131817-68-0P
131817-69-1P 131817-70-4P 131817-71-5P
131817-72-6P 131817-73-7P 131817-74-8P
131817-75-9P 131817-76-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as agrochem. microbiocide)
RN 131817-57-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methylene ester (9CI) (CA
INDEX NAME)



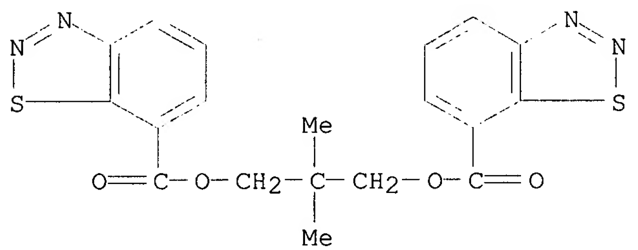
RN 131817-58-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 1,2-ethanediyl ester (9CI)
(CA INDEX NAME)



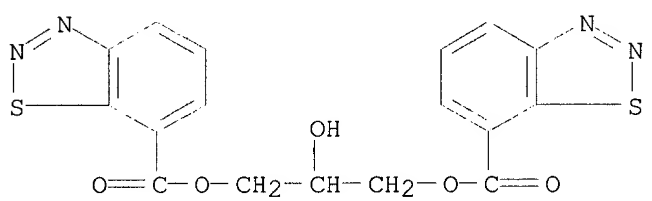
RN 131817-59-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 1,3-propanediyl ester
(9CI) (CA INDEX NAME)



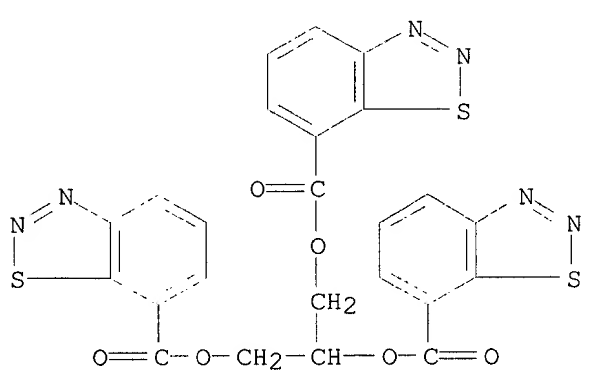
RN 131817-60-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2,2-dimethyl-1,3-propanediyl ester (9CI) (CA INDEX NAME)



RN 131817-61-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-hydroxy-1,3-propanediyl ester (9CI) (CA INDEX NAME)

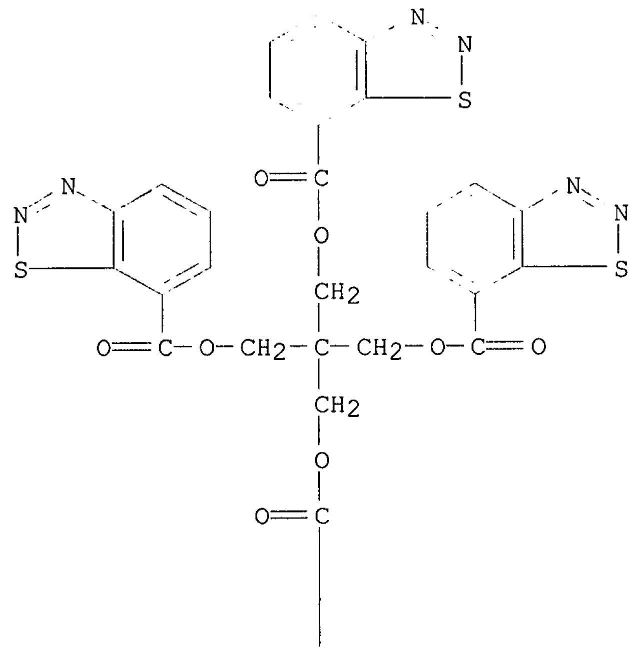


RN 131817-62-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 1,2,3-propanetriyl ester (9CI) (CA INDEX NAME)

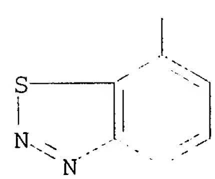


RN 131817-63-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2,2-bis[[(1,2,3-benzothiadiazol-7-ylcarbonyl)oxy]methyl]-1,3-propanediyl ester (9CI) (CA INDEX NAME)

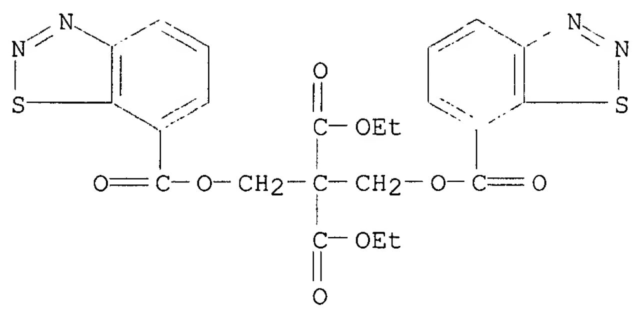
PAGE 1-A



PAGE 2-A

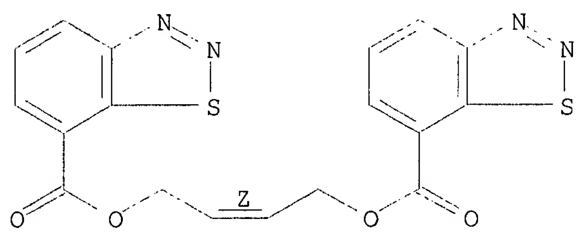


RN 131817-64-6 CAPLUS
CN Propanedioic acid, bis[[(1,2,3-benzothiadiazol-7-ylcarbonyl)oxy]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



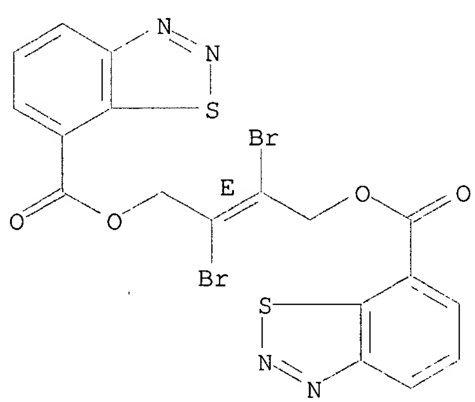
RN 131817-65-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-butene-1,4-diyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

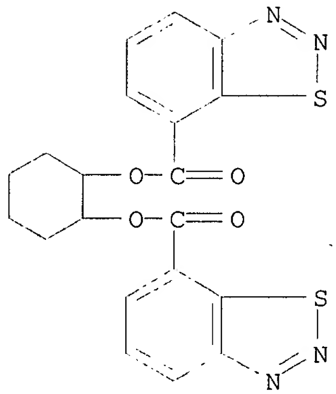


RN 131817-66-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2,3-dibromo-2-butene-1,4-diyl ester, (E)- (9CI) (CA INDEX NAME)

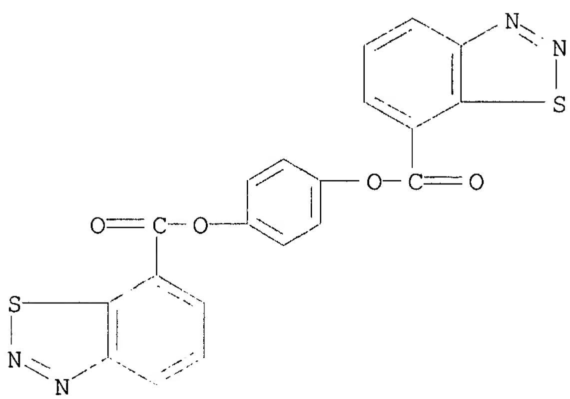
Double bond geometry as shown.



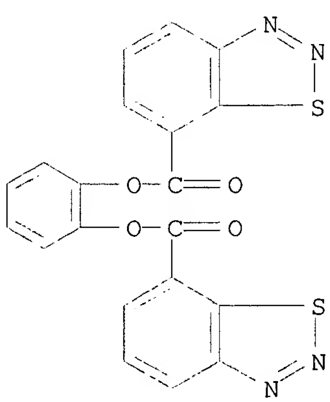
RN 131817-67-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 1,2-cyclohexanediyl ester (9CI) (CA INDEX NAME)



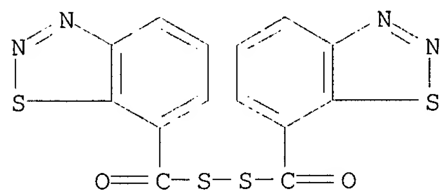
RN 131817-68-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 1,4-phenylene ester (9CI)
(CA INDEX NAME)



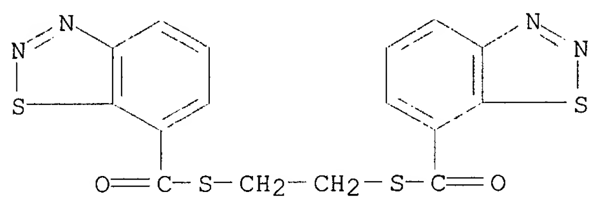
RN 131817-69-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 1,2-phenylene ester (9CI)
(CA INDEX NAME)



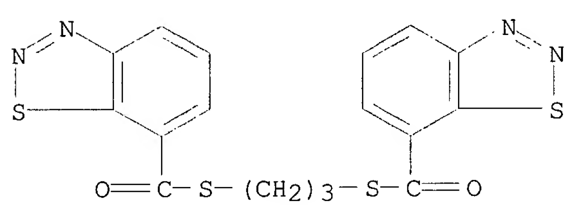
RN 131817-70-4 CAPLUS
CN 1,2,3-Benzothiadiazole, 7,7'-(dithiodicarbonyl)bis- (9CI) (CA INDEX NAME)



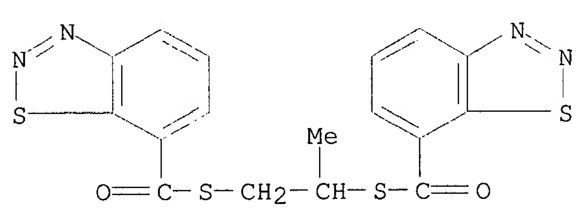
RN 131817-71-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S,S'-1,2-ethanediyl ester (9CI) (CA INDEX NAME)



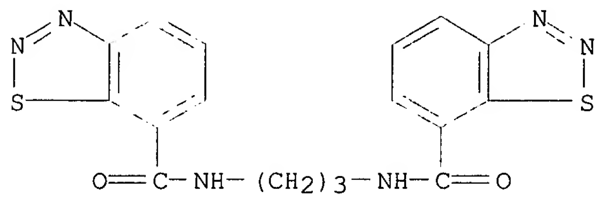
RN 131817-72-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S,S'-1,3-propanediyl ester (9CI) (CA INDEX NAME)



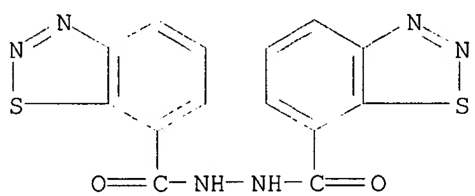
RN 131817-73-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S,S'-(1-methyl-1,2-ethanediyl) ester (9CI) (CA INDEX NAME)



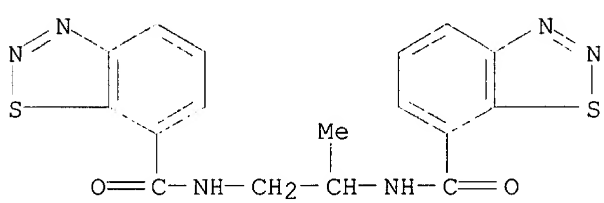
RN 131817-74-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N,N'-1,3-propanediylbis- (9CI) (CA INDEX NAME)



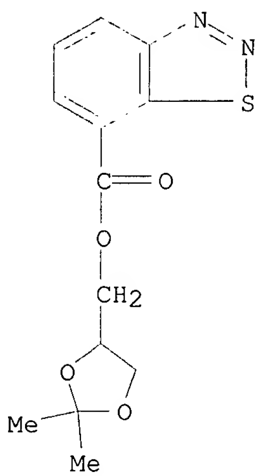
RN 131817-75-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-(1,2,3-benzothiadiazol-7-ylcarbonyl)hydrazide (9CI) (CA INDEX NAME)



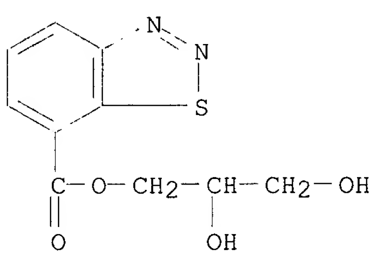
RN 131817-76-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N,N'-(1-methyl-1,2-ethanediyl)bis- (9CI) (CA INDEX NAME)



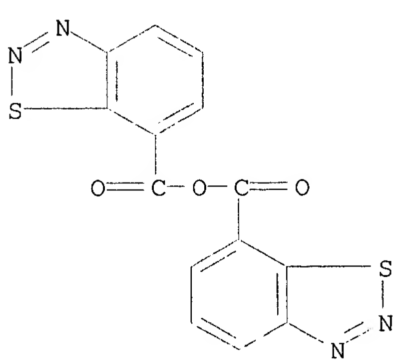
IT **124370-76-9P 124370-77-0P 124371-50-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for agrochem. microbiocide)
RN 124370-76-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (2,2-dimethyl-1,3-dioxolan-4-yl)methyl ester (9CI) (CA INDEX NAME)



RN 124370-77-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2,3-dihydroxypropyl ester (9CI) (CA INDEX NAME)

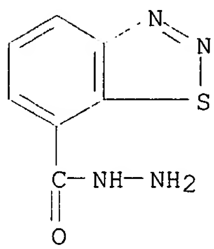


RN 124371-50-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, anhydride (9CI) (CA INDEX NAME)



IT **124371-39-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediates for agrochem. microbiocide)

RN 124371-39-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, hydrazide (9CI) (CA INDEX NAME)



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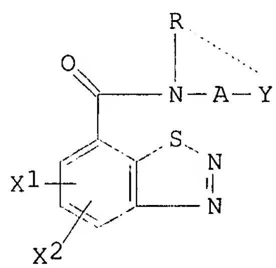
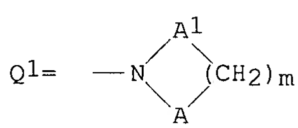
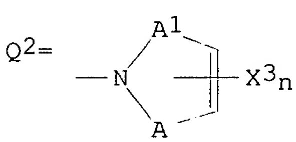
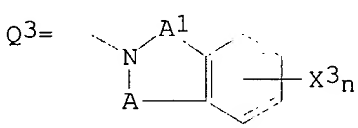
L14 ANSWER 12 OF 23 CAPLUS COPYRIGHT 1998 ACS
AN 1991:102002 CAPLUS
DN 114:102002
TI Preparation of 7-carbamoylbenzo-1,2,3-thiadiazoles as agrochemical microbiocides
IN Kunz, Walter; Schurter, Rolf
PA Ciba-Geigy A.-G., Switz.
SO Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
PI EP 384889 A2 900829
DS R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL
AI EP 90-810097 900213
PRAI CH 89-617 890221
DT Patent
LA German
OS MARPAT 114:102002
GI

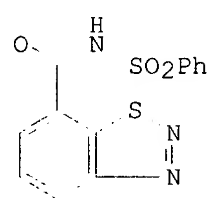


I



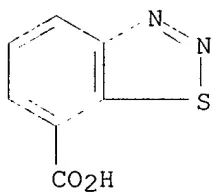




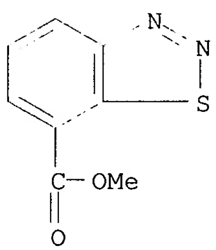


II

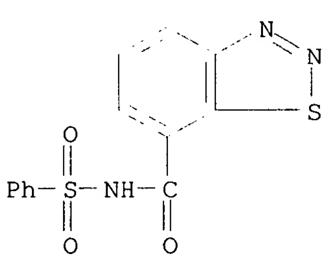
AB The title compds. [I; X1, X2 = H, halo; A = SO2, CO; Y = (substituted) Ph; R = H, alkyl, haloalkyl, alkenyl, alkynyl; dotted line = optional bond complexing a group Q1-Q3; A = undefined; A1 = CH2, CO, SO2; X3 = H, Me, halo; m = 2-4; n = 0-2], were prepd. Thus, PhSO2NH2 in pyridine at 0-5.degree. was treated with benzo-1,2,3-thiadiazole-1-carbonyl chloride in CH2Cl2 and the mixt. was stirred overnite to give title compd. II. Several I as 0.02% sprays gave 80-100% control of Plasmopora viticola on grapevines.
IT **35272-27-6**, 1,2,3-Benzothiadiazole-7-carboxylic acid
RL: PROC (Process)
(conversion of, to anhydride)
RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)



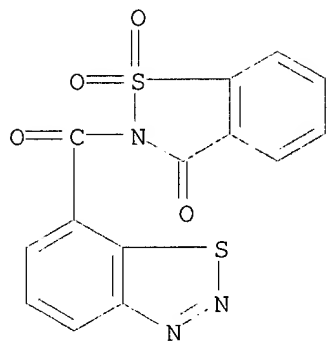
IT 23621-08-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amidation of)
RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI)
(CA INDEX NAME)



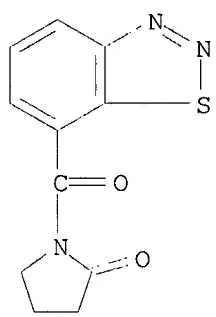
IT **132007-98-8P 132007-99-9P 132008-00-5P**
132008-02-7P 132008-03-8P 132008-04-9P
132008-05-0P 132008-06-1P 132008-07-2P
132008-08-3P 132008-10-7P 132008-11-8P
132008-12-9P 132008-13-0P 132008-14-1P
132008-15-2P 132008-16-3P 132008-17-4P
132008-18-5P 132030-31-0P 132030-32-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as agrochem. microbiocide)
RN 132007-98-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 132007-99-9 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 2-(1,2,3-benzothiadiazol-7-ylcarbonyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



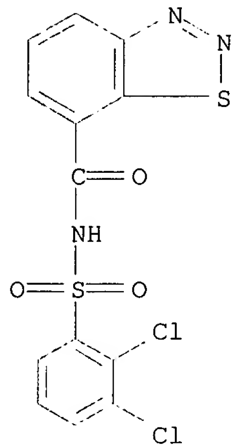
RN 132008-00-5 CAPLUS
CN 2-Pyrrolidinone, 1-(1,2,3-benzothiadiazol-7-ylcarbonyl)- (9CI) (CA INDEX NAME)



RN 132008-02-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[(2,3-dichlorophenyl)sulfonyl]-, compd. with pyridine (1:1) (9CI) (CA INDEX NAME)

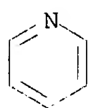
CM 1

CRN 132008-01-6
CMF C13 H7 Cl2 N3 O3 S2

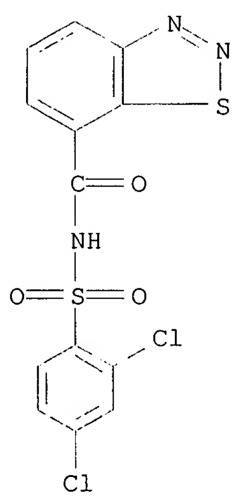


CM 2

CRN 110-86-1
CMF C5 H5 N

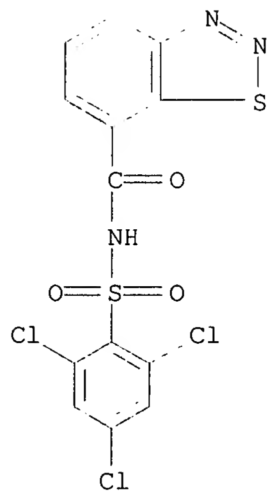


RN 132008-03-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[(2,4-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

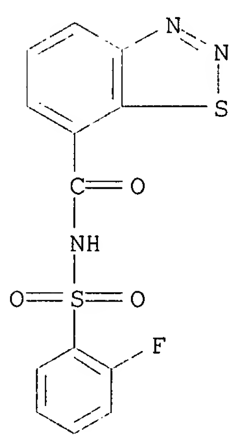


RN 132008-04-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[(2,4,6-

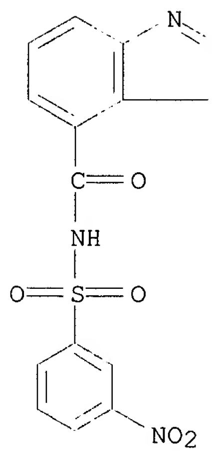
trichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



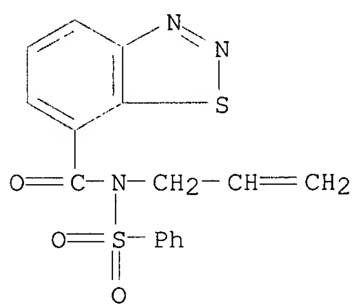
RN 132008-05-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[(2-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



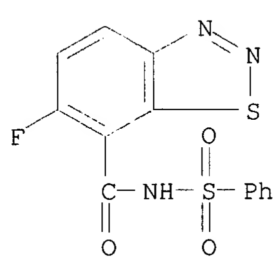
RN 132008-06-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[(3-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 132008-07-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(phenylsulfonyl)-N-2-propenyl- (9CI) (CA INDEX NAME)



RN 132008-08-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, 6-fluoro-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 132008-10-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[(2,3-dichlorophenyl)sulfonyl]-5-fluoro-, compd. with pyridine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 132008-09-4
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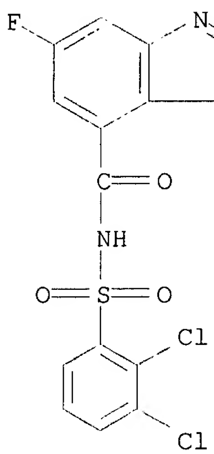

CM 2

CRN 110-86-1
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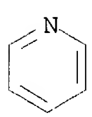
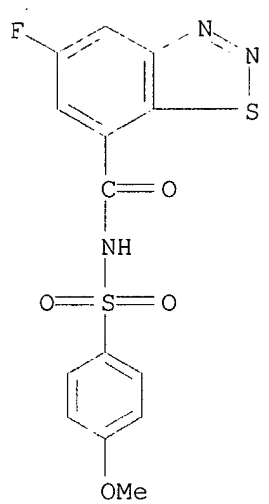
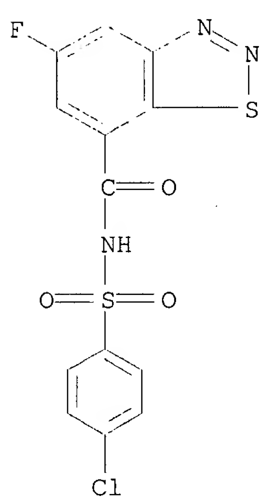


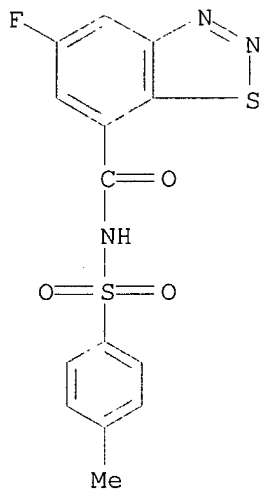
RN 132008-11-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, 5-fluoro-N-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



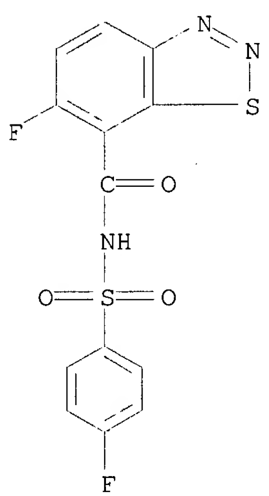
RN 132008-12-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[(4-chlorophenyl)sulfonyl]-5-fluoro- (9CI) (CA INDEX NAME)



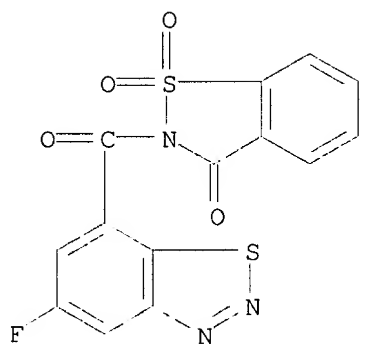
RN 132008-13-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, 5-fluoro-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



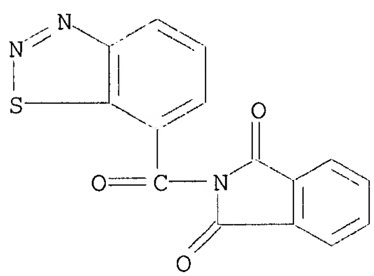
RN 132008-14-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, 6-fluoro-N-[(4-
fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



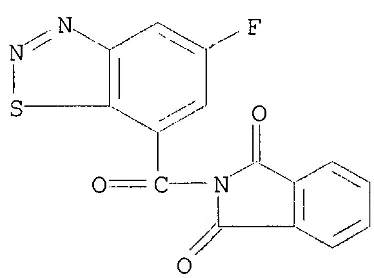
RN 132008-15-2 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 2-[(5-fluoro-1,2,3-benzothiadiazol-7-
yl)carbonyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



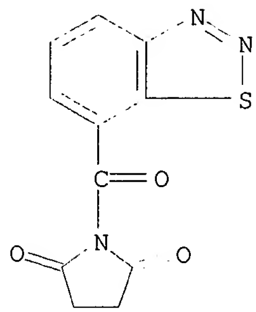
RN 132008-16-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-(1,2,3-benzothiadiazol-7-ylcarbonyl)-
(9CI) (CA INDEX NAME)



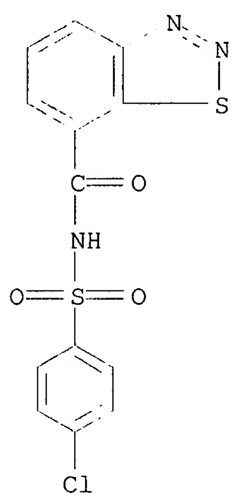
RN 132008-17-4 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[(5-fluoro-1,2,3-benzothiadiazol-7-
yl)carbonyl]- (9CI) (CA INDEX NAME)



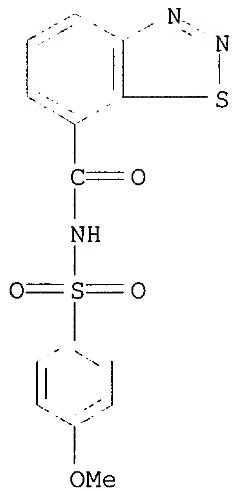
RN 132008-18-5 CAPLUS
CN 2,5-Pyrrolidinedione, 1-(1,2,3-benzothiadiazol-7-ylcarbonyl)- (9CI)
(CA INDEX NAME)



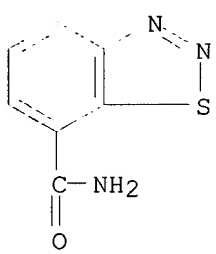
RN 132030-31-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[(4-chlorophenyl)sulfonyl]-
(9CI) (CA INDEX NAME)



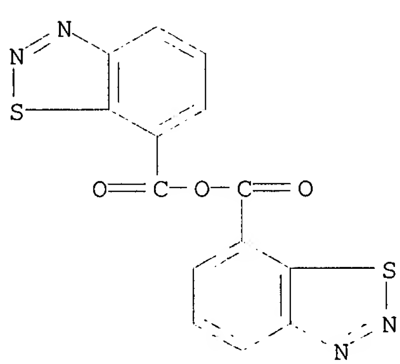
RN 132030-32-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[(4-methoxyphenyl)sulfonyl]-
(9CI) (CA INDEX NAME)



IT 124370-91-8P, 1,2,3-Benzothiadiazole-7-carboxamide
124371-50-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for agrochem. microbiocide)
RN 124370-91-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide (9CI) (CA INDEX NAME)



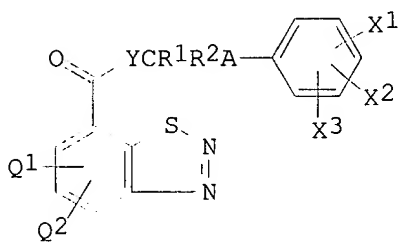
RN 124371-50-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, anhydride (9CI) (CA INDEX NAME)



=> d bib abs hitstr l14 13

L14 ANSWER 13 OF 23 CAPLUS

AN 1991:81840 CAPLUS
DN 114:81840
TI Preparation of 7-acylbenzo-1,2,3-thiadiazoles as agrochemical microbiocides
IN Kunz, Walter; Schurter, Rolf
PA Ciba-Geigy A.-G., Switz.
SO Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
PI EP 384890 A2 900829
DS R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL
AI EP 90-810098 900213
PRAI CH 89-615 890221
DT Patent
LA German
OS MARPAT 114:81840
GI



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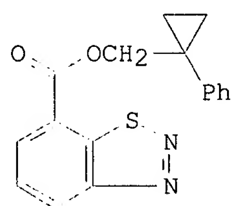
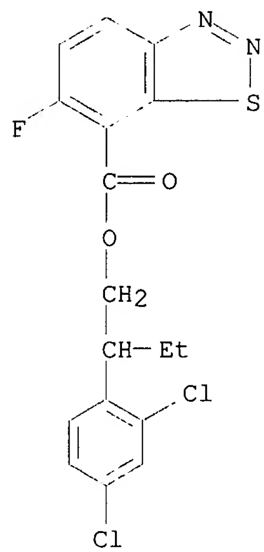


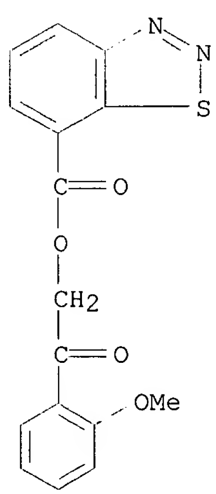
II

AB The title compds. [I; R1, R2 = H, alkyl; X1 = H, halo, CF3, cyano, NO2, Me2N (halo) alkyl, alkoxy, (substituted) Ph; X2 = H, halo, Me; Q1, Q2, X3 = H, halo; A = CO, CH:CH, C.tplbond.C, CR3R4, SiR5R6; R3 = H, alkyl, alkenyl, OH, alkoxy, alkyl, CO2H, alkoxycarbonyl, cyano, R4 = H, alkyl, alkoxy; CR3R4 = carbocyclyl, heterocyclyl; R5 = alkyl, cycloalkyl, alkoxy, (substituted) Ph; R6 = alkyl, alkoxy; Y = O, S] were prepd. Thus, a mixt. of 1-phenylcyclopropanemethanol, Et3N, and 4-dimethylaminopyridine in CH2Cl2 at .ltoreq.15.degree. was treated with benzo-1,2,3-thiadiazole-7-carbonyl chloride in CH2Cl2 and the mixt. was kept overnight to give title compd. II. II at 200 ppm gave 80-100% control of Colletotrichum lagenarium on cucumber plants.

IT **131817-56-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 131817-56-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 6-fluoro-, 2-(2,4-dichlorophenyl)butyl ester (9CI) (CA INDEX NAME)

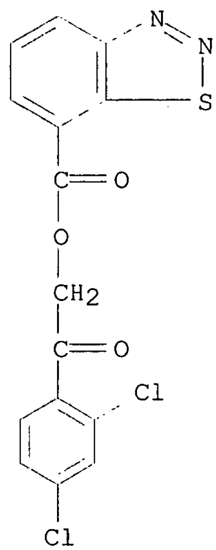


IT 131817-26-0P 131817-27-1P 131817-28-2P
131817-29-3P 131817-30-6P 131817-31-7P
131817-32-8P 131817-33-9P 131817-34-0P
131817-35-1P 131817-36-2P 131817-37-3P
131817-38-4P 131817-39-5P 131817-40-8P
131817-41-9P 131817-42-0P 131817-43-1P
131817-44-2P 131817-45-3P 131817-46-4P
131817-47-5P 131817-48-6P 131817-49-7P
131817-50-0P 131817-51-1P 131817-52-2P
131817-53-3P 131817-54-4P 131817-55-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as agrochem. microbiocide)
RN 131817-26-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-(2-methoxyphenyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)

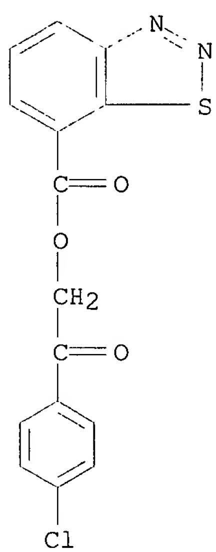


RN 131817-27-1 CAPLUS

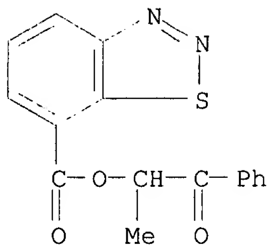
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-(2,4-dichlorophenyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)



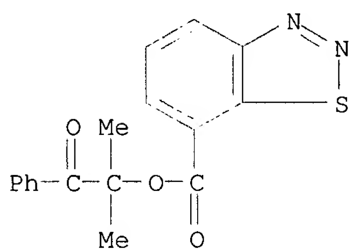
RN 131817-28-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-(4-chlorophenyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)



RN 131817-29-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 1-methyl-2-oxo-2-phenylethyl ester (9CI) (CA INDEX NAME)

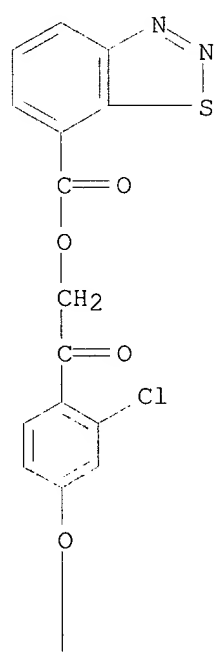


RN 131817-30-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 1,1-dimethyl-2-oxo-2-phenylethyl ester (9CI) (CA INDEX NAME)

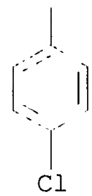


RN 131817-31-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-[2-chloro-4-(4-chlorophenoxy)phenyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

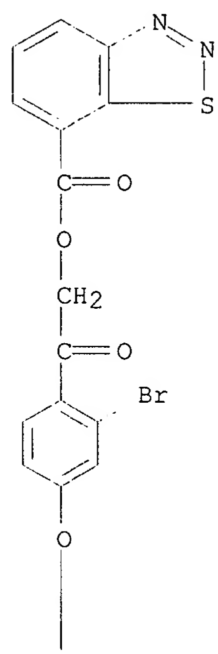


PAGE 2-A

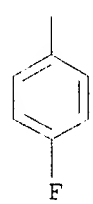


RN 131817-32-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-[2-bromo-4-(4-fluorophenoxy)phenyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

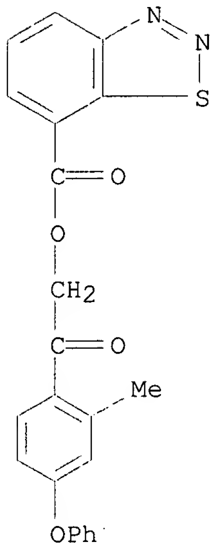
PAGE 1-A



PAGE 2-A

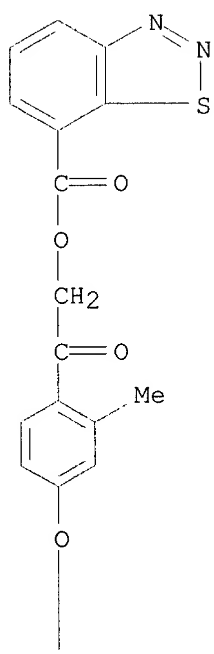


RN 131817-33-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-(2-methyl-4-phenoxyphenyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)

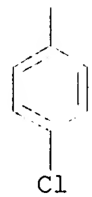


RN 131817-34-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-[4-(4-chlorophenoxy)-2-methylphenyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

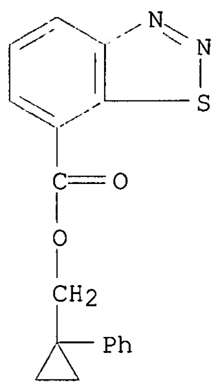
PAGE 1-A



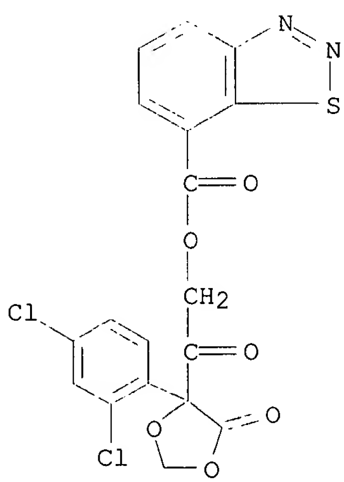
PAGE 2-A



RN 131817-35-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (1-phenylcyclopropyl)methyl ester (9CI) (CA INDEX NAME)

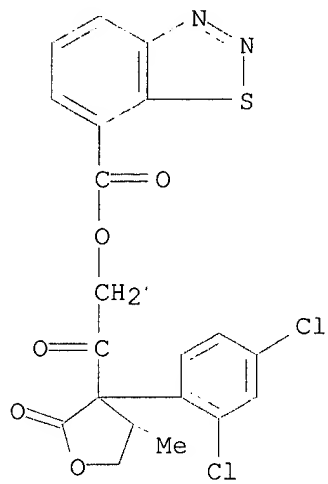


RN 131817-36-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-[4-(2,4-dichlorophenyl)-5-oxo-1,3-dioxolan-4-yl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

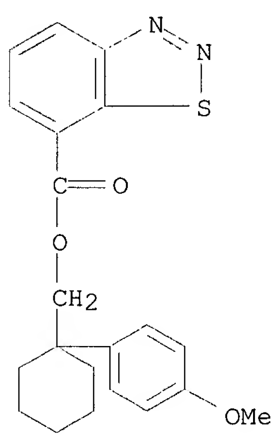


RN 131817-37-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-[3-(2,4-

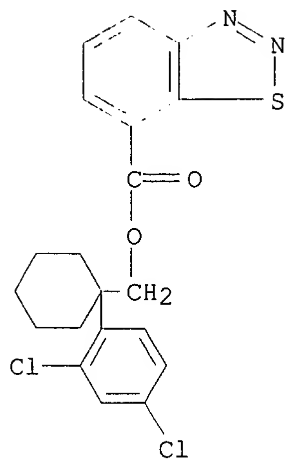
dichlorophenyl)tetrahydro-4-methyl-2-oxo-3-furanyl]-2-oxoethyl ester
(9CI) (CA INDEX NAME)



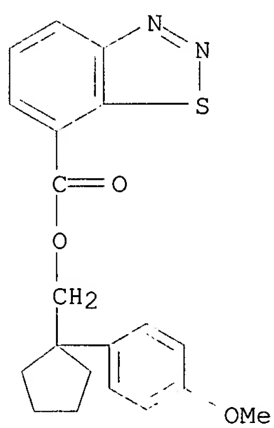
RN 131817-38-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, [1-(4-methoxyphenyl)cyclohexyl]methyl ester (9CI) (CA INDEX NAME)



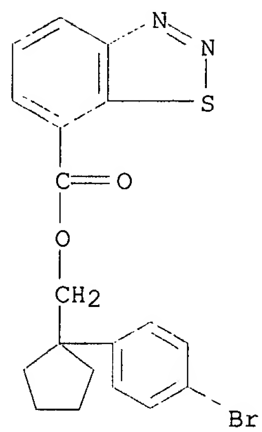
RN 131817-39-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, [1-(2,4-dichlorophenyl)cyclohexyl]methyl ester (9CI) (CA INDEX NAME)



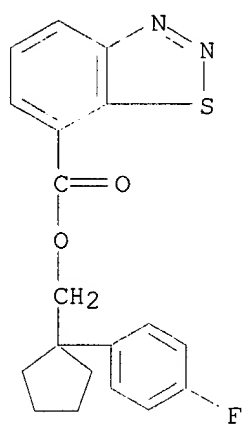
RN 131817-40-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, [1-(4-methoxyphenyl)cyclopentyl]methyl ester (9CI) (CA INDEX NAME)



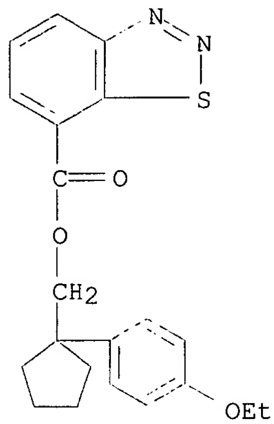
RN 131817-41-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, [1-(4-bromophenyl)cyclopentyl]methyl ester (9CI) (CA INDEX NAME)



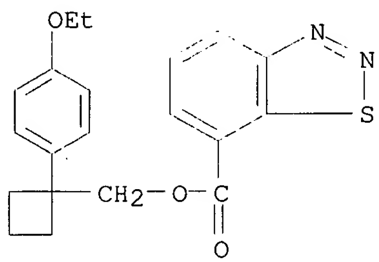
RN 131817-42-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, [1-(4-fluorophenyl)cyclopentyl]methyl ester (9CI) (CA INDEX NAME)



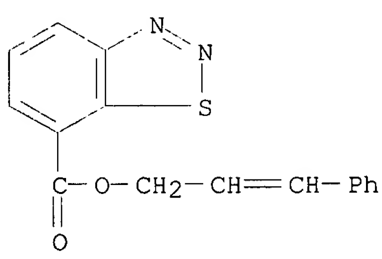
RN 131817-43-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, [1-(4-ethoxyphenyl)cyclopentyl]methyl ester (9CI) (CA INDEX NAME)



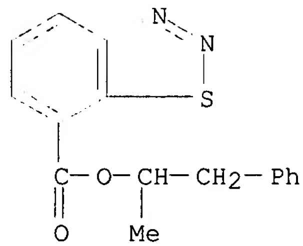
RN 131817-44-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, [1-(4-ethoxyphenyl)cyclobutyl]methyl ester (9CI) (CA INDEX NAME)



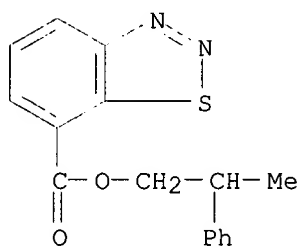
RN 131817-45-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 3-phenyl-2-propenyl ester (9CI) (CA INDEX NAME)



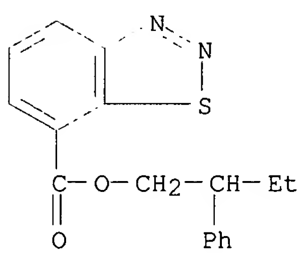
RN 131817-46-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 1-methyl-2-phenylethyl ester (9CI) (CA INDEX NAME)



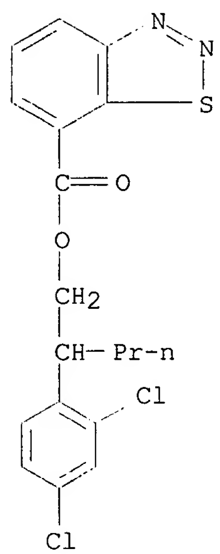
RN 131817-47-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-phenylpropyl ester (9CI)
(CA INDEX NAME)



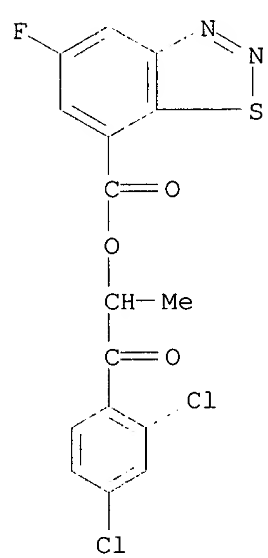
RN 131817-48-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-phenylbutyl ester (9CI)
(CA INDEX NAME)



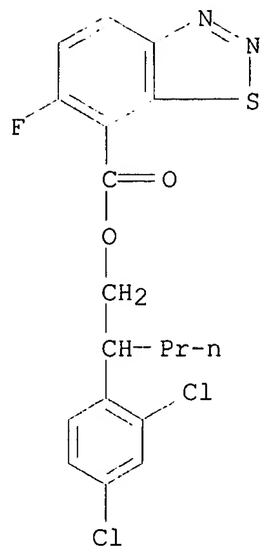
RN 131817-49-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-(2,4-dichlorophenyl)pentyl ester (9CI) (CA INDEX NAME)



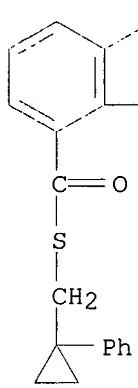
RN 131817-50-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 5-fluoro-, 2-(2,4-dichlorophenyl)-1-methyl-2-oxoethyl ester (9CI) (CA INDEX NAME)



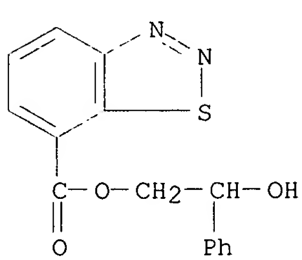
RN 131817-51-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 6-fluoro-, 2-(2,4-dichlorophenyl)pentyl ester (9CI) (CA INDEX NAME)



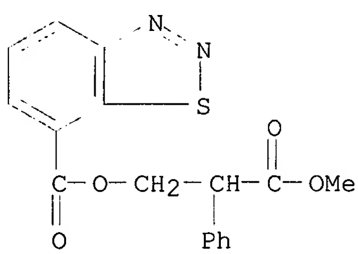
RN 131817-52-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-[(1-phenylcyclopropyl)methyl] ester (9CI) (CA INDEX NAME)



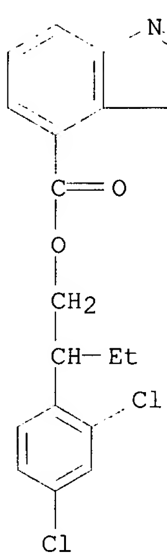
RN 131817-53-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-hydroxy-2-phenylethyl ester (9CI) (CA INDEX NAME)



RN 131817-54-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 3-methoxy-3-oxo-2-
phenylpropyl ester (9CI) (CA INDEX NAME)

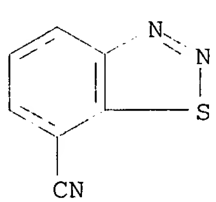


RN 131817-55-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-(2,4-
dichlorophenyl)butyl ester (9CI) (CA INDEX NAME)



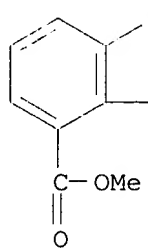
=> d bib abs hitstr l14 14

L14 ANSWER 14 OF 23 CAPLUS
AN 1990:586056 CAPLUS
DN 113:186056
TI Chemically regulatable plant genes and their uses
IN Ryals, John; Montoya, Alice; Harms, Christian; Duesing, John; Sperisen, Christoph; Meins, Fred; Payne, George
PA Ciba-Geigy A.-G., Switz.
SO Eur. Pat. Appl., 118 pp.
CODEN: EPXXDW
PI EP 332104 A2 890913
DS R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE
AI EP 89-103888 890306
PRAI US 88-165667 880308
US 89-305566 890206
DT Patent
LA English
AB Plant genes that respond to external chem. stimuli, by induction or repression, are cloned, characterized, and described. The genes encode pathogenesis-related proteins. The promoters from these genes are useful for the regulation of foreign genes (e.g. conferring insect resistance or herbicide tolerance) in transgenic plants. A genomic clone for a pathogenesis-related protein of tobacco was cloned using an oligonucleotide probe derived from the amino acid sequence of the protein. The gene was characterized and the 5' regions isolated. Constructs using different lengths of this region were fused to a .beta.-glucuronidase gene and the expression of these constructs in response to chem. (salicylic acid or methylbenzothiodiazole carboxylate) or pathogen (tobacco mosaic virus) induction in transgenic tobacco plants studied. There was considerable variation in efficiency of induction from one plant to another but plants transformed with plasmid pCIB272 showed strong induction by chem. stimuli. Induction by chem. stimuli was comparable to induction by the pathogen.
IT **23615-90-9**, 1,2,3-Benzothiadiazole-7-carbonitrile **23621-08-1** **35272-27-6**, 1,2,3-Benzothiadiazole-7-carboxylic acid **124370-91-8**, 1,2,3-Benzothiadiazole-7-carboxamide **124371-39-7** **126448-41-7**
RL: PRP (Properties)
(plant genes regulated by, cloning of, regulated expression of heterologous genes in transgenic plants in relation to)
RN 23615-90-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile (8CI, 9CI) (CA INDEX NAME)

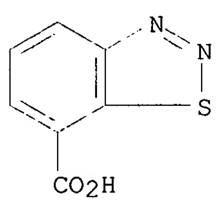


RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI)

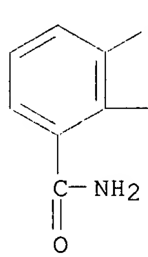
(CA INDEX NAME)



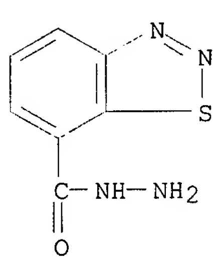
RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)



RN 124370-91-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide (9CI) (CA INDEX NAME)

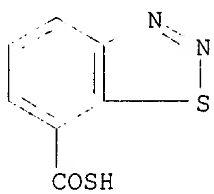


RN 124371-39-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, hydrazide (9CI) (CA INDEX NAME)



RN 126448-41-7 CAPLUS

CN 1,2,3-Benzothiadiazole-7-carbothioic acid (9CI) (CA INDEX NAME)



=> d bib abs hitstr l14 15

L14 ANSWER 15 OF 23 CAPLUS COPYRIGHT 1998 ACS
AN 1990:17750 CAPLUS
DN 112:17750
TI Preparation of benzothiadiazole derivatives as agrochemical microbicides
IN Schurter, Rolf; Kunz, Walter; Nyfeler, Robert
PA Ciba-Geigy A.-G., Switz.
SO Braz. Pedido PI, 182 pp.
CODEN: BPXXDX
PI BR 8804264 A 890321
AI BR 88-4264 880822
PRAI CH 87-3229 870821
DT Patent
LA Portuguese
OS MARPAT 112:17750
GI For diagram(s), see printed CA Issue.
AB The benzothiadiazoles I (X = H, halo, OH, Me, MeO, CO2H, CO2Me; Y = H, halo, NO2SO3H, OH, NH2, etc.; Z = CN, CO2H, CO2Me, CONHNH2, CO2CH2Ph, CONH2, etc.) are prepd. as microbicides and plant-immunizing agents against pathogenic microorganisms and viruses. Me 3,5-diamino-2-isopropylthiobenzoate (prepn. given) in conc. HCl was treated with NaNO2, at -5.degree., followed by treatment with hypophosphorous acid to give I (X = Y = H; Z = CO2Me) (II). When applied to soil, 0.0002% II immunized tobacco against artificial infection by Pseudomonas tabaci.
IT **23615-90-9P**, 1,2,3-Benzothiadiazole-7-carbonitrile
23621-08-1P **35272-27-6P**, 1,2,3-Benzothiadiazole-7-carboxylic acid **35272-34-5P** **124370-15-6P**
124370-16-7P **124370-17-8P** **124370-18-9P**
124370-19-0P **124370-20-3P** **124370-21-4P**
124370-22-5P **124370-23-6P** **124370-24-7P**
124370-25-8P **124370-26-9P** **124370-27-0P**
124370-28-1P **124370-29-2P** **124370-30-5P**
124370-31-6P **124370-32-7P** **124370-33-8P**
124370-34-9P **124370-35-0P** **124370-36-1P**
124370-37-2P **124370-38-3P** **124370-39-4P**
124370-40-7P **124370-41-8P** **124370-42-9P**
124370-43-0P **124370-44-1P** **124370-45-2P**
124370-46-3P **124370-47-4P** **124370-48-5P**
124370-49-6P **124370-50-9P** **124370-51-0P**
124370-52-1P **124370-53-2P** **124370-54-3P**
124370-55-4P **124370-56-5P** **124370-57-6P**
124370-58-7P **124370-59-8P** **124370-60-1P**
124370-61-2P **124370-62-3P** **124370-63-4P**
124370-64-5P **124370-65-6P** **124370-66-7P**
124370-67-8P **124370-68-9P** **124370-69-0P**
124370-70-3P **124370-71-4P** **124370-72-5P**
124370-73-6P **124370-74-7P** **124370-75-8P**
124370-76-9P **124370-77-0P** **124370-78-1P**
124370-79-2P **124370-80-5P** **124370-81-6P**
124370-82-7P **124370-83-8P** **124370-84-9P**
124370-85-0P **124370-86-1P** **124370-87-2P**
124370-88-3P **124370-89-4P** **124370-90-7P**
124370-91-8P, 1,2,3-Benzothiadiazole-7-carboxamide
124370-92-9P **124370-93-0P** **124370-94-1P**

124370-95-2P 124370-96-3P 124370-97-4P
124370-98-5P 124370-99-6P 124371-00-2P
124371-01-3P 124371-02-4P 124371-03-5P
124371-04-6P 124371-05-7P 124371-06-8P
124371-07-9P 124371-08-0P 124371-09-1P
124371-10-4P 124371-11-5P 124371-12-6P
124371-13-7P 124371-14-8P 124371-15-9P
124371-16-0P 124371-17-1P 124371-18-2P
124371-19-3P 124371-20-6P 124371-21-7P
124371-22-8P 124371-23-9P 124371-24-0P
124371-25-1P 124371-26-2P 124371-27-3P
124371-28-4P 124371-29-5P 124371-30-8P
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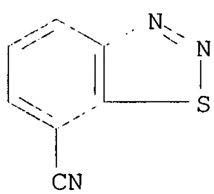
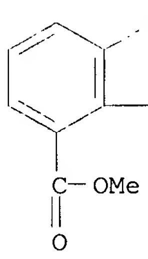
124371-34-2P 124371-35-3P 124371-36-4P
124371-37-5P 124371-38-6P 124371-39-7P
124371-40-0P 124371-41-1P 124371-42-2P
124371-43-3P 124371-44-4P 124371-45-5P
124371-46-6P 124371-47-7P 124371-48-8P
124371-50-2P 124388-36-9P 124388-37-0P
124388-38-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as plant microbicide, curative and preventive)

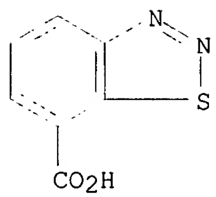
RN 23615-90-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile (8CI, 9CI) (CA INDEX NAME)



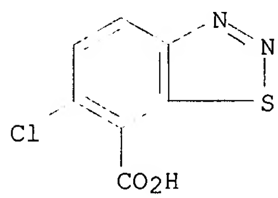
RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI) (CA INDEX NAME)



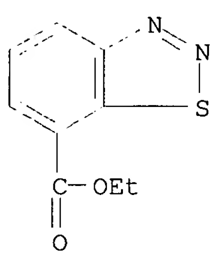
RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)



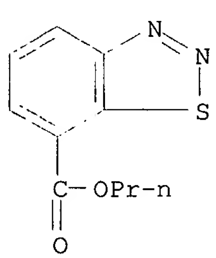
RN 35272-34-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 6-chloro- (9CI) (CA INDEX NAME)



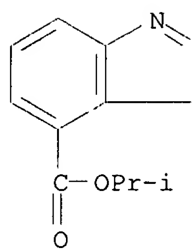
RN 124370-15-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, ethyl ester (9CI) (CA INDEX NAME)



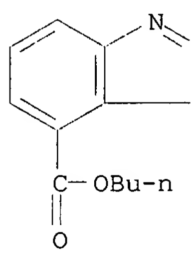
RN 124370-16-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, propyl ester (9CI) (CA INDEX NAME)



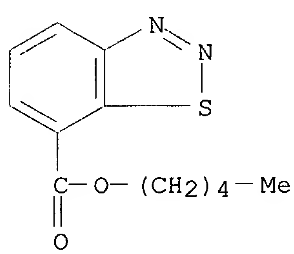
RN 124370-17-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 1-methylethyl ester (9CI) (CA INDEX NAME)



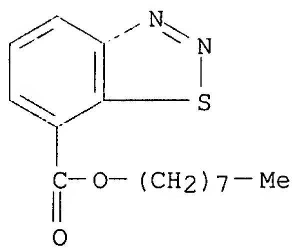
RN 124370-18-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, butyl ester (9CI) (CA INDEX NAME)



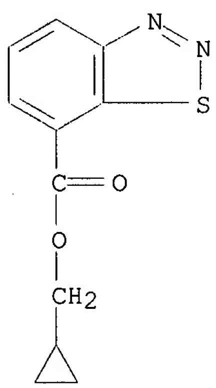
RN 124370-19-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, pentyl ester (9CI) (CA INDEX NAME)



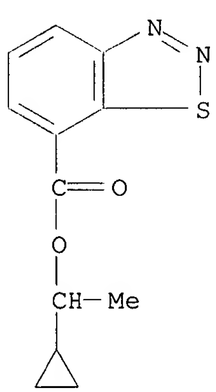
RN 124370-20-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, octyl ester (9CI) (CA INDEX NAME)



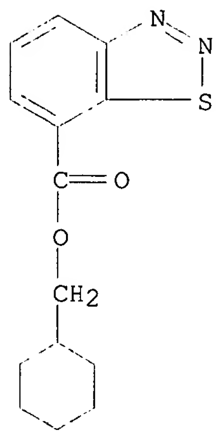
RN 124370-21-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, cyclopropylmethyl ester (9CI) (CA INDEX NAME)



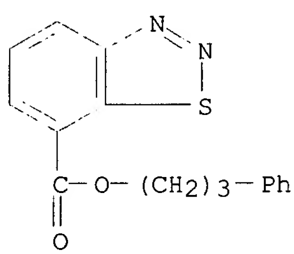
RN 124370-22-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 1-cyclopropylethyl ester (9CI) (CA INDEX NAME)



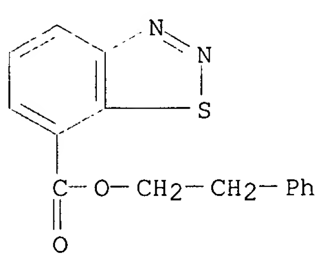
RN 124370-23-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, cyclohexylmethyl ester (9CI) (CA INDEX NAME)



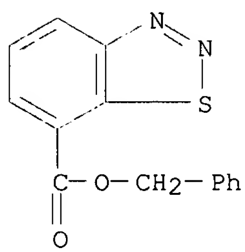
RN 124370-24-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 3-phenylpropyl ester (9CI) (CA INDEX NAME)



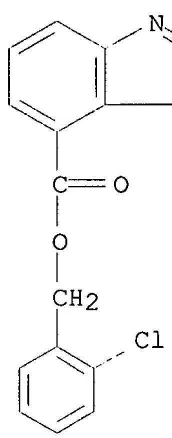
RN 124370-25-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-phenylethyl ester (9CI) (CA INDEX NAME)



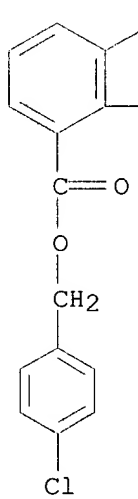
RN 124370-26-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, phenylmethyl ester (9CI) (CA INDEX NAME)



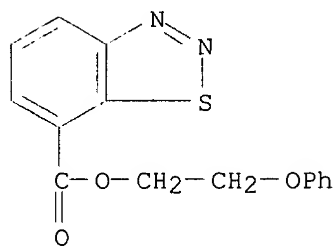
RN 124370-27-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (2-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



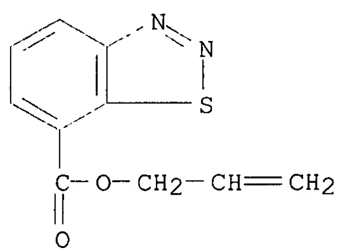
RN 124370-28-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



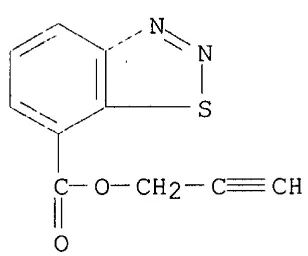
RN 124370-29-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-phenoxyethyl ester (9CI)
(CA INDEX NAME)



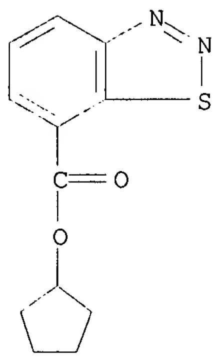
RN 124370-30-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-propenyl ester (9CI)
(CA INDEX NAME)



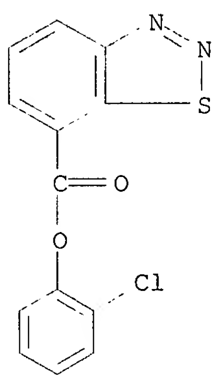
RN 124370-31-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-propynyl ester (9CI)
(CA INDEX NAME)



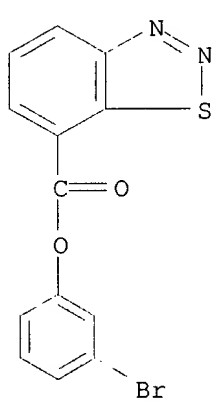
RN 124370-32-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, cyclopentyl ester (9CI)
(CA INDEX NAME)



RN 124370-33-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-chlorophenyl ester (9CI)
(CA INDEX NAME)

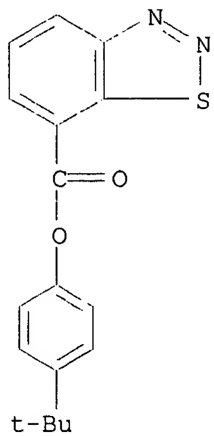


RN 124370-34-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 3-bromophenyl ester (9CI)
(CA INDEX NAME)

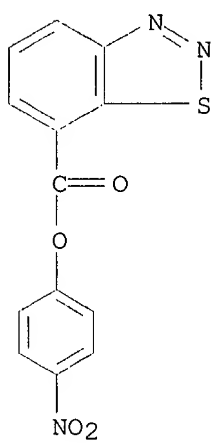


RN 124370-35-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 4-(1,1-

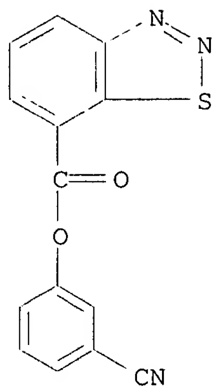
dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



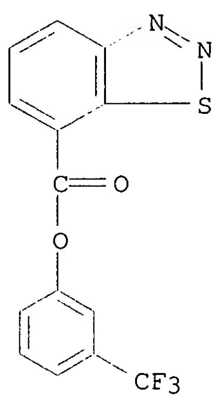
RN 124370-36-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 4-nitrophenyl ester (9CI) (CA INDEX NAME)



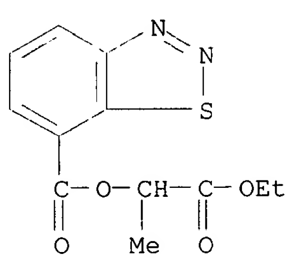
RN 124370-37-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 3-cyanophenyl ester (9CI) (CA INDEX NAME)



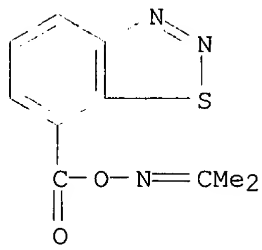
RN 124370-38-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 3-(trifluoromethyl)phenyl ester (9CI) (CA INDEX NAME)



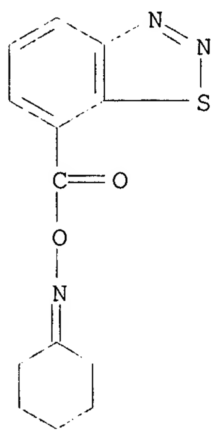
RN 124370-39-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-ethoxy-1-methyl-2-oxoethyl ester (9CI) (CA INDEX NAME)



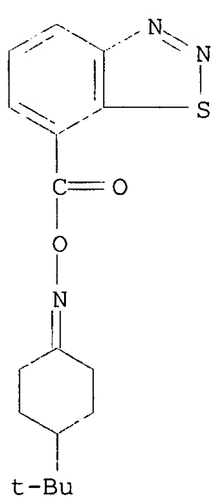
RN 124370-40-7 CAPLUS
CN 2-Propanone, O-(1,2,3-benzothiadiazol-7-ylcarbonyl)oxime (9CI) (CA INDEX NAME)



RN 124370-41-8 CAPLUS
CN Cyclohexanone, O-(1,2,3-benzothiadiazol-7-ylcarbonyl)oxime (9CI)
(CA INDEX NAME)

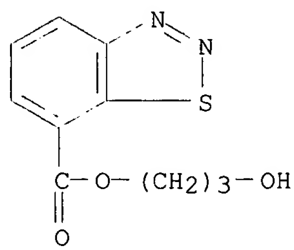


RN 124370-42-9 CAPLUS
CN Cyclohexanone, 4-(1,1-dimethylethyl)-, O-(1,2,3-benzothiadiazol-7-ylcarbonyl)oxime (9CI) (CA INDEX NAME)

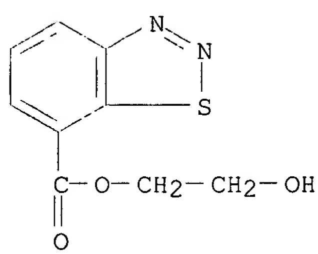


RN 124370-43-0 CAPLUS

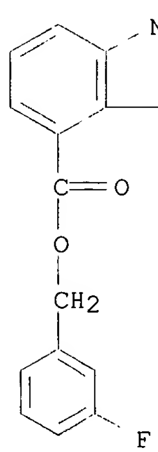
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 3-hydroxypropyl ester (9CI) (CA INDEX NAME)



RN 124370-44-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-hydroxyethyl ester (9CI) (CA INDEX NAME)

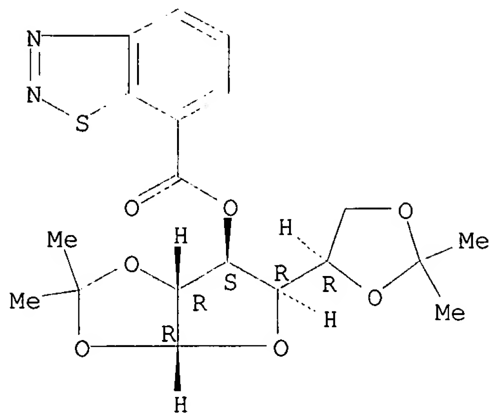


RN 124370-45-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (3-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)

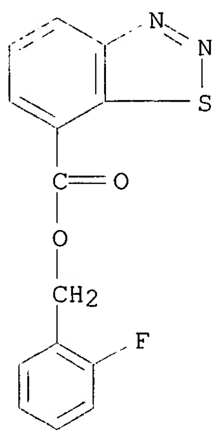


RN 124370-46-3 CAPLUS
CN .alpha.-D-Glucofuranose, 1,2:5,6-bis-O-(1-methylethylidene)-, 1,2,3-benzothiadiazole-7-carboxylate (9CI) (CA INDEX NAME)

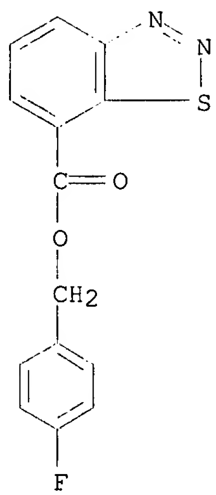
Absolute stereochemistry.



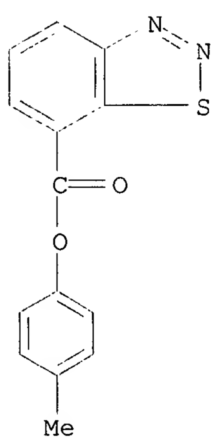
RN 124370-47-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (2-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



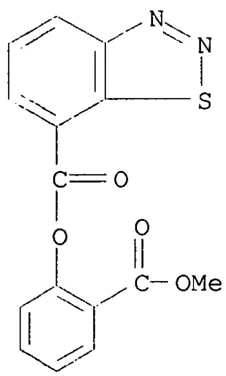
RN 124370-48-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



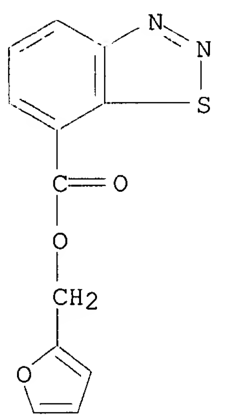
RN 124370-49-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 4-methylphenyl ester (9CI)
(CA INDEX NAME)



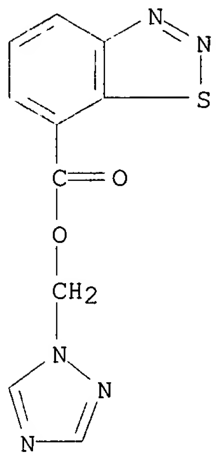
RN 124370-50-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-(methoxycarbonyl)phenyl
ester (9CI) (CA INDEX NAME)



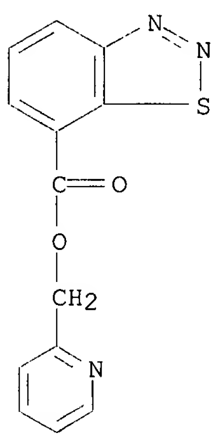
RN 124370-51-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-furanylmethyl ester (9CI) (CA INDEX NAME)



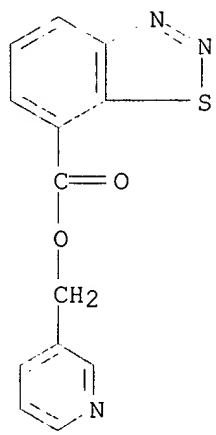
RN 124370-52-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 1H-1,2,4-triazol-1-ylmethyl ester (9CI) (CA INDEX NAME)



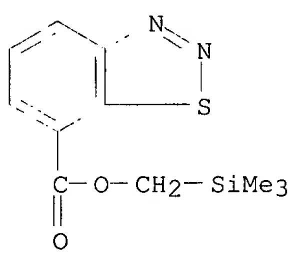
RN 124370-53-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-pyridinylmethyl ester
(9CI) (CA INDEX NAME)



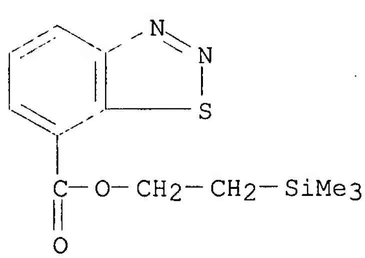
RN 124370-54-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 3-pyridinylmethyl ester
(9CI) (CA INDEX NAME)



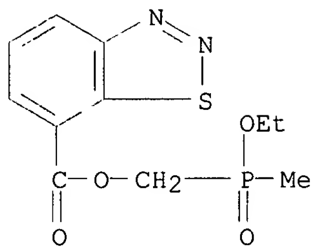
RN 124370-55-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (trimethylsilyl)methyl ester (9CI) (CA INDEX NAME)



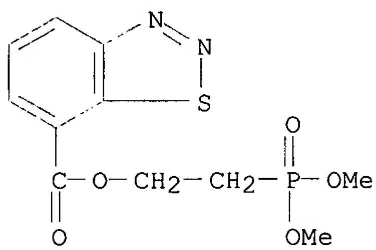
RN 124370-56-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)



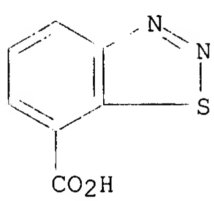
RN 124370-57-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (ethoxymethylphosphinyl)methyl ester (9CI) (CA INDEX NAME)



RN 124370-58-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-(dimethoxyphosphinyl)ethyl ester (9CI) (CA INDEX NAME)

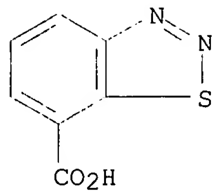


RN 124370-59-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, sodium salt (9CI) (CA INDEX NAME)



● Na

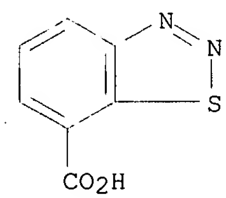
RN 124370-60-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, potassium salt (9CI) (CA INDEX NAME)



RN 124370-61-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

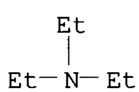
CM 1

CRN 35272-27-6
CMF C7 H4 N2 O2 S

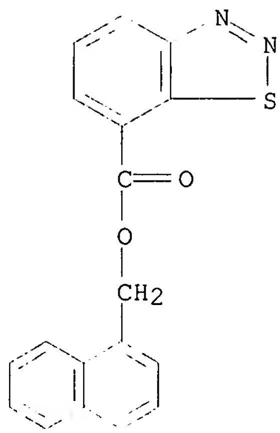


CM 2

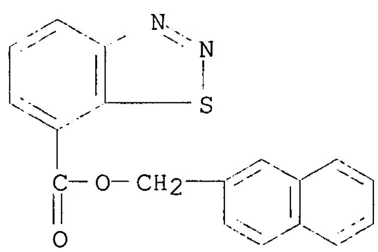
CRN 121-44-8
CMF C6 H15 N



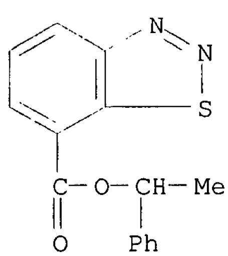
RN 124370-62-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 1-naphthalenylmethyl ester (9CI) (CA INDEX NAME)



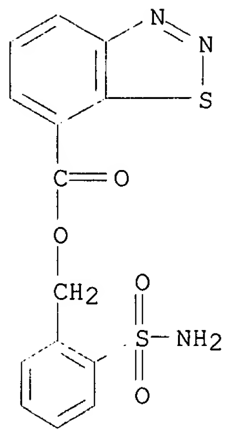
RN 124370-63-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-naphthalenylmethyl ester (9CI) (CA INDEX NAME)



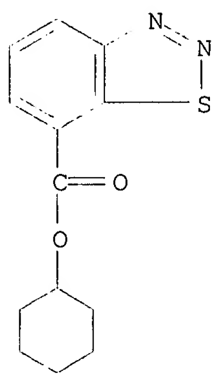
RN 124370-64-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 1-phenylethyl ester (9CI) (CA INDEX NAME)



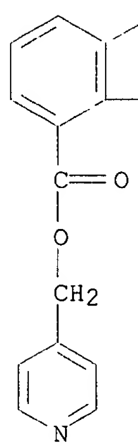
RN 124370-65-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, [2-(aminosulfonyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



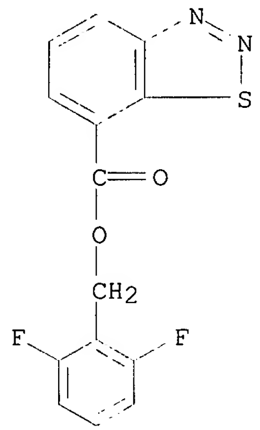
RN 124370-66-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, cyclohexyl ester (9CI)
(CA INDEX NAME)



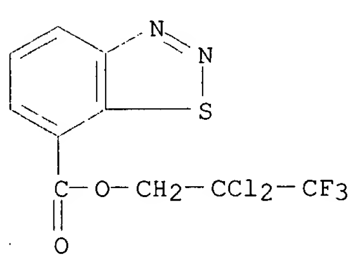
RN 124370-67-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 4-pyridinylmethyl ester
(9CI) (CA INDEX NAME)



RN 124370-68-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (2,6-difluorophenyl)methyl ester (9CI) (CA INDEX NAME)

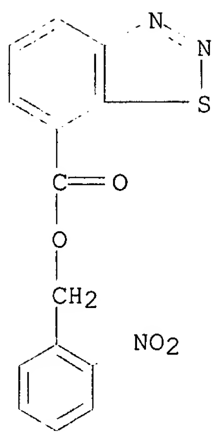


RN 124370-69-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2,2-dichloro-3,3,3-trifluoropropyl ester (9CI) (CA INDEX NAME)

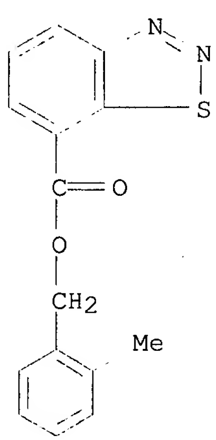


RN 124370-70-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (2-nitrophenyl)methyl

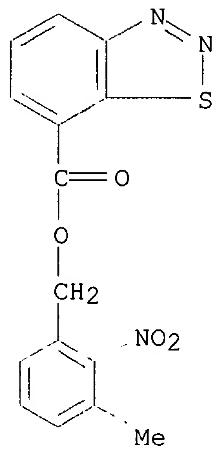
ester (9CI) (CA INDEX NAME)



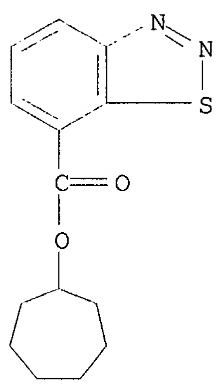
RN 124370-71-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (2-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



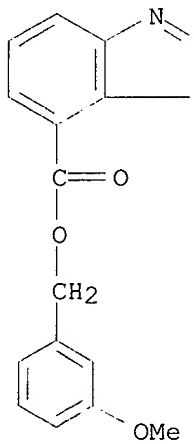
RN 124370-72-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (3-methyl-2-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)



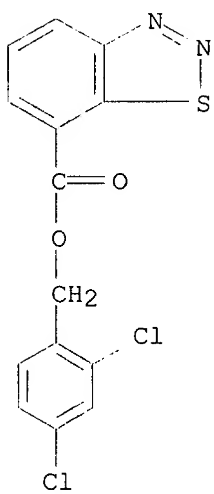
RN 124370-73-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, cycloheptyl ester (9CI)
(CA INDEX NAME)



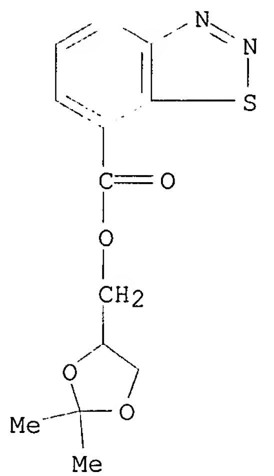
RN 124370-74-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (3-methoxyphenyl)methyl
ester (9CI) (CA INDEX NAME)



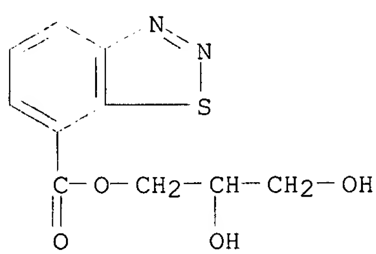
RN 124370-75-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (2,4-dichlorophenyl)methyl ester (9CI) (CA INDEX NAME)



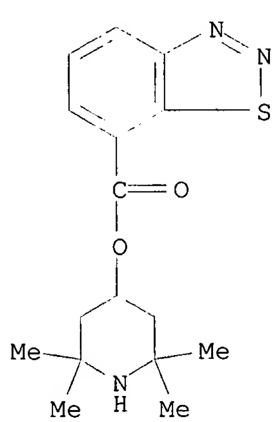
RN 124370-76-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (2,2-dimethyl-1,3-dioxolan-4-yl)methyl ester (9CI) (CA INDEX NAME)



RN 124370-77-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2,3-dihydroxypropyl ester (9CI) (CA INDEX NAME)

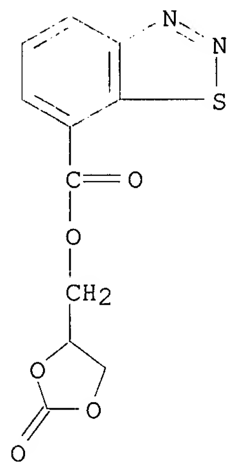


RN 124370-78-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2,2,6,6-tetramethyl-4-piperidinyl ester (9CI) (CA INDEX NAME)

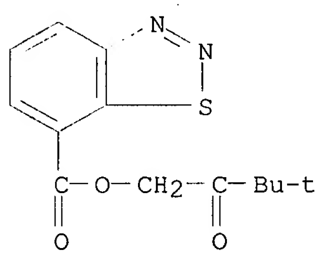


RN 124370-79-2 CAPLUS

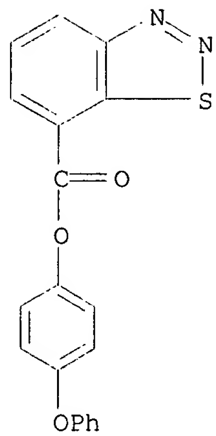
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (2-oxo-1,3-dioxolan-4-yl)methyl ester (9CI) (CA INDEX NAME)



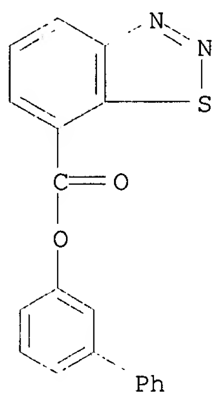
RN 124370-80-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 3,3-dimethyl-2-oxobutyl ester (9CI) (CA INDEX NAME)



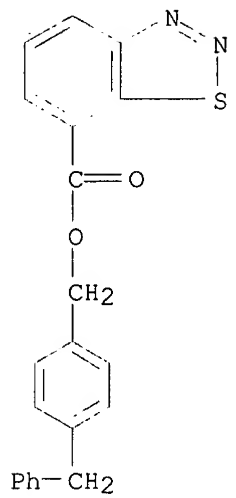
RN 124370-81-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 4-phenoxyphenyl ester (9CI) (CA INDEX NAME)



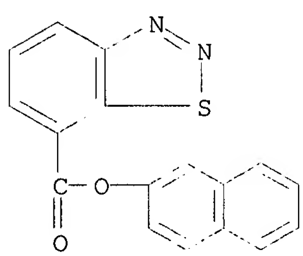
RN 124370-82-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, [1,1'-biphenyl]-3-yl ester (9CI) (CA INDEX NAME)



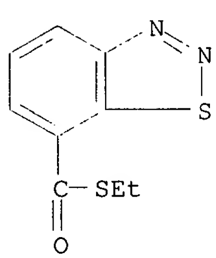
RN 124370-83-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, [4-(phenylmethyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



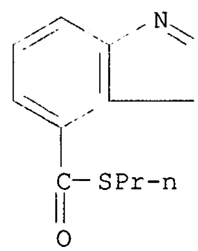
RN 124370-84-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-naphthalenyl ester (9CI)
(CA INDEX NAME)



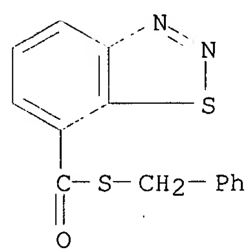
RN 124370-85-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-ethyl ester (9CI) (CA
INDEX NAME)



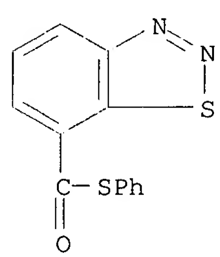
RN 124370-86-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-propyl ester (9CI) (CA
INDEX NAME)



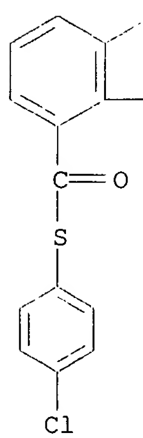
RN 124370-87-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-(phenylmethyl) ester (9CI) (CA INDEX NAME)



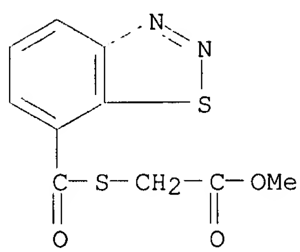
RN 124370-88-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-phenyl ester (9CI) (CA INDEX NAME)



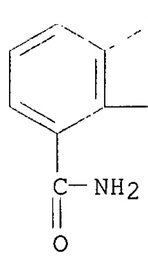
RN 124370-89-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-(4-chlorophenyl) ester (9CI) (CA INDEX NAME)



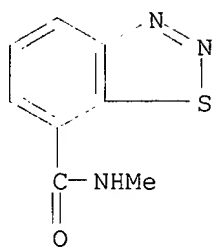
RN 124370-90-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbothioic acid, S-(2-methoxy-2-oxoethyl) ester (9CI) (CA INDEX NAME)



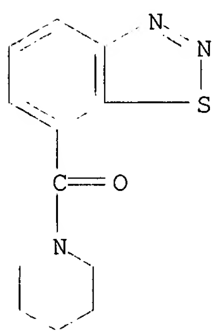
RN 124370-91-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide (9CI) (CA INDEX NAME)



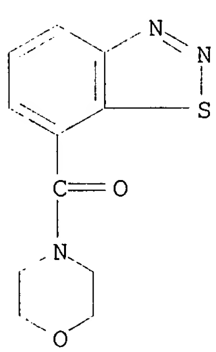
RN 124370-92-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-methyl- (9CI) (CA INDEX NAME)



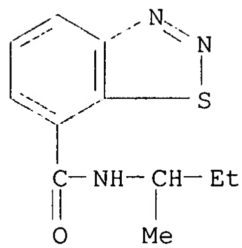
RN 124370-93-0 CAPLUS
CN Piperidine, 1-(1,2,3-benzothiadiazol-7-ylcarbonyl)- (9CI) (CA INDEX NAME)



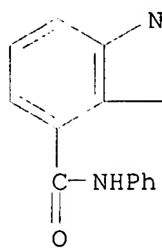
RN 124370-94-1 CAPLUS
CN Morpholine, 4-(1,2,3-benzothiadiazol-7-ylcarbonyl)- (9CI) (CA INDEX NAME)



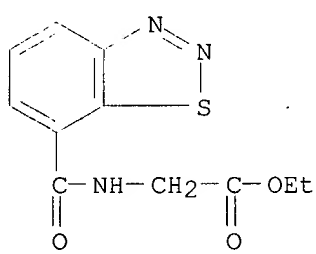
RN 124370-95-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(1-methylpropyl)- (9CI) (CA INDEX NAME)



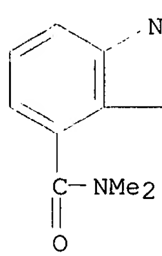
RN 124370-96-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-phenyl- (9CI) (CA INDEX NAME)



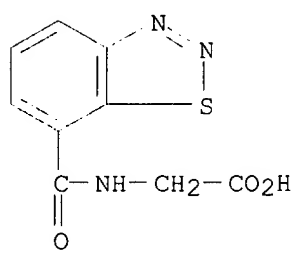
RN 124370-97-4 CAPLUS
CN Glycine, N-(1,2,3-benzothiadiazol-7-ylcarbonyl)-, ethyl ester (9CI) (CA INDEX NAME)



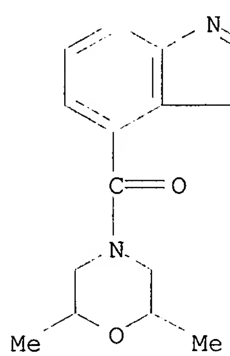
RN 124370-98-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N,N-dimethyl- (9CI) (CA INDEX NAME)



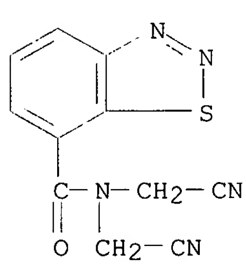
RN 124370-99-6 CAPLUS
CN Glycine, N-(1,2,3-benzothiadiazol-7-ylcarbonyl)- (9CI) (CA INDEX NAME)



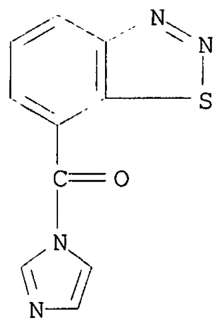
RN 124371-00-2 CAPLUS
CN Morpholine, 4-(1,2,3-benzothiadiazol-7-ylcarbonyl)-2,6-dimethyl- (9CI) (CA INDEX NAME)



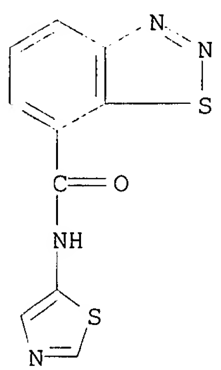
RN 124371-01-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N,N-bis(cyanomethyl)- (9CI) (CA INDEX NAME)



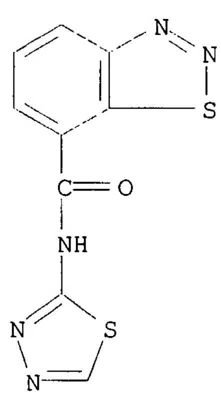
RN 124371-02-4 CAPLUS
CN 1H-Imidazole, 1-(1,2,3-benzothiadiazol-7-ylcarbonyl)- (9CI) (CA INDEX NAME)



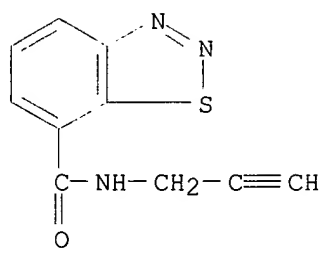
RN 124371-03-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-5-thiazolyl- (9CI) (CA INDEX NAME)



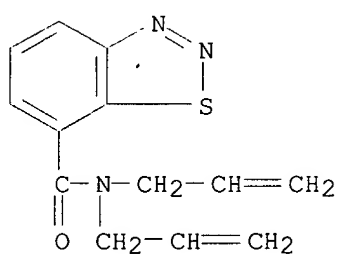
RN 124371-04-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-1,3,4-thiadiazol-2-yl- (9CI) (CA INDEX NAME)



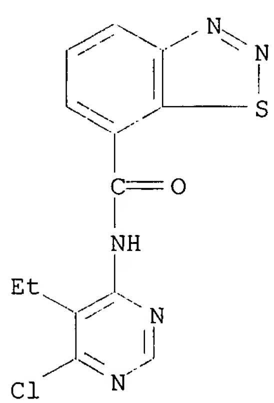
RN 124371-05-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-2-propynyl- (9CI) (CA INDEX NAME)



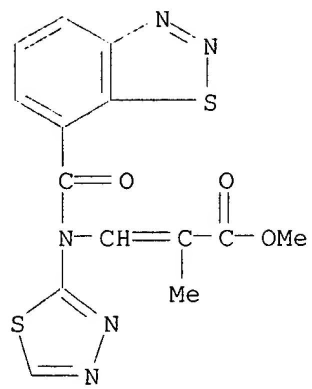
RN 124371-06-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N,N-di-2-propenyl- (9CI) (CA INDEX NAME)



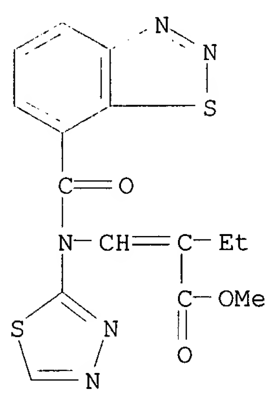
RN 124371-07-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(6-chloro-5-ethyl-4-pyrimidinyl)- (9CI) (CA INDEX NAME)



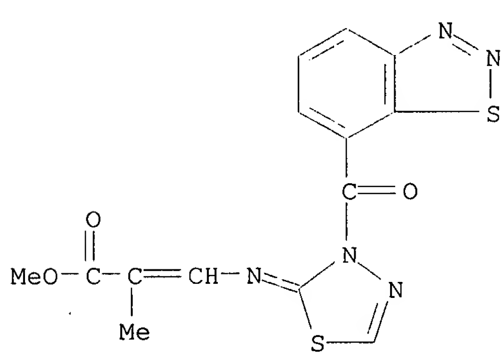
RN 124371-08-0 CAPLUS
CN 2-Propenoic acid, 3-[(1,2,3-benzothiadiazol-7-ylcarbonyl)-1,3,4-thiadiazol-2-ylamino]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



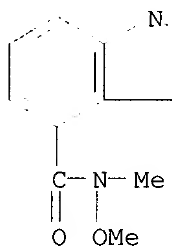
RN 124371-09-1 CAPLUS
CN Butanoic acid, 2-[[(1,2,3-benzothiadiazol-7-ylcarbonyl)-1,3,4-thiadiazol-2-ylamino]methylene]-, methyl ester (9CI) (CA INDEX NAME)



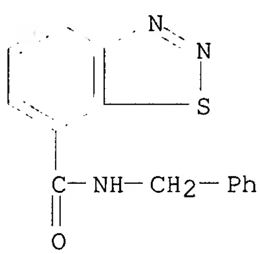
RN 124371-10-4 CAPLUS
CN 2-Propenoic acid, 3-[[3-(1,2,3-benzothiadiazol-7-ylcarbonyl)-1,3,4-thiadiazol-2(3H)-ylidene]amino]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



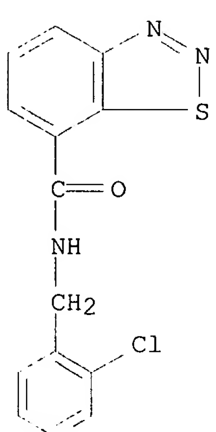
RN 124371-11-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-methoxy-N-methyl- (9CI) (CA INDEX NAME)



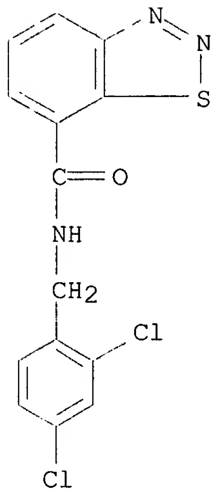
RN 124371-12-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(phenylmethyl)- (9CI) (CA INDEX NAME)



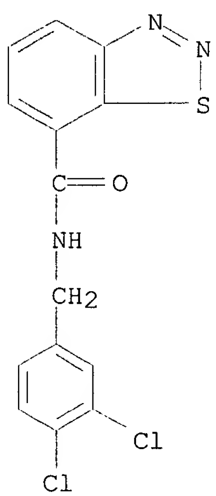
RN 124371-13-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[(2-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



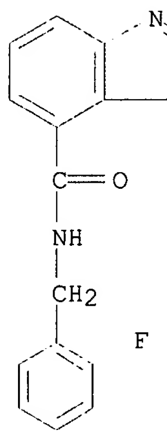
RN 124371-14-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[(2,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



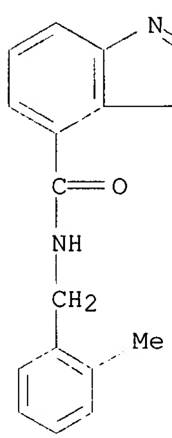
RN 124371-15-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



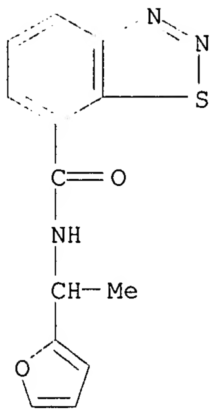
RN 124371-16-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[(2-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



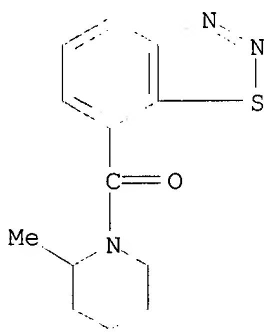
RN 124371-17-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[(2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



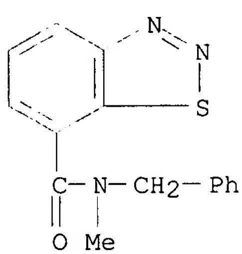
RN 124371-18-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-[1-(2-furanyl)ethyl]- (9CI) (CA INDEX NAME)



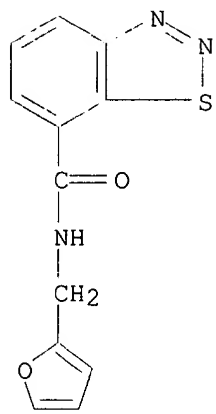
RN 124371-19-3 CAPLUS
CN Piperidine, 1-(1,2,3-benzothiadiazol-7-ylcarbonyl)-2-methyl- (9CI)
(CA INDEX NAME)



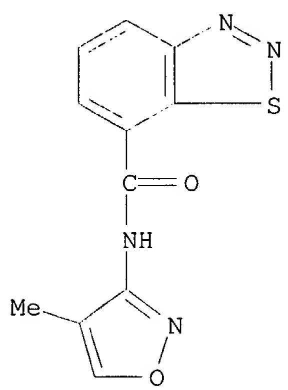
RN 124371-20-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-methyl-N-(phenylmethyl)-
(9CI) (CA INDEX NAME)



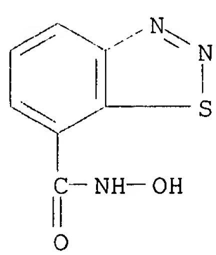
RN 124371-21-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(2-furanylmethyl)- (9CI)
(CA INDEX NAME)



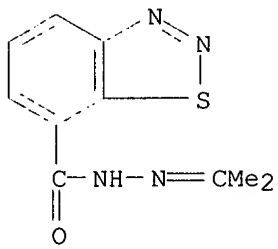
RN 124371-22-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-(4-methyl-3-isoxazolyl)- (9CI) (CA INDEX NAME)



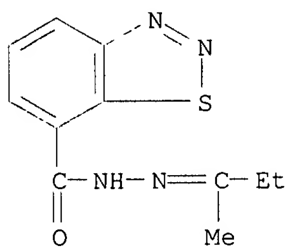
RN 124371-23-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxamide, N-hydroxy- (9CI) (CA INDEX NAME)



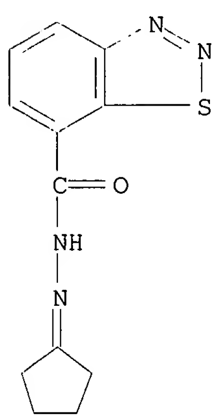
RN 124371-24-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (1-methylethylidene)hydrazide (9CI) (CA INDEX NAME)



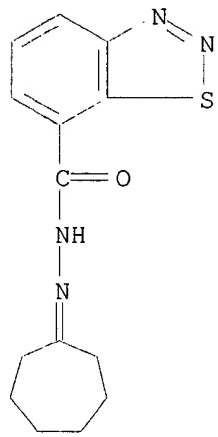
RN 124371-25-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (1-methylpropylidene)hydrazide (9CI) (CA INDEX NAME)



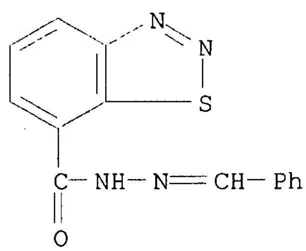
RN 124371-26-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, cyclopentylidenehydrazide (9CI) (CA INDEX NAME)



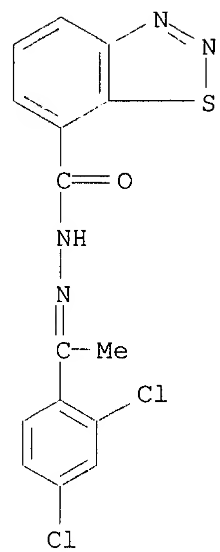
RN 124371-27-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, cycloheptylidenehydrazide (9CI) (CA INDEX NAME)



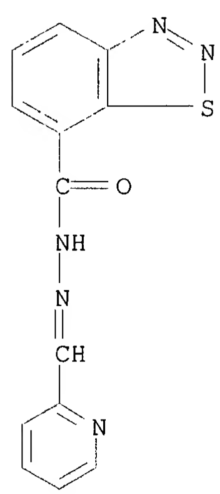
RN 124371-28-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (phenylmethylene)hydrazide (9CI) (CA INDEX NAME)



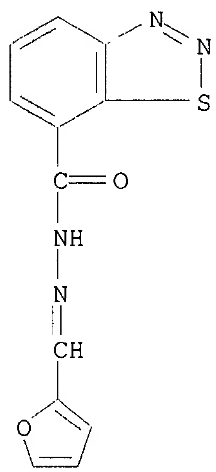
RN 124371-29-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, [1-(2,4-dichlorophenyl)ethylidene]hydrazide (9CI) (CA INDEX NAME)



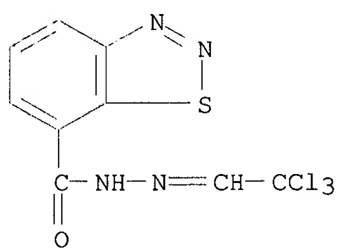
RN 124371-30-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (2-pyridinylmethylene)hydrazide (9CI) (CA INDEX NAME)



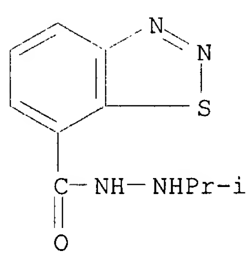
RN 124371-31-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (2-furanylmethylene)hydrazide (9CI) (CA INDEX NAME)



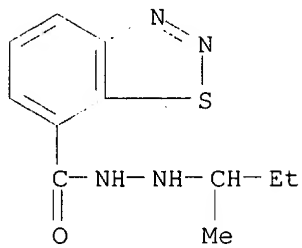
RN 124371-32-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (2,2,2-trichloroethylidene)hydrazide (9CI) (CA INDEX NAME)



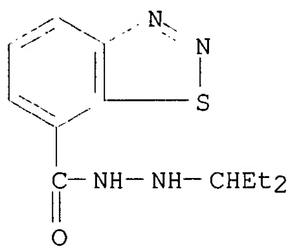
RN 124371-33-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-(1-methylethyl)hydrazide (9CI) (CA INDEX NAME)



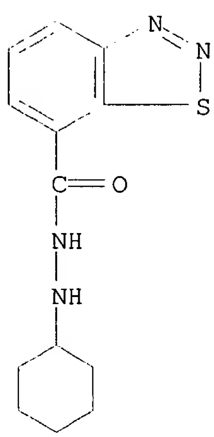
RN 124371-34-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-(1-methylpropyl)hydrazide (9CI) (CA INDEX NAME)



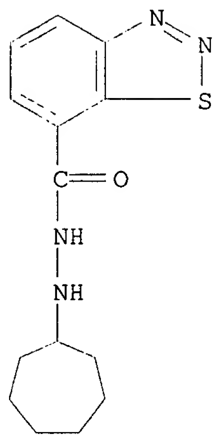
RN 124371-35-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-(1-ethylpropyl)hydrazide (9CI) (CA INDEX NAME)



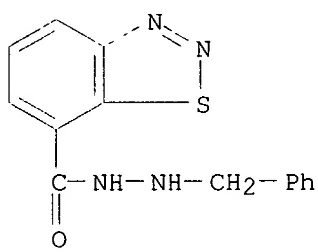
RN 124371-36-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-cyclohexylhydrazide (9CI) (CA INDEX NAME)



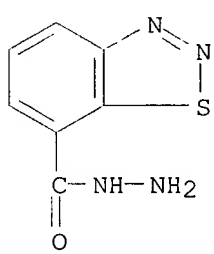
RN 124371-37-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-cycloheptylhydrazide (9CI) (CA INDEX NAME)



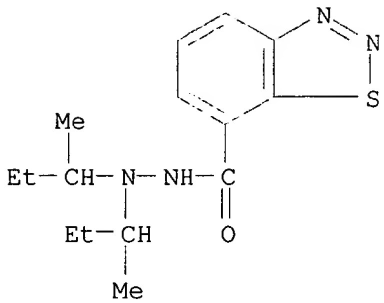
RN 124371-38-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-(phenylmethyl)hydrazide (9CI) (CA INDEX NAME)



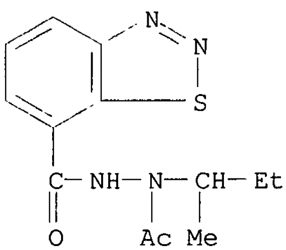
RN 124371-39-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, hydrazide (9CI) (CA INDEX NAME)



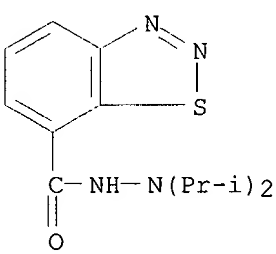
RN 124371-40-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2,2-bis(1-methylpropyl)hydrazide (9CI) (CA INDEX NAME)



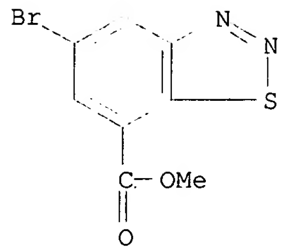
RN 124371-41-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-acetyl-2-(1-methylpropyl)hydrazide (9CI) (CA INDEX NAME)



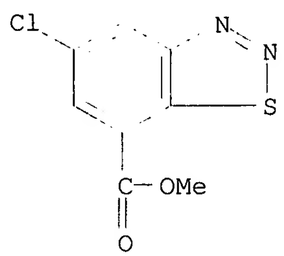
RN 124371-42-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2,2-bis(1-methylethyl)hydrazide (9CI) (CA INDEX NAME)



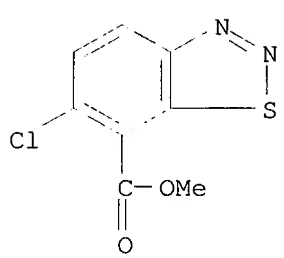
RN 124371-43-3 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 5-bromo-, methyl ester (9CI) (CA INDEX NAME)



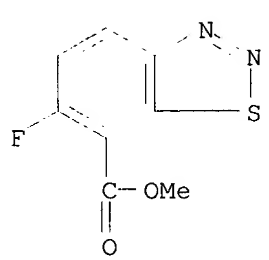
RN 124371-44-4 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 5-chloro-, methyl ester
(9CI) (CA INDEX NAME)



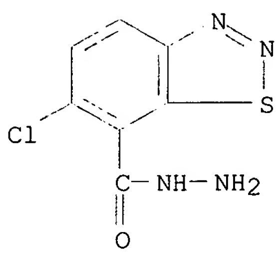
RN 124371-45-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 6-chloro-, methyl ester
(9CI) (CA INDEX NAME)



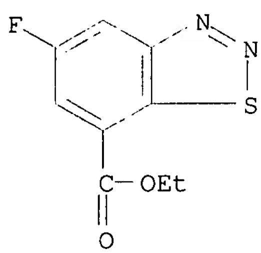
RN 124371-46-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 6-fluoro-, methyl ester
(9CI) (CA INDEX NAME)



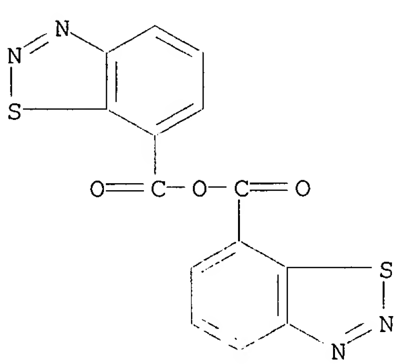
RN 124371-47-7 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 6-chloro-, hydrazide (9CI) (CA INDEX NAME)



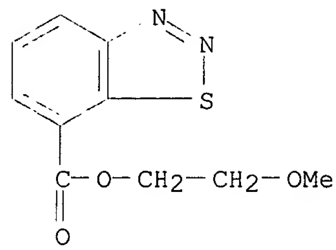
RN 124371-48-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 5-fluoro-, ethyl ester (9CI) (CA INDEX NAME)



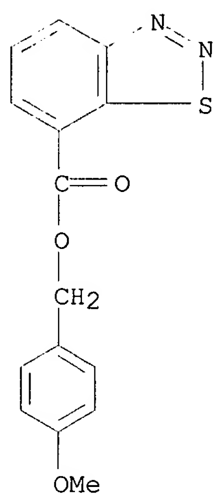
RN 124371-50-2 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, anhydride (9CI) (CA INDEX NAME)



RN 124388-36-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 2-methoxyethyl ester (9CI) (CA INDEX NAME)



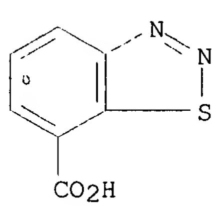
RN 124388-37-0 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, (4-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)



RN 124388-38-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, compd. with 2,2'-iminobis[ethanol] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 35272-27-6
CMF C7 H4 N2 O2 S



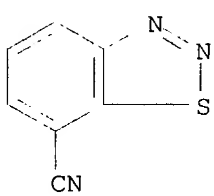
CM 2

CRN 111-42-2
CMF C4 H11 N O2

HO— CH2— CH2— NH— CH2— CH2— OH

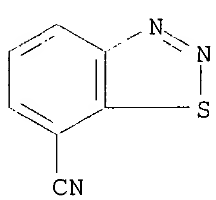
=> d bib abs hitstr l14 16

L14 ANSWER 16 OF 23 CAPLUS
AN 1977:464015 CAPLUS
DN 87:64015
TI Structure-activity relationships of 1,2,3-benzothiadiazole insecticide synergists as inhibitors of microsomal oxidation
AU Gil, D. L.; Wilkinson, C. F.
CS Dep. Entomol., Cornell Univ., Ithaca, N. Y., USA
SO Pestic. Biochem. Physiol. (1977), 7(2), 183-93
CODEN: PCBPBS
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB The activities of 47 substituted 1,2,3-benzothiadiazoles (I) as inhibitors of microsomal epoxidn. and/or hydroxylation in enzyme prepns. from rat liver or armyworm (Spodoptera eridania) gut have been evaluated. Many were found to be effective inhibitors of microsomal oxidn., the most active being the 6-butyl [60474-26-2] and 6-propoxy [63226-45-9] derivs. with I50 values of 4.9 .times. 10-7 and 7.0 .times. 10-7 M, resp., for the epoxidn. reaction. Regression anal. have established that activity of the 5-, 6-, and 5,6-substituted compds. can be satisfactorily described in equations in terms of .pi.2, .pi., and .sigma. whereas that of the 4-substituted derivs. depends on .pi. and the steric parameter Es.
IT **23615-90-9**
RL: BIOL (Biological study)
(microsomal oxidation inhibition by)
RN 23615-90-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile (8CI, 9CI) (CA INDEX NAME)



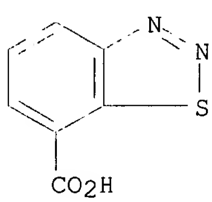
=> d bib abs hitstr l14 17

L14 ANSWER 17 OF 23 CAPLUS COPYRIGHT 1998 ACS
AN 1976:517936 CAPLUS
DN 85:117936
TI Structure-activity relations of 1,2,3-benzothiadiazoles as synergists for carbaryl against the house fly (Musca domestica)
AU Gil, D. L.; Wilkinson, C. F.
CS Dep. Entomol., Cornell Univ., Ithaca, N. Y., USA
SO Pestic. Biochem. Physiol. (1976), 6(4), 338-49
CODEN: PCBPBS
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB 1,2,3-Benzothiadiazoles (I, R, R1, R2, R3 = H, halogen, NH2, OH, CN, or alkyl) and related compds. were evaluated as carbaryl [63-25-2] synergists against the housefly (M. domestica). Many of these were excellent synergists, the most active being those contg. various combinations of halogen, alkyl, or alkoxy substituents in the 5- and/or 6-positions of the ring. Regression anal. on the data from 14 compds. for which substituents consts. were available established that synergistic activity can be satisfactorily described by equations in terms of the hydrophobic bonding const. (.pi.) and the homolytic free radical const. (.sigma..bul.). The results with compds. related to the 1,2,3-benzothiadiazoles suggest that synergistic activity is assocd. primarily with the diazosulfide moiety.
IT **23615-90-9**
RL: BIOL (Biological study)
(as insecticide synergist, for house fly control)
RN 23615-90-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile (8CI, 9CI) (CA INDEX NAME)

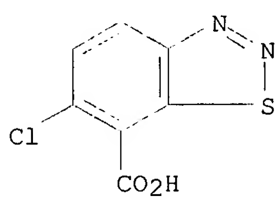


=> d bib abs hitstr l14 18

L14 ANSWER 18 OF 23 CAPLUS
AN 1972:59513 CAPLUS
DN 76:59513
TI 1,2,3-Benzothiadiazoles. V. Rearrangement of diazonium salts derived from 7-aminobenzisothiazoles
AU Haddock, E.; Kirby, P.; Johnson, A. W.
CS Woodstock Agric. Res. Cent., Shell Res. Ltd., Sittingbourne/Kent, Engl.
SO J. Chem. Soc. C (1971), (23), 3994-9
CODEN: JSOOAX
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB Sandmeyer decompn. of 6 diazotized 7-aminobenzisothiazoles gave 1,2,3-benzothiadiazole-7-carboxaldehydes (I), but hypophosphorous acid decompn. removed the diazonium group. Decompn. of 4-chlorobenzisothiazole-7-diazonium chloride with CrCl2, SnCl2, Cu2Cl2, and FeCl2 in HCl showed that the relative extent of these competing reactions is governed by the oxidn. potential for the metal cation to its higher oxidn. state.
IT **35272-27-6P 35272-34-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 35272-27-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid (9CI) (CA INDEX NAME)

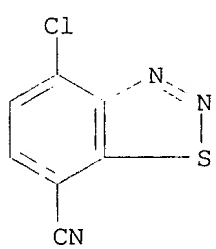


RN 35272-34-5 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, 6-chloro- (9CI) (CA INDEX NAME)

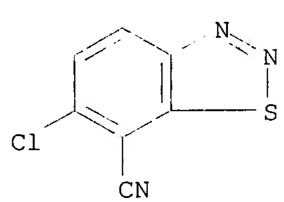


=> d bib abs hitstr l14 19

L14 ANSWER 19 OF 23 CAPLUS COPYRIGHT 1998 ACS
AN 1971:22768 CAPLUS
DN 74:22768
TI 1,2,3-Benzothiadiazoles. II. Novel rearrangement of diazonium salts derived from 7-amino-1,2,3-benzothiadiazoles
AU Kirby, Peter; Haddock, Ernest; Johnson, Alan Woodworth
CS Woodstock Agric. Res. Cent., Shell Res. Ltd., Sittingbourne/Kent, Engl.
SO J. Chem. Soc., C (1970), (18), 2514-18
CODEN: JSOOAX
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB Diazotization of 4-X, 6-Z-disubstituted 7-amino-1,2,3-benzothiadiazoles, (I) (X = F, Cl, Et, CMe3, etc.; Z = F, Cl, Me, OH, etc.) followed by removal of the diazonium group by hypophosphorous acid or by a Sandmeyer reaction, gave 4-Z, 6-X-disubstituted 1,2,3-benzothiadiazoles. The occurrence of the rearrangement is governed by the nature and position of the 4- and 6-substituents of the original amino compd.
IT **23615-89-6P 23616-23-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 23615-89-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile, 4-chloro- (8CI) (CA INDEX NAME)

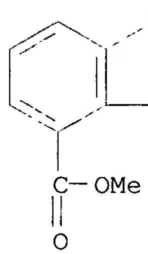


RN 23616-23-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile, 6-chloro- (8CI) (CA INDEX NAME)



=> d bib abs hitstr l14 20

L14 ANSWER 20 OF 23 CAPLUS COPYRIGHT 1998 ACS
AN 1970:530943 CAPLUS
DN 73:130943
TI 1,2,3-Benzothiadiazoles. I. Simplified synthesis of 1,2,3-benzothiadiazoles
AU Kirby, Peter; Soloway, Samuel B.; Davies, John Hugh; Webb, Shirley B.
CS Woodstock Agric, Res. Cent., Shell Res. Ltd., Sittingbourne/Kent, Engl.
SO J. Chem. Soc., C (1970), (16), 2250-3
CODEN: JSOOAX
DT Journal
LA English
AB Diazotization of the benzothiazathiolium salts isolated from the reaction of S2Cl2 with aromatic amines (Herz reaction) gives 1,2,3-benzothiadiazoles. The scope of the reaction is discussed.
IT **23621-08-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI) (CA INDEX NAME)



=> d bib abs hitstr l14 21

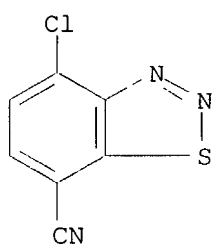
L14 ANSWER 21 OF 23 CAPLUS COPYRIGHT 1998 ACS
AN 1970:55455 CAPLUS
DN 72:55455
TI Biocidal 1,2,3-benzothiadiazoles
PA Shell International Research Maatschappij N. V.
SO Fr., 20 pp.
CODEN: FRXXAK
PI FR 1541415 681004
PRAI GB 661021 - 364465
DT Patent
LA French
GI For diagram(s), see printed CA Issue.
AB The title compds. (I), insecticides, herbicides, fungicides, are prepd. (R4, R5, R6, R7 each = H where unspecified). Thus, a mixt. of 52 g PhNH2.HCl and 375 g S2Cl2 is stirred 4 hr at 65.degree., 200 cm3 benzene added, the mixt. cooled, and the 6-chlorobenzothiaz olium chloride (II) filtered off. II (80 g) in 400 cm3 50% H2SO4 at 60.degree. is cooled to 0.degree., 40 g NaNO2 in 40 cm3 H2O stirred in, and the mixt. stirred 1 hr at 0.degree., poured onto 2 kg ice, and kept 2 hr to give I (R6 = Cl) (Ia), m. 74-5.degree.. A mixt. of 5 g Ia and 20 cm3 piperidine is refluxed 72 hr to give I (R6 = piperidino), m. 49-50.degree. (petroleum ether). A mixt of 1 g Ia in 50 cm3 EtOC2H4OH, 1 g KOH, 5 cm3 H2O, and 50 cm3 Me2SO is refluxed 3 hr, cooled, and acidified to give I (R6 = EtOC2H4O), m. 58-60.degree.. To a stirred soln of 50 g 1-C10H7NH2 in 50 cm3 HOAc is added 180 cm3 S2Cl2, the thiazathiolium salt in 500 cm3 50% H2SO4 cooled to 0.degree., 45 g NaNO2 in 75 cm3 H2O stirred in, and the mixt. poured onto 1300 g ice and kept overnight to give I [R5 = Cl, (R6R7 =) CH:CHCH:CH], m 121-2.degree.. A soln. of 1.7 g Ia in 50 cm3 Me2SO is refluxed 4 hr with 0.8 g MeSNa in 4 cm3 MeOH and the mixt. added to H2O to give I (R6 = MeS) (Ib), m. 85-7.degree.. A soln. of 0.6 g Ib in 20 cm3 HOAc is heated (H2O-bath) 2 hr with 4 cm3 20 vol. H2O2, dild. with 50 cm3 H2O, kept 16 hr at ambient temp, a nd slowly basified to give I (R6 = MeSO2), m. 181-3.degree.. To a soln. of 15 g Ia in 60 cm3 concd. H2SO4 is slowly added 13 g KNO3 at ambient temp. and the mixt. heated 2 hr at 100.degree. and added to H2O to give I (R6 = Cl, R7 = NO2), m. 99-101.degree.. A soln. of I (R6 = OH) (Ic), MeNCO, and Et3N in CH2Cl2 is refluxed to give I (R6 = O2CNHMe), m. 40.degree.. AcCl (3 cm3) is added dropwise to a stirred soln. of 2.75 g. Ic in 35 cc anhyd. pyridine and the mixt. cooled to below 0.degree., stirred 10 min, and w orked up to give I (R6 = AcO), m. 77.5-9.5.degree. (hexane). Similarly, obtained are the following I (R4, R5, R6, R7, and m.p. given): H, H, F, H, 102-5.degree.; H, OH, Cl, H, 203.degree.; Me, H, Cl, H, 97-8.degree.; Me, H, Cl, H, 63.5-4.5.degree.; Et, H, Cl, H, 34.5-37.degree.; H, MeO, Cl, H, 153.5-5.5.degree.; H, Br, Cl, H, 127-9.degree.; F, H, Cl, H, 66.5.degree.; H, F, Cl, H, 97.degree.; H, Cl, Cl, Cl, 140-1.degree.; Cl, H, Cl, Cl, 108-9.degree.; Cl, Cl, Cl, H, 125-7.degree.; EtOC2H4O, H, H, H, 60-1.degree.; EtOC2H4O, H, EtOC2H4O, H, 51-2.degree.; H, Me, EtOC2H4O, H, 47-9.degree.; Me, H, EtOC2H4S, H, 38.5-9.5.degree.; H, H, BuOC2H4O, H, - ; H, Cl, 4-ClC6H4S, H, 164-5.degree.; H, H, morpholino, H, 101-3.degree.; H, OH, (R6R7 =) CH:CHCH:CH, >240.degree.; H, Cl, Cl, H, 119-20.degree.; H, H, 4-ClC6H4SO2, H, 155-7.degree.; H, H, BuO(C2H4O)2, H, - ; H, H, 4-MeC6H4SO2, H, 169-71.degree.; Cl, Me, Cl, H, 103-7.degree.; H, H,

Cl, Me, 84.5-7.5.degree.; Cl, H, Cl, Me, 104.5-5.5.degree.; OH, H, Cl, H, 254-5.degree.; Me, H, OH, H, 198.degree.; Cl, Cl, H, H, 143-5.degree.; Cl, H, H, H, 96-8.degree.; H, Cl, OH, H, 207-8.degree.; H (or NO2.RTM.), NO2, MeNH, NO2 (or H.RTM.), 192-3.degree.; H, H, 4-ClC6H4S, H, 85-7.degree.; H, H, 4-MeC6H4S, H, 54-5.5.degree.; H, Cl, 4-ClC6H4, H, 161-2.degree.; H, Cl, 4-MeC6H4S, H, 121.5-23.degree.; H, Cl, 4-MeC6H4SO2, H, 169-70.degree.; MeSO2, H, H, Cl, 118-20.degree.; H, F, 4-ClC6H4S, H, 134-6.degree.; H, F, ClC6H4SO2, H, 179-81.degree.; H, F, 4-MeC6H4SO2, H, 166-8.degree.; Me, H, 4-ClC6H4S, H, 165.5-67.degree.; H, Me, OH, H, 217-18.degree.; H, NO2, Pr2N, NO2, 145-6.degree.; Cl, Cl, Cl, Cl, 171-3.degree.; Cl, H, Cl, Br, 148-9.degree.; H, H, H, CO2Me, 133-5.degree.; H, NO2,OH, NO2, 138-40.degree.; H, Cl, OH, Cl, - ; H, H, OC(OEt)Me, H, - ; H, CO2Me, Cl, H, 98.5-100.5.degree.; H, F, MeS, H, 116.5-24.5.degree.; H, OH, MeS, H, 200-2.degree.; H, F, MeSO2, H, 149-52.degree.; H, MeS, MeS, H, 120-3.degree.; H, MeSO2, MeSO2, H, 238-40.degree.; H, H, Cl, F, 53-4.degree.; H, Cl, MeO, Cl, 120-1.degree.; H, NO2, Cl, NO2, 112-13.degree.; H, NO2, NH2, NO2, 199-100.degree.; H, Cl, MeO, H, 143-4.degree.; H, H, Cl, NH2, 157.degree.; H, H, Cl, Cl, 84-6.degree.; Br, H, Cl, NH2, 168-70.degree.; Cl, H, H, cyano, 110-11.degree.; H, H, H, cyano, 116-18.degree.; H, NO2, Me2N, NO2, 155-6.degree.; H, H, 4-FC6H4S, H, 82-5.5.degree.; H, H, 4-FC6H4SO2, H, 158-60.degree.; cyano, H, H, H, 167-8.degree.; H, H, 1-(1-methylpiperidinium) iodide, H, 136-8.degree.; H, H, PhS, H, 37-41.degree.; H, H, PhSO2, H, 152-3.degree.; Br, H, Br, cyano, 183-5.degree.; H, H, 4-Me3C-C6H4S, H, 88-90.degree.; H, H, 4-Me3CC6H4SO2, H, 173.5-76.degree.; H, H, 2-MeC6H4S, H, 55.5-7.5.degree.; H, H, 2-MeC6H4SO2, H, 145-7.degree.; H, H, 3-MeC6H4S, H, - ; H, H, 4-BrC6H4S, H, 77-9.5.degree.; H, H, 4-BrC6H4SO2, H, 134-7.degree.; H, H, 3-MeC6H4SO2, H, 187-9.5.degree.; 4-MeC6H4S, H, Cl, H, 110-14.degree.; 4-MeC6H4SO2, H, Cl, H, 182-3.5.degree.; H, H, OH, Ac, - ; H, H, 2-Me2CHC6H4S, H, 62-5.degree.; 4-ClC6H4S, H, Cl, H, 94-6.degree.; 4-ClC6H4SO2, H, Cl
")# $ % .; H, cyano, H, H, 194-6.degree.; Cl, H, H, Cl, 127-8.degree.; H, CO2Me, H, H, 102-6.degree.; H, CO2Et, H, H, 65-70.degree.; H, Br, OH, H, 193-5.degree.; Cl, H, H, Ph, 170-3.degree.; H, H, F, NO2, 93-5.degree.; Me2N, H, H, cyano, 155-6.degree.; H, Cl, Cl, NO2, 117-19.degree.; H, Cl, OH, NO2, 147-9.degree.; H, H, Cl, Br, 102-3.degree.; H, H, Cl, CN, 115 17.degree.; H, H, F, NH2, 123-4.degree.; Br, H, H, Br, 149-51.degree.; Br, H, Br, H, 84-6.degree.; Cl, H, Cl, NO2, 132-4.degree.; Me, H, Cl, NO2, 159-61.degree.; H, H, SH, H, 75-7.degree.; H, H, H, Cl, 75-7.degree.; F, H, Cl, NO2, 144-5.degree.; Br, H, Cl, Br, 152-3.degree.; Cl, Cl, H, Cl, 106-7.degree.; Me, H, Cl, NH2, 134-5.degree.; H, F, SH, H, 98.5-100.5.degree.; H, H, MeS, NO2, 197-200.degree.; H, H, MeS, NH2, 93-4.degree.; MeS, H, H, Cl, 122-3.degree.; Me, H, Cl, Cl, 102-4.degree.; Cl, H, Me, Cl, 115-17.degree.; Cl, H, H, NH2, 192-4.degree.; Me, H, MeO, Br, 154-6.degree.; H, H, MeNH, NO2, 300.degree. (decompn.); H, H, ClCH2S, H, 80-2.5.degree.; H, F, ClCH2S, H, 105-7.degree.; H, H, Me2N, NO2, 168-9.degree.; H, H, Pr2N, NO2, 74-5.degree.; H, H, cyano, NO2, 178-9.degree.; H, F, NH2, H, 91-3.degree.; NO2, H, H, OH, >260.degree.; H, H, NO2, OH, 153-4.degree.; Me, H, MeO, H, 84-5.degree.; MeO, H, Cl, H, 111-12.degree.; Cl, H, H, H, 96-8.degree.; Cl, Cl, H, H, 143-5.degree.; H, H, H, O2CNHMe, - ; H, O2CNHMe, (R6R7 =) CH:CHCH:CH, 152-3.degree.; H, O2CNHMe, Cl, H, 138-9.degree.; O2CNHMe, H, H, H, 128-30.degree.; H, OAc, H, H, 110-11.degree.; H, H, ClCH2CO2, H, 69-71.5.degree.; H, H,

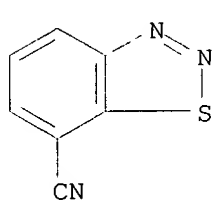
chrysanthemummono-carbonyloxy, H, - ; H, Cl, chrysanthemummonocarbonyloxy, H, 72.degree.; H, chrysanthemummonocarbonyloxy, Cl, H, 87.degree.. Details are given of test results using I as (a) insecticide against Musca domestica, Aedes aegypti, Phaedon cochleariae, Plutella maculipennis, Megoura vicia, Tetranychus telarius, and Pieris brassica; (b) pre- and postemergence herbicide against Avena sativa, Lolium perenne, Zea mays, Pisum sativum, Beta vulgaris, Linum usitatissimum, and Sinapsis alba; (c) fungicide against Phytophthera infestans, Erysiphe cichoracearum, and Venturia inaequalis; and (d) synergist of the insecticidal activity of pyrethrins, "Bidrin" 3,4,5-Me3C6H2O2CNHMe (IV) and "Isolan" against Musca domestica, and of IV against Plutella maculipennis, and Megoura vicia. A granular compn. contains 5 (wt. %) IV, 10 (or 25) Ia, "Rhodoviol 25/100 M" and 84 (or 69) "Clay GTY" (V). A dispersed powder is prepd. by mixing a premilled compn. of 50 IV, 3 "Tamol 741" (VI), 2 "Empicol LZ" (VII) and 45 "Speswhite" with a premilled compn. of 50 Ia, 3 VI, 2 VII and 45 V in the desired proportions with H2O.

IT **23615-89-6P 23615-90-9P 23615-97-6P 23616-20-8P 23616-23-1P 23621-08-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

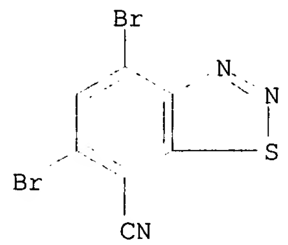
RN 23615-89-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile, 4-chloro- (8CI) (CA INDEX NAME)



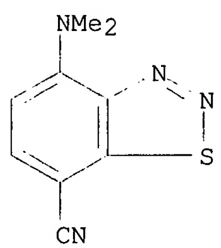
RN 23615-90-9 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile (8CI, 9CI) (CA INDEX NAME)



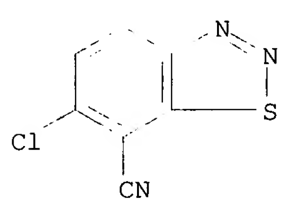
RN 23615-97-6 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile, 4,6-dibromo- (8CI) (CA INDEX NAME)



RN 23616-20-8 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile, 4-(dimethylamino)- (8CI) (CA INDEX NAME)



RN 23616-23-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carbonitrile, 6-chloro- (8CI) (CA INDEX NAME)



RN 23621-08-1 CAPLUS
CN 1,2,3-Benzothiadiazole-7-carboxylic acid, methyl ester (8CI, 9CI) (CA INDEX NAME)